

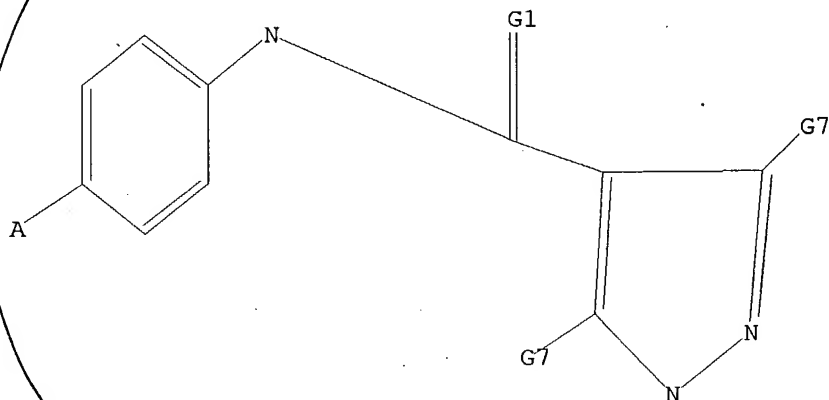
10/713,201

UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 O,S

G2 C,N

G3 O,S,N

G4 S,N

G5 O,S

G6 C,N

G7 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full

FULL SEARCH INITIATED 14:05:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 14571 TO ITERATE

100.0% PROCESSED 14571 ITERATIONS

559 ANSWERS

SEARCH TIME: 00.00.02

L2 559 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.84

156.05

FILE 'CAPLUS' ENTERED AT 14:06:12 ON 11 DEC 2004

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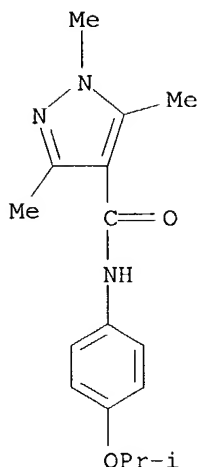
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FILE COVERS 1907 - 11 Dec 2004 VOL 141 ISS 25
FILE LAST UPDATED: 10 Dec 2004 (20041210/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 38 L2



L3 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:280947 CAPLUS

DOCUMENT NUMBER: 126:264007

TITLE: Preparation of heteroaroyl biphenylylamides as agrochemical and industrial fungicides.

INVENTOR(S): Eicken, Karl; Rang, Harald; Harreus, Albrecht; Goetz, Norbert; Ammermann, Eberhard; Lorenz, Gisela; Strathmann, Siegfried

PATENT ASSIGNEE(S): BASF A.-G., Germany

SOURCE: Ger. Offen., 21 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19531813	A1	19970306	DE 1995-19531813	19950830
WO 9708148	A1	19970306	WO 1996-EP3753	19960826
W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9669285	A1	19970319	AU 1996-69285	19960826
EP 847388	A1	19980617	EP 1996-930102	19960826
EP 847388	B1	20030625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 11511449	T2	19991005	JP 1996-509844	19960826
AT 243682	E	20030715	AT 1996-930102	19960826
PT 847388	T	20031031	PT 1996-930102	19960826
ES 2202463	T3	20040401	ES 1996-930102	19960826
ZA 9607315	A	19980302	ZA 1996-7315	19960829
US 5998450	A	19991207	US 1998-11717	19980217
PRIORITY APPLN. INFO.:			DE 1995-19531813	A 19950830
			WO 1996-EP3753	W 19960826

OTHER SOURCE(S): MARPAT 126:264007

IT 188731-31-9P 188731-32-0P 188731-33-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP

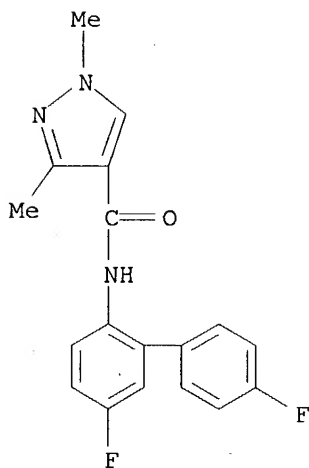
10/713,201

(Preparation); USES (Uses)

(preparation of aroyl biphenylamides as agrochem. and industrial fungicides)

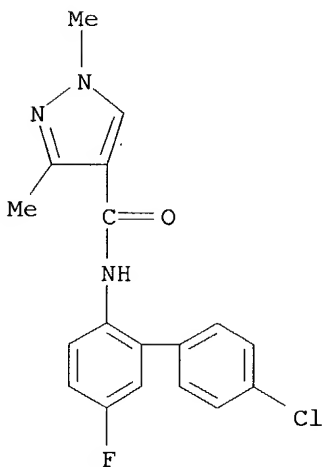
RN 188731-31-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4',5-difluoro[1,1'-biphenyl]-2-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 188731-32-0 CAPLUS

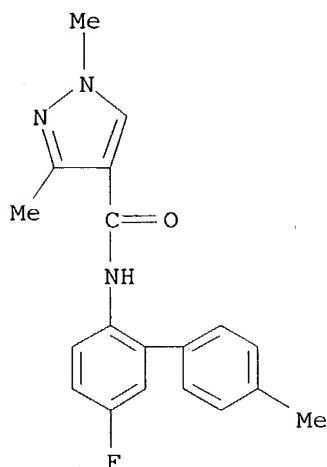
CN 1H-Pyrazole-4-carboxamide, N-(4'-chloro-5-fluoro[1,1'-biphenyl]-2-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



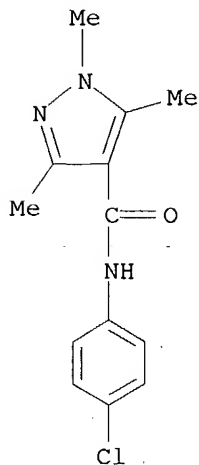
RN 188731-33-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(5-fluoro-4'-methyl[1,1'-biphenyl]-2-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



L3 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:231193 CAPLUS
DOCUMENT NUMBER: 124:281838
TITLE: Application of quantitative structure-retention
relationships for reversed-phase liquid
chromatographic separation of pesticides
AUTHOR(S): Kim, Ho Seob; Lee, Dai Woon
CORPORATE SOURCE: Dep. of Chemistry, Yonsei Univ., Seoul, 120-749, S.
Korea
SOURCE: Analytical Sciences (1996), 12(2), 349-53
CODEN: ANSCEN; ISSN: 0910-6340
PUBLISHER: Japan Society for Analytical Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 61747-88-4 161111-02-0
RL: ANT (Analyte); ANST (Analytical study)
(structure-retention relationships for reversed-phase liquid chromatog.
separation of pesticides)
RN 61747-88-4 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



10/713,201

US COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:377001 CAPLUS

DOCUMENT NUMBER: 129:132472

TITLE: Structure-activity relationships of fungicidal
N-substituted phenyl 1,3,5- trimethylpyrazole-4-
carboxamides in the inhibition of succinate
dehydrogenase (SDH) isolated from Rhizoctonia solani
Kuhn

AUTHOR(S): Kim, Yong-Whan

CORPORATE SOURCE: R D Team, Agro Div., Oriental Chemical Industries,
Seoul, 100-718, S. Korea

SOURCE: Han'guk Nonghwa Hakhoechi (1997), 40(5), 447-450
CODEN: JKACA7; ISSN: 0368-2897

PUBLISHER: Korean Society of Agricultural Chemistry and
Biotechnology

DOCUMENT TYPE: Journal

LANGUAGE: Korean

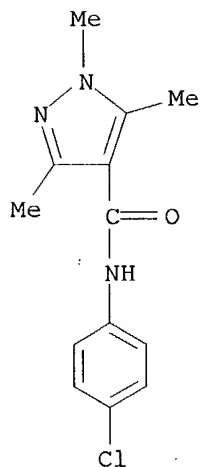
IT 61747-88-4P 61747-90-8P 161111-02-0P

210549-32-9P 210549-33-0P 210549-34-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationships of fungicidal N-substituted Ph
1,3,5-trimethylpyrazole-4-carboxamides in inhibition of succinate
dehydrogenase of Rhizoctonia solani)

RN 61747-88-4 CAPLUS

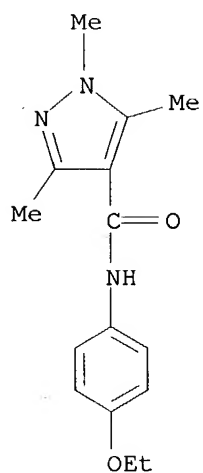
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



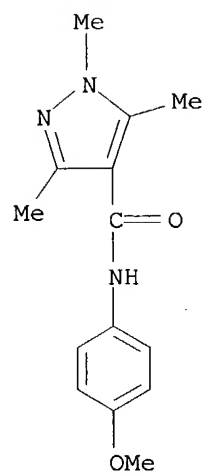
RN 61747-90-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)

10/713,201

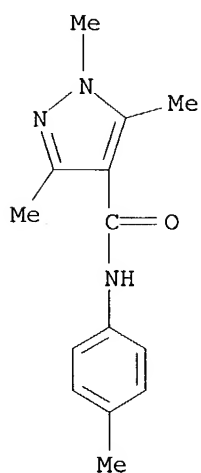


RN 161111-02-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)

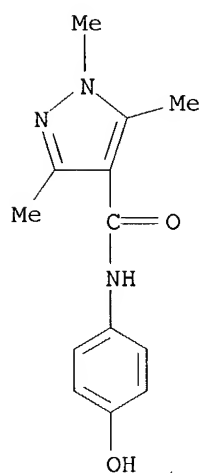


RN 210549-32-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(4-methylphenyl)- (9CI) (CA
INDEX NAME)

10/713,201



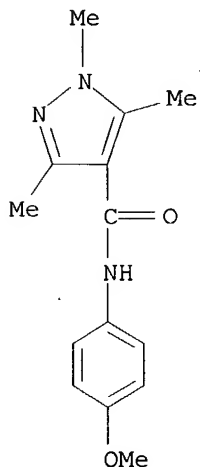
RN 210549-33-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(4-hydroxyphenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



RN 210549-34-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[4-(1-methylethoxy)phenyl]-
(9CI) (CA INDEX NAME)

10/713,201

RN 161111-02-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:264625 CAPLUS

DOCUMENT NUMBER: 122:56039

TITLE: Substituted thiazole derivatives useful as platelet aggregation inhibitors

INVENTOR(S): Sanfilippo, Pauline J.; Urbanski, Maud; Carson, John R.; Carmosin, Richard J.

PATENT ASSIGNEE(S): McNeil-PPC, Inc., USA

SOURCE: U.S., 22 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5342851	A	19940830	US 1992-958193	19921007

PRIORITY APPLN. INFO.: US 1992-958193 19921007

OTHER SOURCE(S): MARPAT 122:56039

IT 159886-94-9P

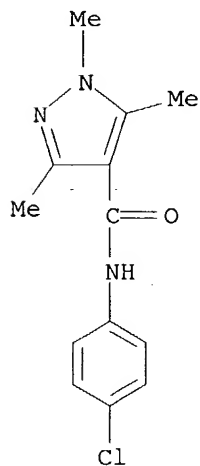
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted thiazole derivs. useful as platelet aggregation inhibitors)

RN 159886-94-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-(aminoiminomethyl)phenyl]-5-methyl-1-[4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

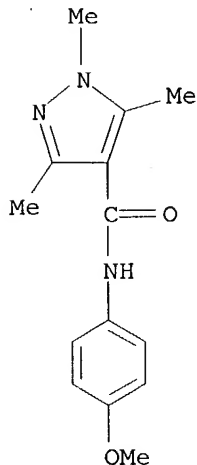
Cc1cc2nc3cc(C(F)(F)F)ccc3n2c1C(=O)Nc4ccc(cc4)C(=N)N

L3 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:41479 CAPLUS
DOCUMENT NUMBER: 122:150545
TITLE: Prediction of high-performance liquid chromatographic
retention data of carboxamides and oxadiazoles
AUTHOR(S): Kim, Ho Seob; Kim, Tai Ki; Lee, Dai Woon
CORPORATE SOURCE: Dep. Chem., Yonsei Univ., Seoul, 120-749, S. Korea
SOURCE: Journal of Liquid Chromatography (1994), 17(12),
2615-23
CODEN: JLCHD8; ISSN: 0148-3919
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 61747-88-4 161111-02-0
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(prediction of high-performance liquid chromatog. retention data of)
RN 61747-88-4 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



10/713,201

RN 161111-02-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:216381 CAPLUS

DOCUMENT NUMBER: 120:216381

TITLE: Relationships between structure and kinetics of cyclization of 2-aminoaryl amides: potential prodrugs of cyclization-activated aromatic mustards

AUTHOR(S): Atwell, Graham J.; Sykes, Bridget M.; O'Connor, Charmian J.; Denny, William A.

CORPORATE SOURCE: Sch. Med., Univ. Auckland, Auckland, N. Z.

SOURCE: Journal of Medicinal Chemistry (1994), 37(3), 371-80

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

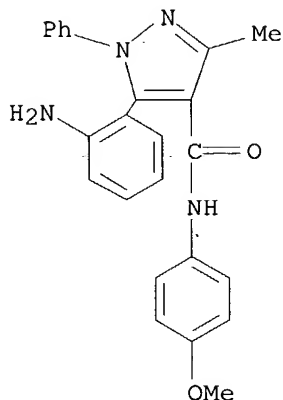
LANGUAGE: English

IT 154078-67-8P

RL: PRP (Properties); PREP (Preparation)
(formation and cyclization kinetics of)

RN 154078-67-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-(2-aminophenyl)-N-(4-methoxyphenyl)-3-methyl-1-phenyl- (9CI) (CA INDEX NAME)



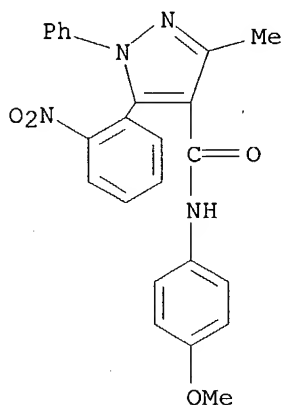
10/713,201

IT 154078-49-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reduction of)

RN 154078-49-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-3-methyl-5-(2-nitrophenyl)-
1-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:118842 CAPLUS

DOCUMENT NUMBER: 118:118842

TITLE: Growth-regulating properties of substituted
pyrazole-4-(thio)carboxylic acids and their analogs

AUTHOR(S): Reidalova, L. I.; Borisevich, A. N.; Mozgovaya, G. P.;
Samoilenko, L. S.; Rodionov, A. P.

CORPORATE SOURCE: Inst. Org. Khim., Kiev, Ukraine

SOURCE: Fiziologicheskii Aktivnye Veshchestva (1991), 23, 82-7

CODEN: FAVUAI; ISSN: 0533-1153

DOCUMENT TYPE: Journal

LANGUAGE: Russian

IT 109466-29-7P 109466-30-0P 145978-04-7P

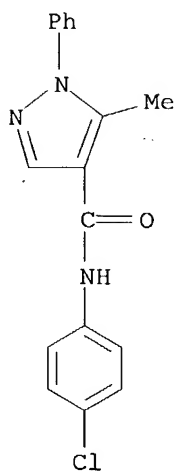
145978-05-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and plant growth-regulating activity of)

RN 109466-29-7 CAPLUS

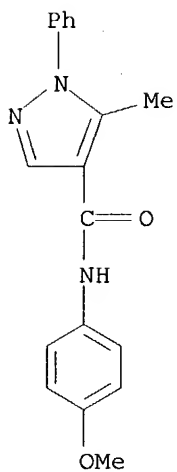
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)

10/713,201



RN 109466-30-0 CAPLUS

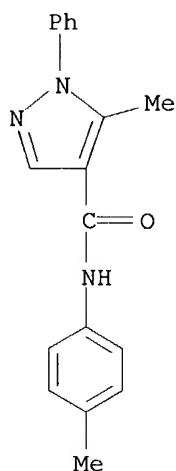
CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 145978-04-7 CAPLUS

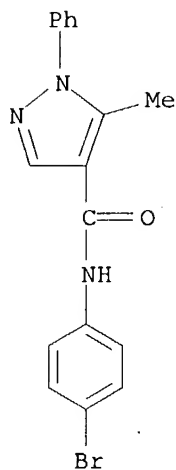
CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-(4-methylphenyl)-1-phenyl- (9CI)
(CA INDEX NAME)

10/713,201



RN 145978-05-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-bromophenyl)-5-methyl-1-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:458919 CAPLUS

DOCUMENT NUMBER: 107:58919

TITLE: Reaction of arylamides of α -[(phenylamino)methylidene]- β -oxo(thiono)butyric acid with hydroxylamine and substituted hydrazines

AUTHOR(S): Borisevich, A. N.; Romanenko, E. A.; Lozinskii, M. O.; Samoilenko, L. S.

CORPORATE SOURCE: Inst. Org. Khim., Kiev, USSR

SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1986), 52(6), 641-7

CODEN: UKZHAU; ISSN: 0041-6045

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 107:58919

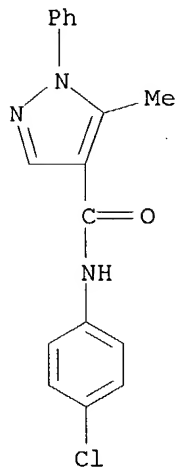
IT 109466-29-7P 109466-30-0P 109466-31-1P

RL: SPN (Synthetic preparation); PREP (Preparation of preparation of)

10/713,201

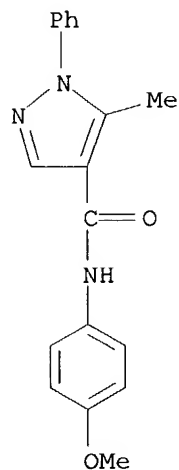
RN 109466-29-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 109466-30-0 CAPLUS

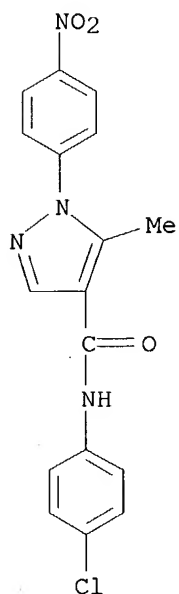
CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 109466-31-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-5-methyl-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)

10/713,201



L3 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:103450 CAPLUS

DOCUMENT NUMBER: 102:103450

TITLE: Silver halide color photographic material

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59159163	A2	19840908	JP 1983-33447	19830301
JP 03022615	B4	19910327		

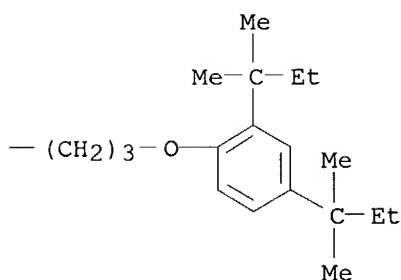
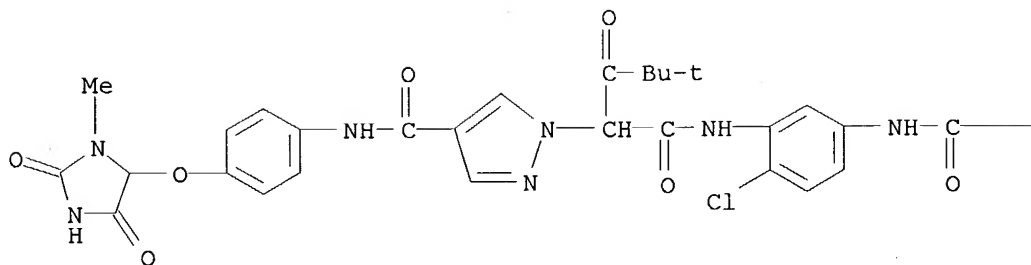
PRIORITY APPLN. INFO.: JP 1983-33447 19830301

IT 95050-18-3

RL: TEM (Technical or engineered material use); USES (Uses)
(photog. yellow coupler)

RN 95050-18-3 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-[5-[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]amino]-2-chlorophenyl]-α-(2,2-dimethyl-1-oxopropyl)-4-[[[4-[(3-methyl-2,5-dioxo-4-imidazolidinyl)oxy]phenyl]amino]carbonyl]- (9CI)
(CA INDEX NAME)



L3 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:622301 CAPLUS

DOCUMENT NUMBER: 99:222301

TITLE: Photosensitive photographic silver halide material

INVENTOR(S): Hidetoshi, Kobayashi; Toshirou, Takahashi; Shigeo, Hirano; Takeshi, Hirose; Keiichi, Adachi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd. , Japan

SOURCE: Ger. Offen., 125 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3209110	A1	19821021	DE 1982-3209110	19820312
DE 3209110	C2	19880728		
JP 57150845	A2	19820917	JP 1981-36051	19810313
JP 63023533	B4	19880517		
GB 2097140	A	19821027	GB 1982-7268	19820312
GB 2097140	B2	19841003		
US 4390618	A	19830628	US 1982-357930	19820315
			JP 1981-36051	19810313

PRIORITY APPLN. INFO.:

IT 87946-97-2

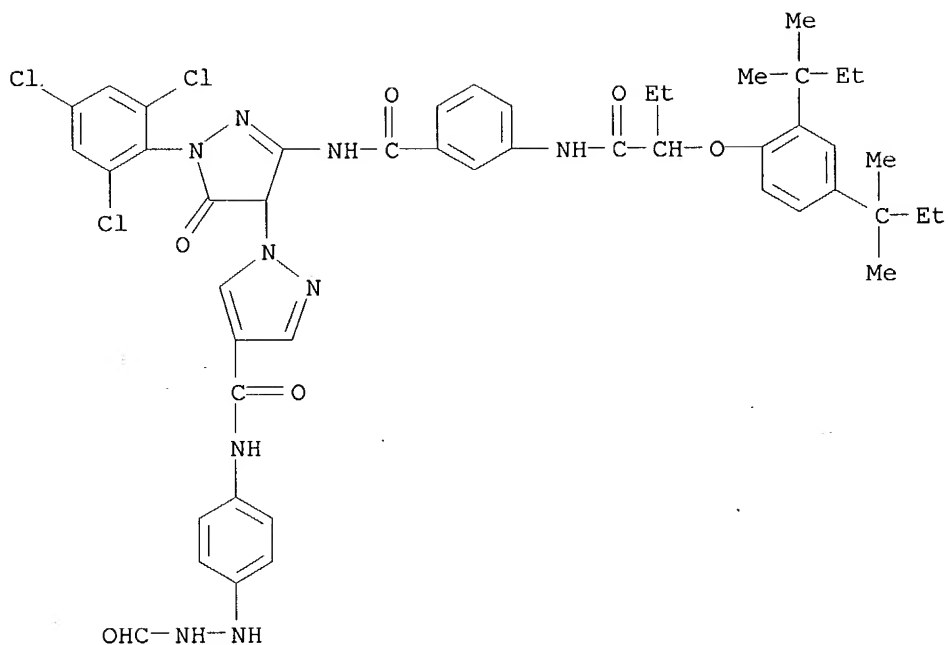
RL: USES (Uses)

(photog. development accelerator-releasing coupler)

RN 87946-97-2 CAPLUS

CN [1,4'-Bi-1H-pyrazole]-4-carboxamide, 3'-[[3-[[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]amino]benzoyl]amino]-N-[4-(2-formylhydrazino)phenyl]-4',5'-dihydro-5'-oxo-1'-(2,4,6-trichlorophenyl)-(9CI) (CA INDEX NAME)

10/713,201



L3 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:547056 CAPLUS
DOCUMENT NUMBER: 87:147056
TITLE: Fungicidal compositions
INVENTOR(S): Huppatz, John Lawrence
PATENT ASSIGNEE(S): Commonwealth Scientific and Industrial Research
Organization, Australia
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2701091	A1	19770728	DE 1977-2701091	19770112
AU 7721177	A1	19780713	AU 1977-21177	19760114
AU 508225	B2	19800313		
US 4134987	A	19790116	US 1977-756069	19770103
FR 2337997	A1	19770812	FR 1977-897	19770113
FR 2337997	B1	19841026		
JP 52087168	A2	19770720	JP 1977-3435	19770114
CA 1077048	A1	19800506	CA 1977-269762	19770114
GB 1573942	A	19800828	GB 1977-1486	19770114
US 4214090	A	19800722	US 1978-951376	19781013
PRIORITY APPLN. INFO.:			AU 1976-4527	19760114
			US 1977-756069	19770103

IT 61747-88-4P 61747-89-5P 61747-90-8P
61747-98-6P

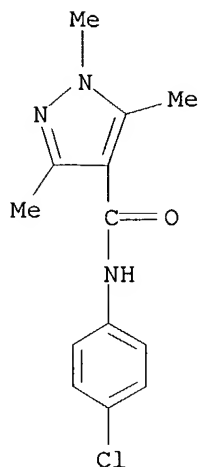
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

10/713,201

(preparation and fungicidal activity of)

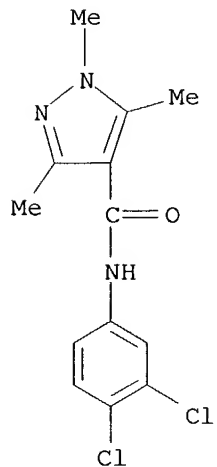
RN 61747-88-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



RN 61747-89-5 CAPLUS

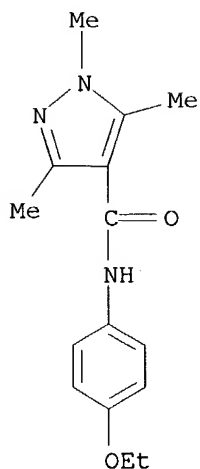
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(CA INDEX NAME)



RN 61747-90-8 CAPLUS

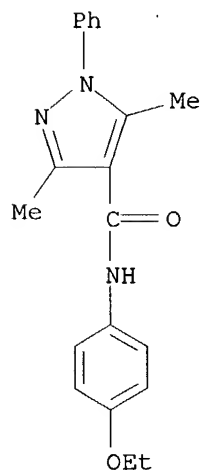
CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)

10/713,201



RN 61747-98-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)



IT 61747-80-6P 61747-81-7P 61747-82-8P

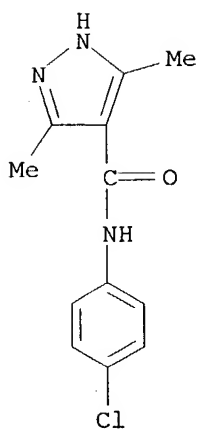
61747-96-4P 61747-97-5P 64196-82-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as fungicide)

RN 61747-80-6 CAPLUS

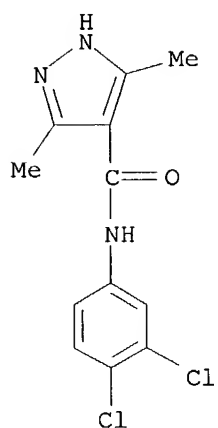
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10/713,201



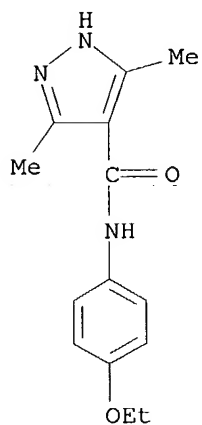
RN 61747-81-7 CAPLUS

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INDEX NAME)



RN 61747-82-8 CAPLUS

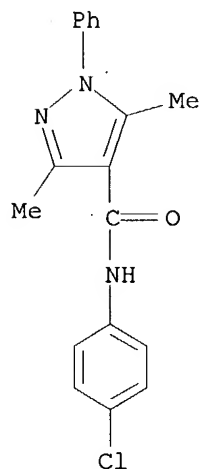
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10/713,201

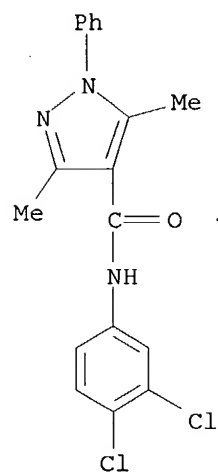
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(CA INDEX NAME)



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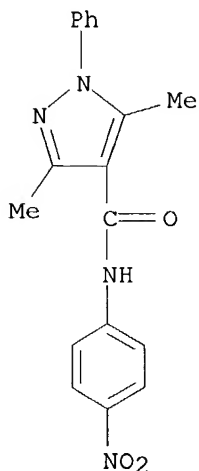
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RN 64196-82-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(4-nitrophenyl)-1-phenyl- (9CI)
(CA INDEX NAME)

10/713,201



L3 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:84578 CAPLUS

DOCUMENT NUMBER: 86:84578

TITLE: Investigations on fungicides. XIX. The fungitoxicity and systemic antifungal activity of certain pyrazole analogs of carboxin

AUTHOR(S): Carter, G. A.; Huppatz, J. L.; Wain, R. L.

CORPORATE SOURCE: Wye Coll., ARC, Ashford/Kent, UK

SOURCE: Annals of Applied Biology (1976), 84(3), 333-42

CODEN: AABIAV; ISSN: 0003-4746

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 61747-80-6P 61747-81-7P 61747-82-8P

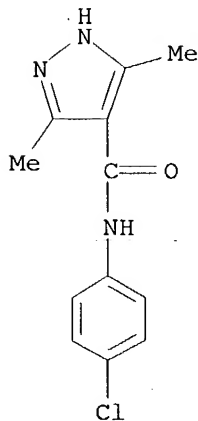
61747-88-4P 61747-89-5P 61747-90-8P

61747-96-4P 61747-97-5P 61747-98-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and systemic antifungal activity of)

RN 61747-80-6 CAPLUS

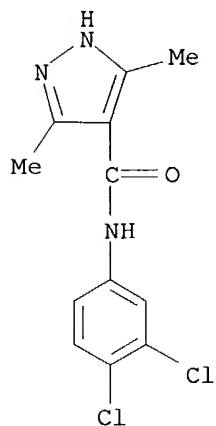
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-3,5-dimethyl- (9CI) (CA
INDEX NAME)



RN 61747-81-7 CAPLUS

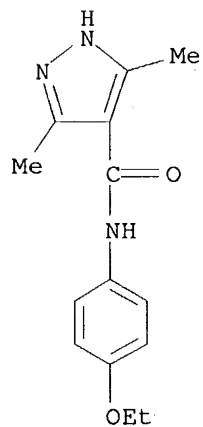
10/713,201

CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-3,5-dimethyl- (9CI) (CA
INDEX NAME)



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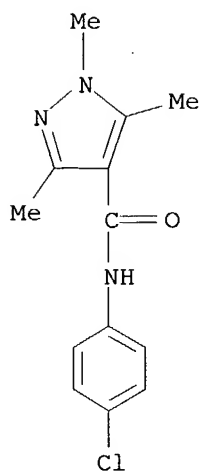
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INDEX NAME)



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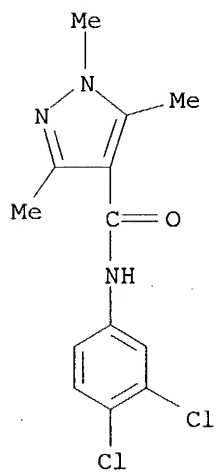
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)

10/713,201



RN 61747-89-5 CAPLUS

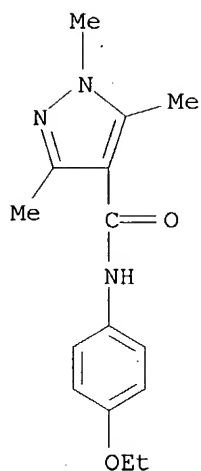
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(CA INDEX NAME)



RN 61747-90-8 CAPLUS

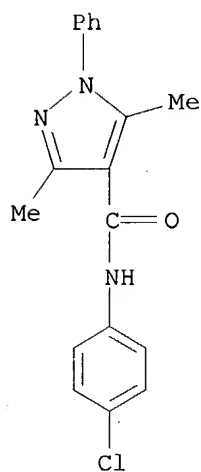
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INDEX NAME)

10/713,201



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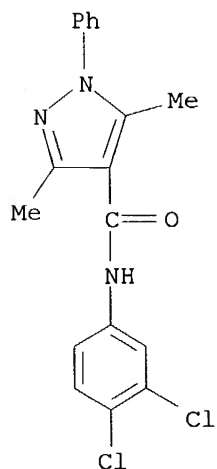
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(CA INDEX NAME)



RN 61747-97-5 CAPLUS

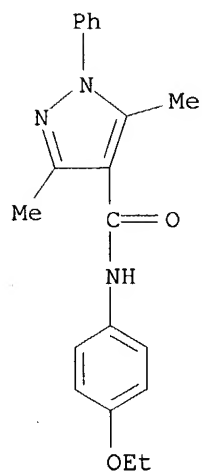
CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-3,5-dimethyl-1-phenyl-
(9CI) (CA INDEX NAME)

10/713,201



RN 61747-98-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)



L3 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:16588 CAPLUS

DOCUMENT NUMBER: 86:16588

TITLE: Sulfonamides. Part 9. Sulfonamide derivatives of
1-phenyl-3,5-dimethylpyrazolyl-4-carboxylic acid and
1-phenyl-2,3-dimethylpyrazolin-5-one-4-carboxylic acid

AUTHOR(S): Wrzeciono, U.; Klimczak, M.

CORPORATE SOURCE: Inst. Chem. Anal., Med. Acad., Poznan, Pol.

SOURCE: Pharmazie (1976), 31(3), 149-50

CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 86:16588

IT 61226-08-2P 61226-09-3P 61226-10-6P

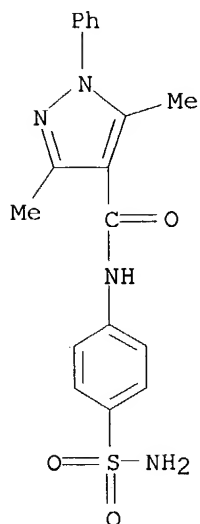
61226-11-7P 61226-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 61226-08-2 CAPLUS

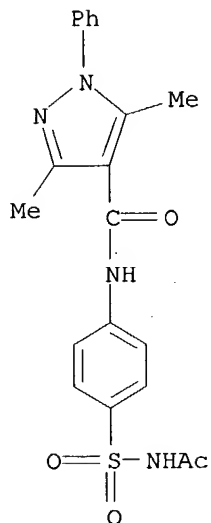
10/713,201

CN 1H-Pyrazole-4-carboxamide, N-[4-(aminosulfonyl)phenyl]-3,5-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 61226-09-3 CAPLUS

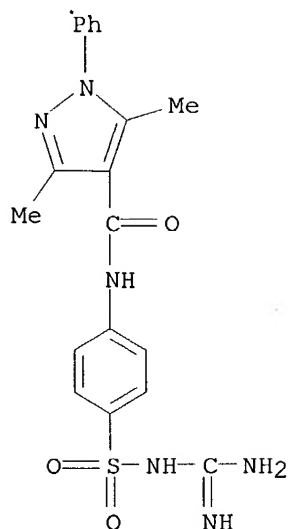
CN 1H-Pyrazole-4-carboxamide, N-[4-[(acetylamino)sulfonyl]phenyl]-3,5-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 61226-10-6 CAPLUS

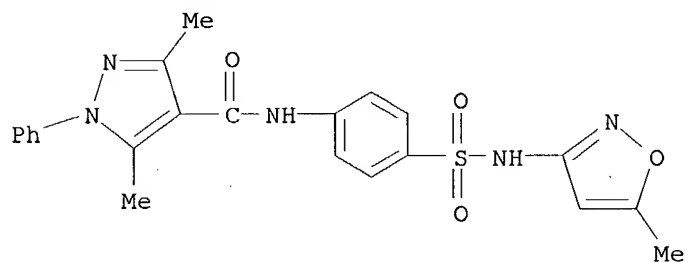
CN 1H-Pyrazole-4-carboxamide, N-[4-[[[aminoiminomethyl]amino]sulfonyl]phenyl]-3,5-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)

10/713,201



RN 61226-11-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[4-[[5-methyl-3-isoxazolyl)amino]sulfonyl]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

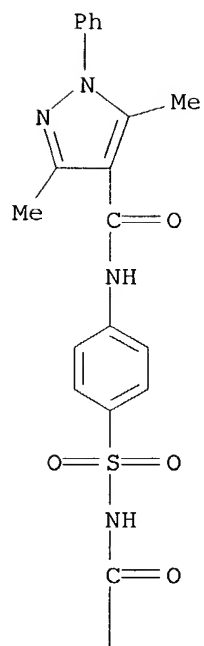


RN 61226-12-8 CAPLUS

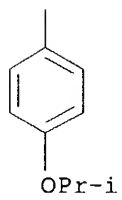
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[4-[[[4-(1-methylethoxy)benzoyl]amino]sulfonyl]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

10/713,201

PAGE 1-A



PAGE 2-A



=>

10/713,201

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 DEC 2004 HIGHEST RN 796026-09-0
DICTIONARY FILE UPDATES: 9 DEC 2004 HIGHEST RN 796026-09-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

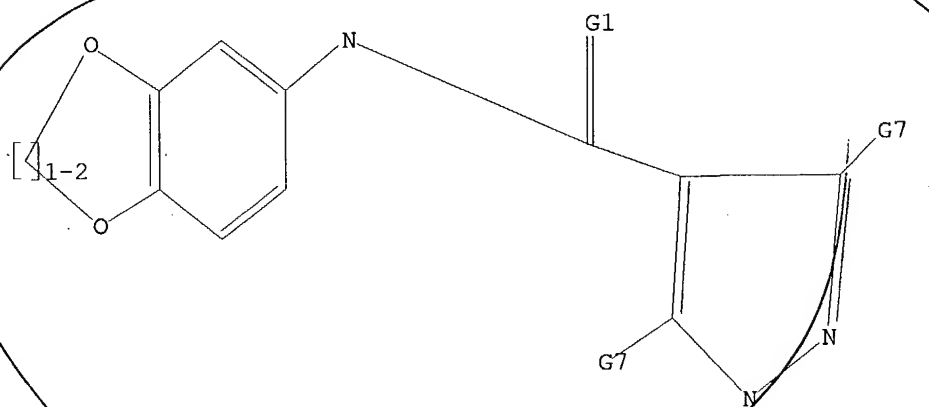
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L1 STRUCTURE UPLOADED

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L2 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR



G1 O,S
G2 C,N
G3 O,S,N
G4 S,N
G5 O,S
G6 C,N
G7 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,Cy

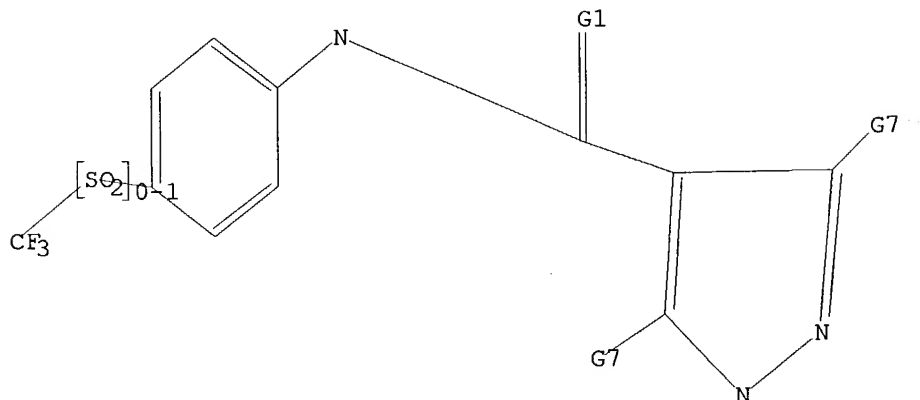
Structure attributes must be viewed using STN Express query preparation.

10/713,201

=> d 12

L2 HAS NO ANSWERS

L2 STR



G1 O,S

G2 C,N

G3 O,S,N

G4 S,N

G5 O,S

G6 C,N

G7 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full

FULL SEARCH INITIATED 13:02:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 79 TO ITERATE

100.0% PROCESSED 79 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> s 12 sss full

FULL SEARCH INITIATED 13:03:02 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 348 TO ITERATE

100.0% PROCESSED 348 ITERATIONS

25 ANSWERS

SEARCH TIME: 00.00.01

L4 25 SEA SSS FUL L2

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

310.84

311.05

FILE 'CAPLUS' ENTERED AT 13:03:09 ON 11 DEC 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

10/713,201

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 11 Dec 2004 VOL 141 ISS 25
FILE LAST UPDATED: 10 Dec 2004 (20041210/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L6 5 L4

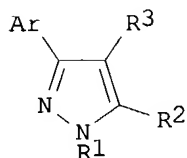
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L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:490722 CAPLUS
DOCUMENT NUMBER: 141:54321
TITLE: Preparation of 3-(2-hydroxyphenyl)-1H-pyrazole-4-carboxamides as HSP90 inhibitors for the treatment of cancer
INVENTOR(S): Beswick, Mandy Christine; Brough, Paul Andrew; Drysdale, Martin James; Dymock, Brian William
PATENT ASSIGNEE(S): Vernalis (Cambridge) Limited, UK; Cancer Research Technology Ltd.; The Institute of Cancer Research
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

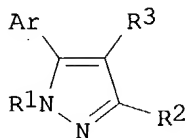
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050087	A1	20040617	WO 2003-GB5275	20031204
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2002-28417	A 20021205

10/713,201

OTHER SOURCE(S): MARPAT 141:54321
GI



I



II

AB Title compds. [I, II; Ar = (further substituted) 2-hydroxyaryl, 2-hydroxyheteroaryl; R1 = H, (substituted) alkyl; R2 = H, (substituted) cycloalkyl, cycloalkenyl, alkyl, alkenyl, alkynyl, carboxyl, carboxamide, carboxyl ester group; R3 = carboxamide group], were prepared Thus, O-(7-azabenzotriazolyl)-N,N,N',N'-tetramethyluronium hexafluorophosphate, 3-(2,4-bisbenzyloxy-5-chlorophenyl)-1(2)-(2-trimethylsilylethoxymethyl)-1H-pyrazole-4-carboxylic acid (preparation given), 4-aminoacetophenone, and diisopropylethylamine were heated together in DMF at 100° for 5 min. using microwave heating and the mixture was kept 2 h at ambient temperature

to give a residue which was stirred overnight with BCl3 in CH2Cl2 to give 3-(5-chloro-2,4-dihydroxyphenyl)-1H-pyrazole-4-carboxylic acid (4-acetylphenyl)amide. The latter showed IC50 <50 µM in the malachite green ATPase assay using yeast HSP90.

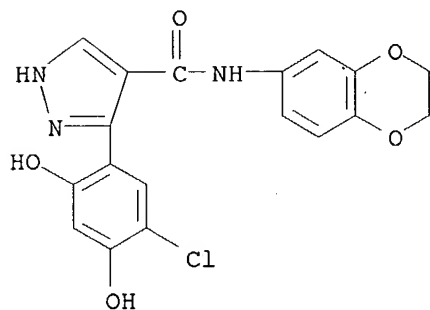
IT 705963-74-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyphenylpyrazolecarboxamides as HSP90 inhibitors for the treatment of cancer)

RN 705963-74-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(5-chloro-2,4-dihydroxyphenyl)-N-(2,3-dihydro-1,4-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:430797 CAPLUS

DOCUMENT NUMBER: 141:7108

10/713,201

TITLE: Preparation of pyrazoles as modulators of peroxisome proliferator activated receptors (PPARs), in particular PPAR γ agonists

INVENTOR(S): Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S): Carex SA, Fr.

SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

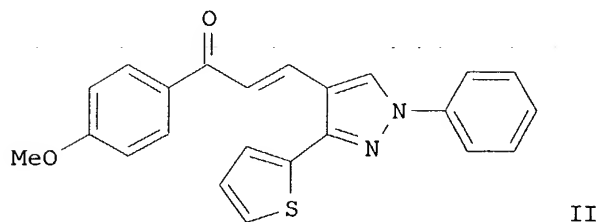
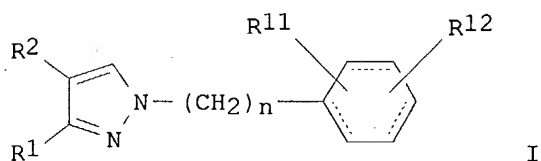
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			EP 2002-360298	A 20021024
			EP 2002-360372	A 20021220
			EP 2002-360373	A 20021220
			US 2003-456954P	P 20030325
			EP 2003-360070	A 20030611
			EP 2003-360091	A 20030724

OTHER SOURCE(S): MARPAT 141:7108

GI



AB Title compds. I [wherein R1 = H, CF3, (un)substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepared for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR γ agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (preparation given) was reacted with 1-(4-methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR γ . II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR γ partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals.(no data).

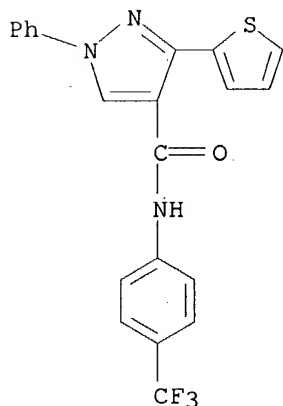
IT **380442-54-6P**, 1-Phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-(4-trifluoromethylphenyl)amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR γ agonist; preparation of pyrazoles as modulators of peroxisome proliferator activated receptors (PPARs), in particular PPAR γ agonists)

RN 380442-54-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-phenyl-3-(2-thienyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:356201 CAPLUS

DOCUMENT NUMBER: 138:368888

TITLE: Pyrazolecarboxamides and -sulfonamides as sodium channel blockers

INVENTOR(S): Atkinson, Robert Nelson; Gross, Michael Francis

PATENT ASSIGNEE(S): Icagen, Inc., USA

SOURCE: PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

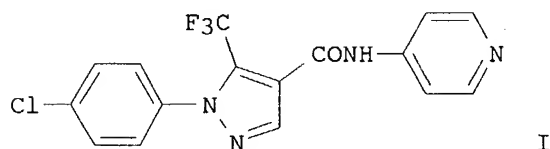
LANGUAGE: English

10/713,201

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037274	A2	20030508	WO 2002-US35172	20021101
WO 2003037274	A3	20031030		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1451160	A2	20040901	EP 2002-799175	20021101
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:			US 2001-335958P	P 20011101
			WO 2002-US35172	W 20021101
OTHER SOURCE(S):	MARPAT 138:368888			
GI				



AB Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. Thus, the amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 μ M range.

IT **521927-50-4P 521927-51-5P**

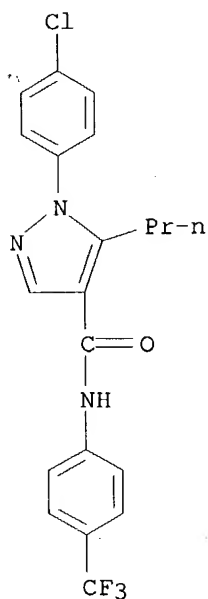
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolecarboxamides and -sulfonamides as sodium channel blockers)

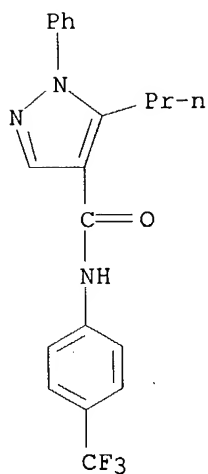
RN 521927-50-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-5-propyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 521927-51-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-phenyl-5-propyl-N-[4-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)



L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:205318 CAPLUS

DOCUMENT NUMBER: 132:334393

TITLE: Synthesis and analgesic activity of new
pyrazole-4-carboxanilides and (E)-3-pyrazol-4-
ylpropenilides

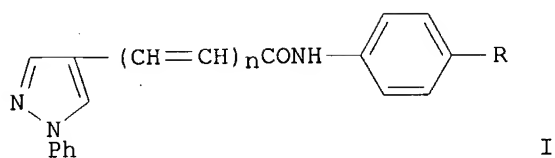
AUTHOR(S): Monteiro, Tania Maria; Pereira, Neila Paula; Freitas,
Antonio Carlos Carreira; Barreiro, Eliezer J.;
Miranda, Ana Luiza Palhares

CORPORATE SOURCE: Inst. Quimica, UFRJ, Rio de Janeiro, Brazil

SOURCE: Revista Portuguesa de Farmacia (1999), 49(4), 153-160
CODEN: RPTFAU; ISSN: 0484-811X

10/713,201

PUBLISHER: Ordem dos Farmaceuticos
DOCUMENT TYPE: Journal
LANGUAGE: Portuguese
GI



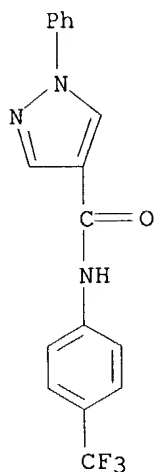
AB Title compds. I ($n = 0$; $R = H, OMe, Me, OCF_3, F, CF_3, NO_2$) and (E)-I ($n = 1$, same R) were prepared by reaction of the pyrazole acid chlorides with arylamines. The antinociceptive activity of these new compds. was evaluated by a test of abdominal contortions induced by 0.6% acetic acid solution i.p. in albino mice.

IT **267642-03-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antinociceptive activity of)

RN 267642-03-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-phenyl-N-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:789148 CAPLUS

DOCUMENT NUMBER: 130:20604

TITLE: Heteroarylcarboxamide compounds active against protein tyrosine kinase-related disorders, and preparation thereof

INVENTOR(S): McMahon, Gerald; Tang, Peng Cho; Shawver, Laura Kay; Hirth, Klaus Peter

PATENT ASSIGNEE(S): Sugan, Inc., USA

10/713,201

SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852944	A1	19981126	WO 1998-US10174	19980518
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 11116479	A2	19990427	JP 1998-10368	19980122
CA 2302438	AA	19981126	CA 1998-2302438	19980518
AU 9876879	A1	19981211	AU 1998-76879	19980518
EP 1012150	A1	20000628	EP 1998-924794	19980518
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
ZA 9804213	A	19991119	ZA 1998-4213	19980519
US 6316479	B1	20011113	US 1998-81917	19980519
US 2002065283	A1	20020530	US 2001-948090	20010907
US 6649635	B2	20031118		
US 2004102489	A1	20040527	US 2003-713201	20031117
PRIORITY APPLN. INFO.:			US 1997-46945P	P 19970519
			US 1997-47084P	P 19970519
			US 1997-56623P	P 19970820
			US 1997-61590P	P 19971010
			WO 1998-US10174	W 19980518
			US 1998-81917	A3 19980519
			US 2001-948090	A3 20010907

OTHER SOURCE(S): MARPAT 130:20604

AB Heteroarylcarboxamides are provided which modulate the activity of protein tyrosine kinases and are expected to be useful in the treatment of abnormal protein tyrosine kinase activity-driven disorders. Also provided are methods for the treatment of inappropriate FGFR activity related disorders with the heteroarylcarboxamide, N-(4-trifluoromethylphenyl)-5-methylisoxazole-4-carboxamide, as well as the treatment of solid tumor cancers, especially glioblastoma and astrocytoma, with a combination of a nitrosourea, preferably BCNU (carmustin), and N-(4-trifluoromethylphenyl)-5-methylisoxazole-4-carboxamide.

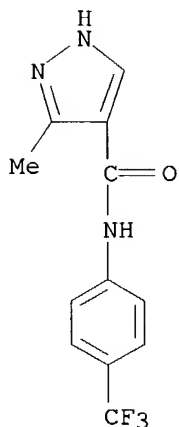
IT 216378-67-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heteroarylcarboxamides active against protein tyrosine kinase-related disorders, preparation thereof, and use with nitrosoureas)

RN 216378-67-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

10/713,201



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:710188 CAPLUS

DOCUMENT NUMBER: 130:52364

TITLE: Synthesis and immunosuppressant activity of pyrazolecarboxamides

AUTHOR(S): Wang, Alan X.; Xie, Qinghua; Lane, Ben; Mollison, Karl W.; Hsieh, Gin C.; Marsh, Kennan; Sheets, Michael P.; Luly, Jay R.; Coghlan, Michael J.

CORPORATE SOURCE: Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(19), 2787-2792

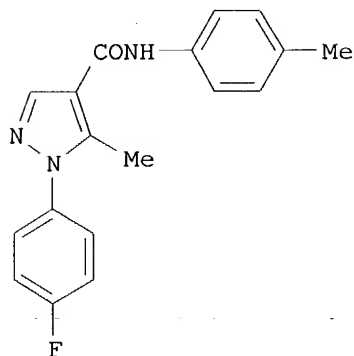
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB A series of novel pyrazolecarboxamides, e.g., I, is disclosed that demonstrate strong immunosuppressant activity in rodent and human mixed leukocyte response (MLR) assays ($IC_{50} < 1 \mu M$). The synthesis, biol. activity, mode of action, and pharmacokinetic properties of this new lead series are discussed.

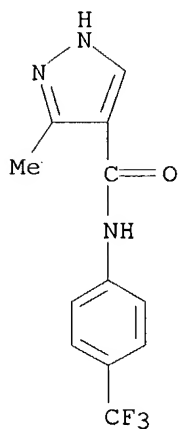
10/713,201

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217073-92-2P 217073-93-3P 217073-94-4P
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217073-98-8P 217073-99-9P 217074-00-5P
217074-01-6P 217074-02-7P 217074-03-8P
217074-04-9P 217074-05-0P 217074-06-1P
217074-07-2P 217074-08-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(pyrazolecarboxamides as immunosuppressants)

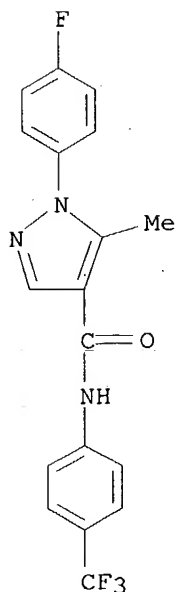
RN 216378-67-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



RN 217073-77-3 CAPLUS

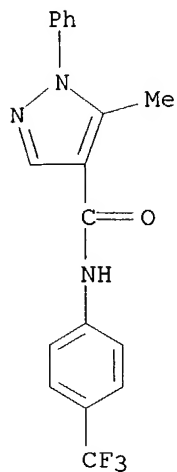
CN 1H-Pyrazole-4-carboxamide, 1-(4-fluorophenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



10/713,201

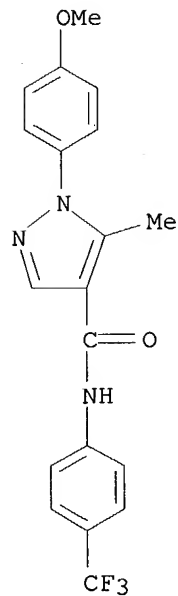
RN 217073-91-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-phenyl-N-[4-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)



RN 217073-92-2 CAPLUS

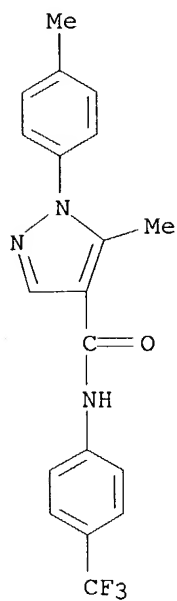
CN 1H-Pyrazole-4-carboxamide, 1-(4-methoxyphenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 217073-93-3 CAPLUS

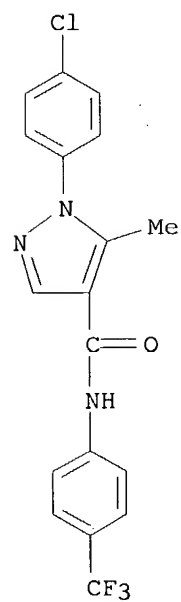
CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-(4-methylphenyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 217073-94-4 CAPLUS

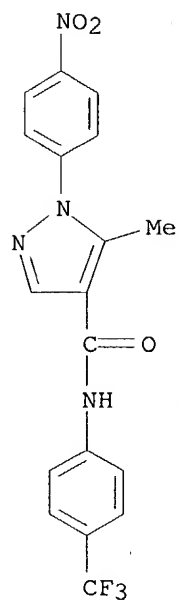
CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 217073-95-5 CAPLUS

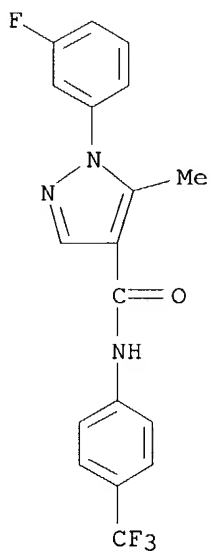
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10/713,201



RN 217073-96-6 CAPLUS

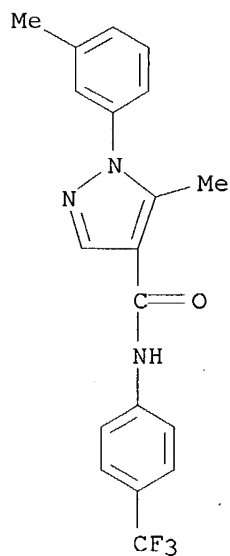
CN 1H-Pyrazole-4-carboxamide, 1-(3-fluorophenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 217073-97-7 CAPLUS

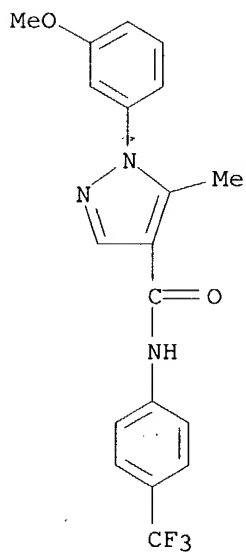
CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-(3-methylphenyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 217073-98-8 CAPLUS

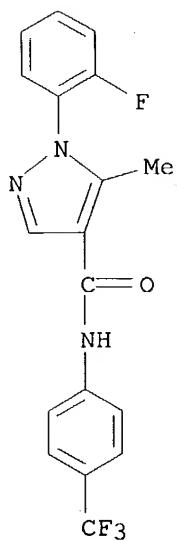
CN 1H-Pyrazole-4-carboxamide, 1-(3-methoxyphenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 217073-99-9 CAPLUS

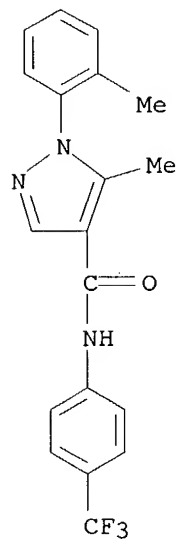
CN 1H-Pyrazole-4-carboxamide, 1-(2-fluorophenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 217074-00-5 CAPLUS

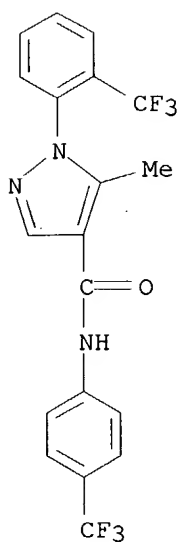
CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-(2-methylphenyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 217074-01-6 CAPLUS

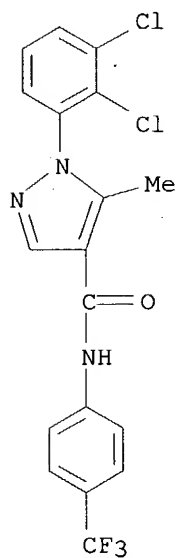
CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-[2-(trifluoromethyl)phenyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 217074-02-7 CAPLUS

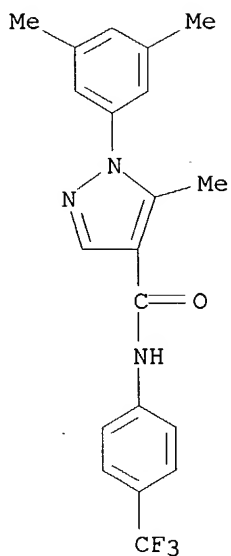
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RN 217074-03-8 CAPLUS

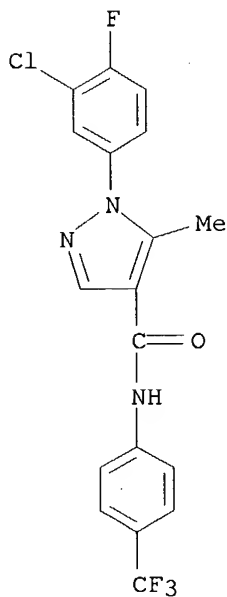
CN 1H-Pyrazole-4-carboxamide, 1-(3,5-dimethylphenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 217074-04-9 CAPLUS

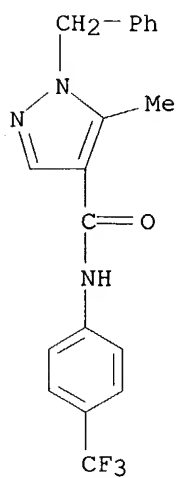
CN 1H-Pyrazole-4-carboxamide, 1-(3-chloro-4-fluorophenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 217074-05-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-(phenylmethyl)-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

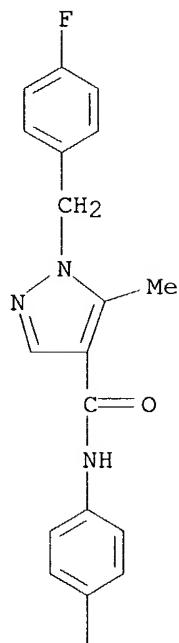
10/713,201



RN 217074-06-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-[(4-fluorophenyl)methyl]-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



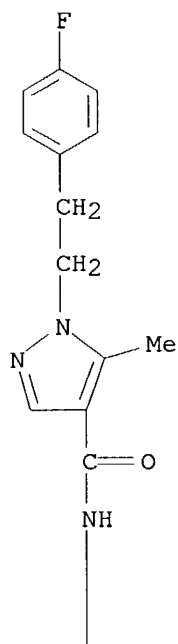
RN 217074-07-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-[2-(4-fluorophenyl)ethyl]-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

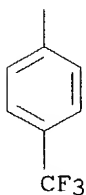
10/713,201

(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



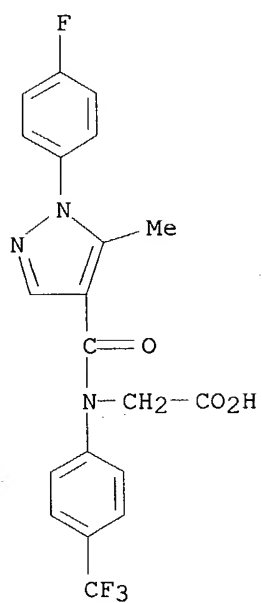
PAGE 2-A



RN 217074-08-3 CAPLUS

CN Glycine, N-[[1-(4-fluorophenyl)-5-methyl-1H-pyrazol-4-yl]carbonyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



REFERENCE COUNT:

30

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/713,201

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 DEC 2004 HIGHEST RN 796026-09-0
DICTIONARY FILE UPDATES: 9 DEC 2004 HIGHEST RN 796026-09-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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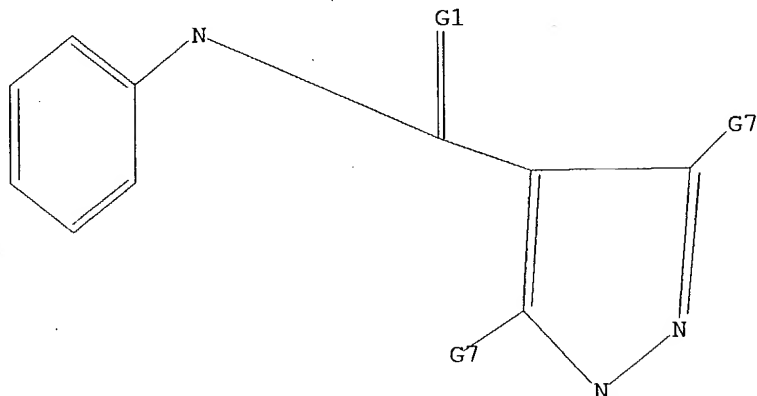
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L1 HAS NO ANSWERS

L1 STR



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G2 C,N

G3 O,S,N

G4 S,N

G5 O,S

G6 C,N

G7 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 10:52:24 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 14571 TO ITERATE

10/713,201

100.0% PROCESSED 14571 ITERATIONS
SEARCH TIME: 00.00.02

1281 ANSWERS

L2 1281 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

156.26

156.47

FILE 'CAPLUS' ENTERED AT 10:52:33 ON 11 DEC 2004

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FILE COVERS 1907 - 11 Dec 2004 VOL 141 ISS 25

FILE LAST UPDATED: 10 Dec 2004 (20041210/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 113 L2

=> d 13 1-113 ibib abs hitstr

L3 ANSWER 1 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:995918 CAPLUS

TITLE: Preparation of pyrazole-amide compounds useful as p38 kinase inhibitors

INVENTOR(S): Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina; Liu, Chunjian; Moquin, Robert V.; Wroblewski, Stephen T.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

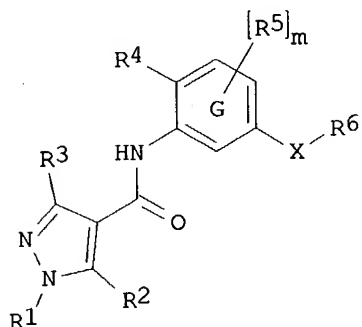
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098518	A2	20041118	WO 2004-US13594	20040503
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				

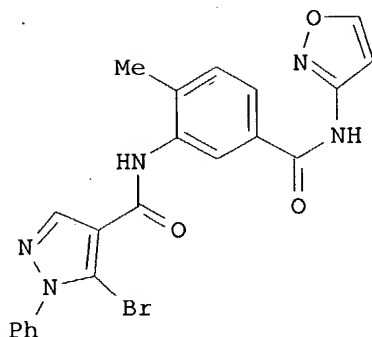
10/713,201

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

US 2004248853 A1 20041209 US 2004-838006 20040503
PRIORITY APPLN. INFO.: US 2003-467029P P 20030501
GI



I



II

AB The title compds. I [G = Ph, pyridyl; R1 = H, alkyl, aryl, etc.; R2 = H, hydroxyalkyl, alkoxyalkyl, halo, etc.; R3 = H, haloalkyl, haloalkoxy, etc.; R4 = H, alkyl, halo, etc.; R5 = haloalkyl, haloalkoxy, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, alkyl, alkoxy, phenoxy, etc.; m = 0-3; with provisos] which are useful for treating p38 kinase-associated conditions (no data), were prepared E.g., a 3-step synthesis of II, starting from Et 5-amino-1-phenyl-1H-pyrazole-4-carboxylate, was given. The invention further pertains to pharmaceutical compns. containing at least one compound I useful for treating p38 kinase-associated conditions, and methods of inhibiting the activity of p38 kinase in a mammal.

IT 521922-05-4P 521922-15-6P 521922-16-7P
676437-58-4P 690626-32-5P

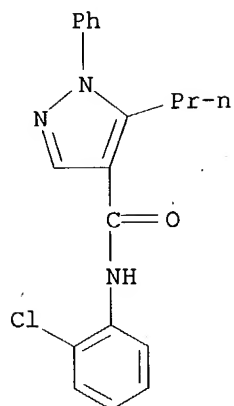
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolecarboxamides as p38 kinase inhibitors)

RN 521922-05-4 CAPLUS

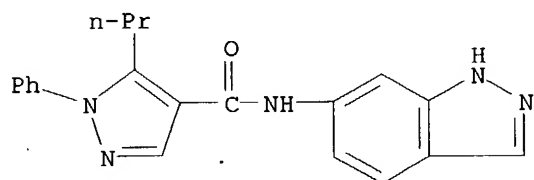
CN 1H-Pyrazole-4-carboxamide, N-(2-chlorophenyl)-1-phenyl-5-propyl- (9CI)
(CA INDEX NAME)

10/713,201



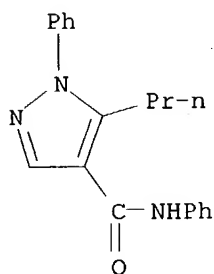
RN 521922-15-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-1H-indazol-6-yl-1-phenyl-5-propyl- (9CI) (CA INDEX NAME)



RN 521922-16-7 CAPLUS

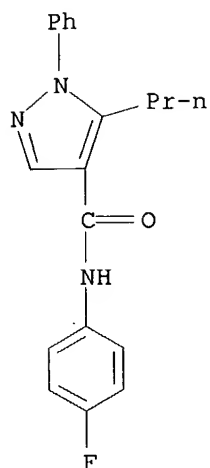
CN 1H-Pyrazole-4-carboxamide, N,1-diphenyl-5-propyl- (9CI) (CA INDEX NAME)



RN 676437-58-4 CAPLUS

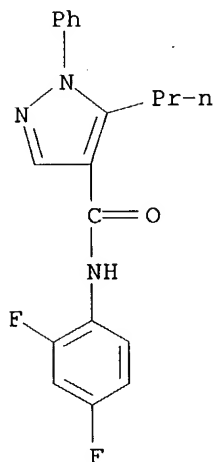
CN 1H-Pyrazole-4-carboxamide, N-(4-fluorophenyl)-1-phenyl-5-propyl- (9CI) (CA INDEX NAME)

10/713,201



RN 690626-32-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,4-difluorophenyl)-1-phenyl-5-propyl- (9CI)
(CA INDEX NAME)



L3 ANSWER 2 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:965233 CAPLUS

DOCUMENT NUMBER: 141:395545

TITLE: Preparation of heterocyclic amides exhibiting an inhibitory activity at the vanilloid receptor 1 (VR1).

INVENTOR(S): Besidski, Yevgeni; Brown, William; Johnstone, Shawn; Labrecque, Denis; Munro, Alexander; Rotticci, Didier; Walpole, Christopher; Zemribo, Ronald

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

WO 2004096784 A1 20041111 WO 2004-SE635 20040426
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.:

SE 2003-1246

A 20030428

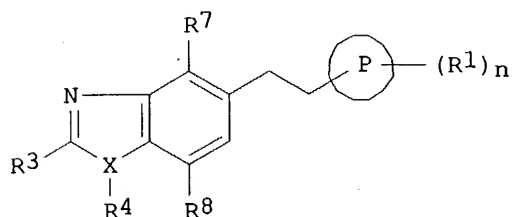
SE 2003-1305

A 20030505

SE 2004-44

A 20040112

GI



I

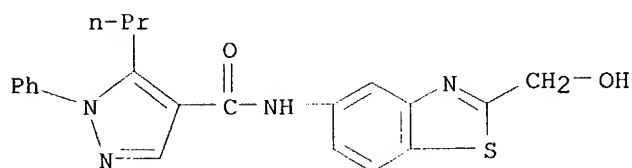
AB Title compds. I [P = aryl, cycloalkyl, etc.; R1 = NO2, NH2, halo, etc.; n = 1-5; X = O, S; R3 = H, (halo)alkyl, etc.; R4 = nil, H, alkyl, etc.; R7-8 = H, alkyl, halo, CN, etc.] are prepared For instance, allyl [(5-amino-1,3-benzothiazol-5-yl)methyl] carbonate (preparation given) is coupled to 4-(tert-butoxy)benzoic acid (CH2Cl2, DMF, EDC, DMAP) and deprotected to give 4-(tert-butoxy)-N-[2-(hydroxymethyl)-1,3-benzothiazol-5-yl]benzamide. Selected example compds. exhibited VR1 agonist activity in the order of 10-200 nM. I are useful for the treatment of pain.

IT **790689-81-5P**, N-[2-(Hydroxymethyl)-1,3-benzothiazol-5-yl]-1-phenyl-5-propyl-1H-pyrazole-4-carboxamide **790690-22-1P**, 1-Phenyl-5-propyl-1H-pyrazole-4-carboxylic acid N-(2-methyl-1,3-benzothiazol-5-yl)amide **790690-56-1P**, 1-(4-Chlorophenyl)-N-[2-(hydroxymethyl)-1,3-benzothiazol-5-yl]-5-propyl-1H-pyrazole-4-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic amides exhibiting an inhibitory activity at the vanilloid receptor 1 (VR1))

RN 790689-81-5 CAPLUS

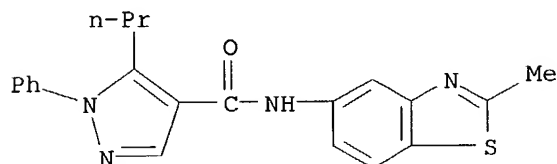
CN 1H-Pyrazole-4-carboxamide, N-[2-(hydroxymethyl)-5-benzothiazolyl]-1-phenyl-5-propyl- (9CI) (CA INDEX NAME)



10/713,201

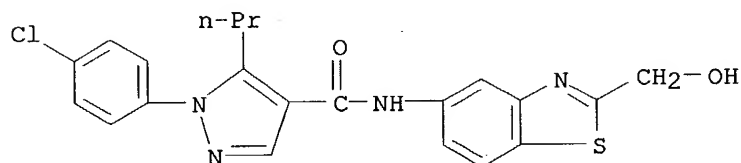
RN 790690-22-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-methyl-5-benzothiazolyl)-1-phenyl-5-propyl-
(9CI) (CA INDEX NAME)



RN 790690-56-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[2-(hydroxymethyl)-5-benzothiazolyl]-5-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:740305 CAPLUS

DOCUMENT NUMBER: 141:260782

TITLE: Preparation of dibenzo[b,e][1,4]diazepin-11-ones as
kinase inhibitors for treatment of cancer

INVENTOR(S): Hasvold, Lisa A.; Hexamer, Laura; Li, Gaoquan; Lin,
Nan-horng; Sham, Hing; Sowin, Tom; Sullivan, Gerard
M.; Wang, Le; Xia, Ping Xia

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 382 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076424	A1	20040910	WO 2004-US5728	20040226
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

10/713,201

PRIORITY APPLN. INFO.:

US 2003-375412

A 20030227

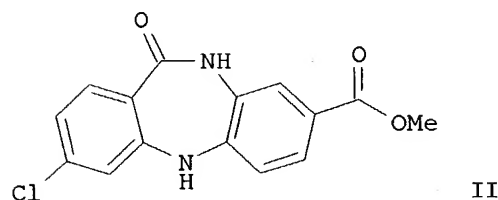
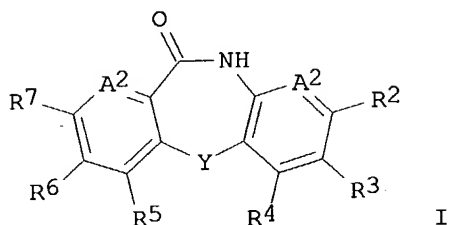
US 2004-785120

A 20040225

OTHER SOURCE(S):

MARPAT 141:260782

GI



AB Title heterocycles and analogs I [wherein A1 = CR1, N; A2 = CR8, N; R1, R8 = independently H, alkoxy, (hydroxy)alkyl, amino(alkyl), CN, halo, OH, NO2; R2-R5 = independently H, alkenyl, (alkoxy)alkoxy(alkoxy), (alkoxy)alkyl, alkoxycarbonyl(alkyl), alkylcarbonyl(alkyl), amino(alkoxy), aminoalkyl, aminocarbonyl(alkyl), aminosulfonyl, aryl(alkoxy), aryl(oxy)alkyl, carboxy(alkyl), cyano(alkyl), cycloalkyl(alkyl), halo(alkoxy), haloalkyl, heterocyclyl(alkoxy), heterocyclyl(carbonyl)alkyl, heterocycliloxyalkyl, hydroxy(alkoxy), hydroxyalkyl, nitro(alkyl), carbamoyl(alkyl); one of R6 and R7 = H and the other = H, aryl, cycloalkyl, halo, heterocyclyl, XR13; R13 = aryl, cycloalkyl, heterocyclyl; X = O, NR14, CO, S, SO2, (CH2)n, CONR14, NR14CO, SO2NR14, NR14SO2, O(CH2)m, (CH2)mO, CH=CH, C.tplbond.C; R14 = H, alkenyl, (amino)alkyl, hydroxyalkyl; Y = NR15, O; R15 = H, alkoxycarbonyl, (cyclo)alkyl, alkylcarbonyl, arylalkyl, cycloalkylalkyl; m = 0-3; n = 1-3; and therapeutically acceptable salts thereof] were prepared as protein kinase inhibitors. For example, N-alkylation of Me 3,4-diaminobenzoate with Me 4-chloro-2-iodobenzoate using Cu and K2CO3 in PhCl gave Me 2-[[2-amino-4-(methoxycarbonyl)phenyl]amino]-4-chlorobenzoate (68%), which was cyclized with 37% HCl in MeOH to provide II (87%). In enzymic assays using recombinant Chk1 kinase domain protein and human cdc25c peptide substrate, compds. of the invention inhibited Chk1 at IC50 values between about 0.2 nM and about 280µM. Thus, I and their pharmaceutical compns. are useful for treatment of cancer (no data).

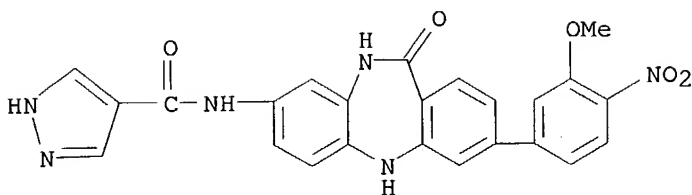
IT 755028-10-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinase inhibitor; preparation of dibenzo[b,e][1,4]diazepin-11-ones as kinase inhibitors for treatment of cancer)

RN 755028-10-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[10,11-dihydro-3-(3-methoxy-4-nitrophenyl)-11-oxo-5H-dibenzo[b,e][1,4]diazepin-8-yl]- (9CI) (CA INDEX NAME)

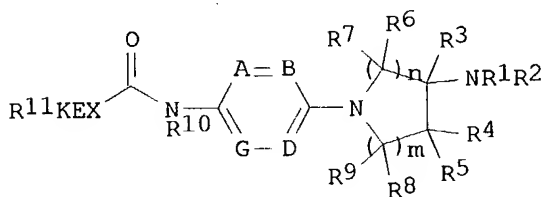


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:696342 CAPLUS
 DOCUMENT NUMBER: 141:225302
 TITLE: Preparation of N-arylheterocycles as melanin concentrating hormone (MCH) antagonists.
 INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel, Matthias; Boehme, Thomas; Hessler, Gerhard; Stahl, Petra; Gretzke, Dirk
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
 SOURCE: PCT Int. Appl., 390 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072025	A2	20040826	WO 2004-EP1342	20040213
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10306250	A1	20040909	DE 2003-10306250	20030214
US 2004220191	A1	20041104	US 2004-779853	20040217
PRIORITY APPLN. INFO.:			DE 2003-10306250	A 20030214
			US 2003-488545P	P 20030718

OTHER SOURCE(S): MARPAT 141:225302
 GI



AB Title compds. [I; R1, R2 = H, alkyl, alkoxyalkyl, aryloxyalkyl, alkylcarbonyl, alkenylcarbonyl, etc.; R1R2N = atoms to form a 4-10 membered mono-, bi-, or spirocyclic (substituted) ring; R3 = H, alkyl; R4, R5 = H, alkyl, OH, alkoxy, alkylcarbonyloxy, alkylthio; R6-R9 = H, alkyl; R6R7, R8R9 = O; A, B, D, G = N, CR42; AB, DG = CR42; R42 = H, F, Cl, Br, iodo, CF3, NO2, cyano, OCF3, alkoxy, alkylthio, alkenyl, cycloalkyl, cycloalkoxy, cycloalkenyl, alkynyl, CO2H, etc.; R10 = H, alkyl, alkenyl, alkynyl; X = NR52, O, bond, C:C, C.tplbond.C, etc.; R52 = H, alkyl; E = (substituted) C3-14 carbocyclyl, heterocyclyl; K = bond, O, CH2O, S, SO, CO, C:C, C.tplbond.C, etc.; R11 = H, alkyl, alkoxyalkyl, alkenyl, alkynyl, 3-10 membered (substituted) mono-, bi-, tri- or spirocyclic ring; EKR11 = (unsatd.) tricyclic ring; m, n = 0-2], were prepared Thus, N-[1-(4-aminophenyl)pyrrolidin-3-yl]piperidine was treated with carbonyldiimidazole and then with 4-(4-chlorophenyl)piperidine to give 4-(4-chlorophenyl)piperidine-1-carboxylic acid [4-[3-(acetylmethylamino)pyrrolidin-1-yl]phenyl]amide. The latter at 30 mg/kg orally in female NMRI mice reduced milk consumption by 64%.

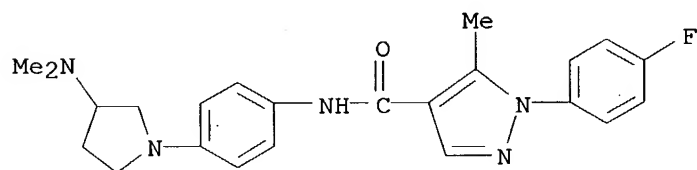
IT 748175-36-2P 748176-12-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-arylheterocycles as MCH antagonists)

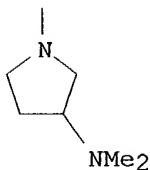
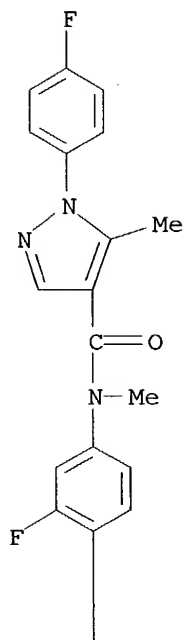
RN 748175-36-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]phenyl]-1-(4-fluorophenyl)-5-methyl- (9CI) (CA INDEX NAME)



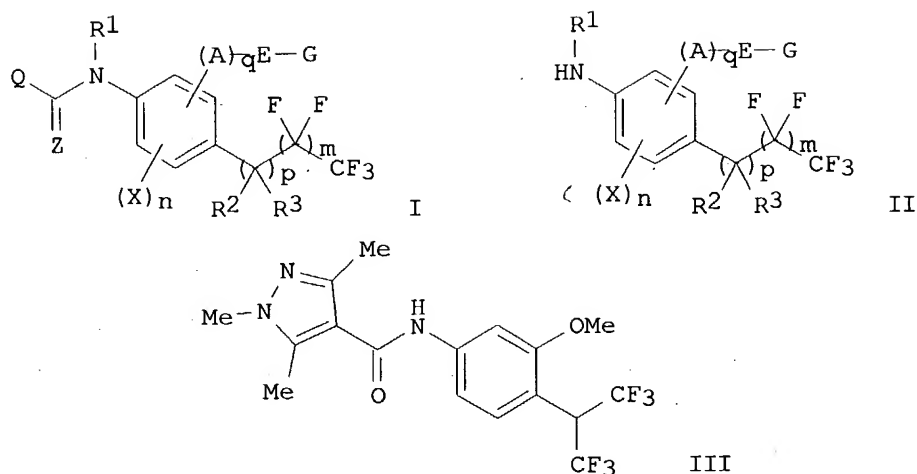
RN 748176-12-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[3-(dimethylamino)-1-pyrrolidinyl]-3-fluorophenyl]-1-(4-fluorophenyl)-N,5-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 5 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2004:549722 CAPLUS
 DOCUMENT NUMBER: 141:106463
 TITLE: Preparation of pyrazole-4-carboxylic acid anilides as pesticides
 INVENTOR(S): Furuya, Takashi; Watanabe, Masamitsu; Seo, Akira; Morimoto, Masayuki; Fujioka, Shinsuke
 PATENT ASSIGNEE(S): Nihon Nohyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 78 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004189738	A2	20040708	JP 2003-401811	20031201
PRIORITY APPLN. INFO.:			JP 2002-347936	A 20021129
OTHER SOURCE(S):	MARPAT 141:106463			
GI				



AB The title compds. with general formula of I and II [wherein R1 = H, alkyl, haloalkyl, etc.; R2 = H, halo, or haloalkyl; R3 = H, halo, alkyl, etc.; p = 0-1; m = 0-6; q = 0-1; A = alkylene, haloalkylene, etc.; E = O, S, SO, etc.; G = H, alkyl, haloalkyl, etc.; X = H, halo, CN, etc.; Z = O or S; Q = (un)substituted pyridyl, pyrimidyl, furanyl, etc.; n = 0-2; with exclusions] are prepared as pesticides, miticides, and fungicides. For example, the compound III was prepared in a multi-step synthesis. Some of the compds. I and II killed >90% *plutella xylostella* in 6 days at the concentration of 500 ppm on Chinese cabbage.

IT 719290-42-3P 719290-43-4P 719290-45-6P
 719290-47-8P 719290-49-0P 719290-50-3P
 719290-51-4P 719290-52-5P 719290-53-6P
 719290-54-7P 719290-55-8P 719290-56-9P
 719290-57-0P 719290-58-1P 719290-59-2P
 719290-60-5P 719290-61-6P 719290-62-7P
 719290-63-8P 719290-64-9P 719290-65-0P
 719290-66-1P 719290-69-4P 719290-70-7P
 719290-71-8P 719290-72-9P 719290-73-0P
 719290-74-1P 719290-75-2P 719290-76-3P
 719290-77-4P 719290-78-5P 719290-79-6P
 719290-80-9P 719290-81-0P 719290-82-1P
 719290-83-2P 719290-84-3P 719290-85-4P
 719290-86-5P 719290-87-6P 719290-88-7P
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 719290-95-6P 719290-96-7P 719290-97-8P
 719290-98-9P 719290-99-0P 719291-00-6P
 719291-01-7P 719291-02-8P 719291-03-9P
 719291-04-0P 719291-05-1P 719291-06-2P
 719291-07-3P 719291-08-4P 719291-09-5P
 719291-10-8P 719291-11-9P 719291-12-0P
 719291-13-1P 719291-14-2P 719291-15-3P
 719291-16-4P 719291-17-5P 719291-18-6P
 719291-19-7P 719291-20-0P 719291-21-1P
 719291-22-2P 719291-23-3P 719291-24-4P
 719291-25-5P 719291-26-6P 719291-27-7P
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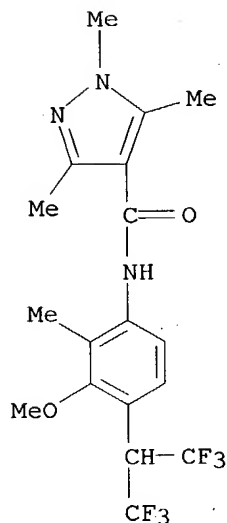
719291-34-6P 719291-35-7P 719291-36-8P
 719291-37-9P 719291-38-0P 719291-39-1P
 719291-40-4P 719291-41-5P 719291-42-6P
 719291-43-7P 719291-44-8P 719291-45-9P
 719291-46-0P 719291-47-1P 719291-48-2P
 719291-49-3P 719291-50-6P 719291-51-7P
 719291-52-8P 719291-53-9P 719291-54-0P
 719291-55-1P 719291-56-2P 719291-57-3P
 719291-58-4P 719291-59-5P 719291-60-8P
 719291-62-0P 719291-63-1P 719291-64-2P
 719291-65-3P 719291-66-4P 719291-71-1P
 719291-72-2P 719293-03-5P 719293-07-9P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pesticide; preparation of pyrazole-4-carboxylic acid anilides as pesticides)

RN 719290-42-3 CAPLUS

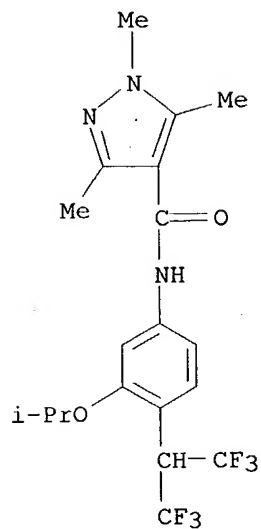
CN 1H-Pyrazole-4-carboxamide, N-[3-methoxy-2-methyl-4-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



RN 719290-43-4 CAPLUS

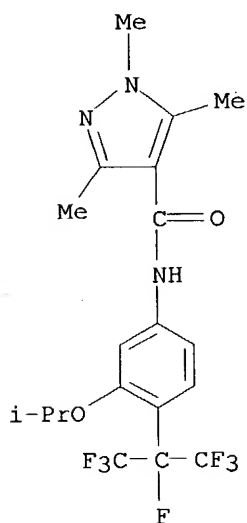
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[3-(1-methylethoxy)-4-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 719290-45-6 CAPLUS

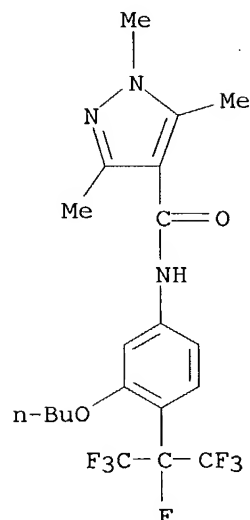
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[3-(1-methylethoxy)-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 719290-47-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[3-(1-methylethoxy)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

10/713,201



L3 ANSWER 6 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:509994 CAPLUS

DOCUMENT NUMBER: 141:54333

TITLE: Preparation of biphenylcarboxamides as agricultural fungicides and insecticides

INVENTOR(S): Dunkel, Ralf; Elbe, Hans-Ludwig; Rieck, Heiko; Greul, Joerg Nico; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Dahmen, Peter; Kuck, Karl-Heinz; Loesel, Peter

PATENT ASSIGNEE(S): Bayer Cropscience AG, Germany

SOURCE: Ger. Offen., 70 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

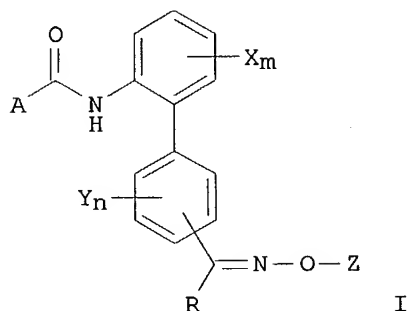
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10258314	A1	20040624	DE 2002-10258314	20021213
WO 2004054982	A1	20040701	WO 2003-EP13498	20031201
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: DE 2002-10258314 A 20021213

OTHER SOURCE(S): MARPAT 141:54333

GI



AB Title compds. [I; R = H, alkyl, haloalkyl; Z = alkenyl, alkynyl, haloalkenyl, haloalkynyl; X, Y = halo, cyano, NO₂, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, haloalkylthio; m, n = 0-4; A = 5-6 membered substituted heterocyclyl], were prepared Thus, 2'-amino-1,1'-biphenyl-4-carbaldehyde O-allyloxime (preparation given) and Et₃N was treated with 4-difluoromethyl-2-methylthiazole-5-carbonyl chloride in PhMe at room temperature followed by stirring for 3 h at 50° to give 49.6% N-(4'-[(E)-[(allyloxy)imino]methyl]-1,1'-biphenyl-2-yl)-4-(difluoromethyl)-2-methyl-1,3-thiazole-5-carboxamide. The latter at 100 ppm gave 100% control of *Venturia inaequalis*.

IT 705943-47-1P 705944-70-3P 705944-80-5P

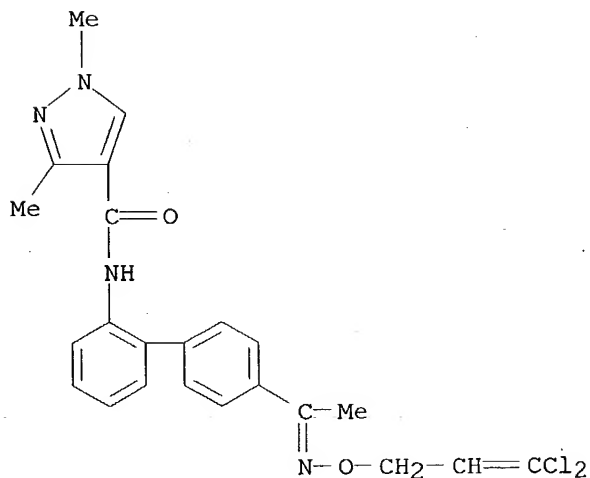
705944-97-4P 705944-98-5P 705944-99-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biphenylcarboxamides as agricultural fungicides and insecticides)

RN 705943-47-1 CAPLUS

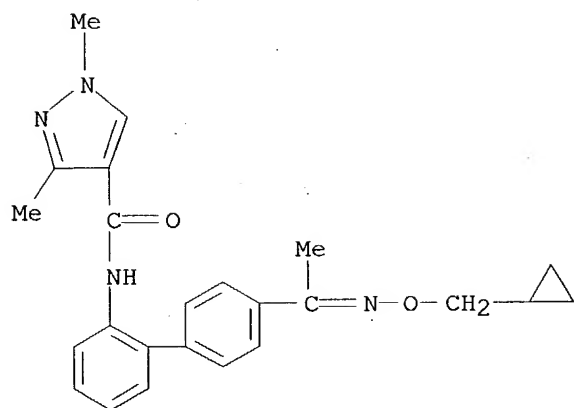
CN 1H-Pyrazole-4-carboxamide, N-[4'-[1-[(3,3-dichloro-2-propenyl)oxy]imino]ethyl][1,1'-biphenyl]-2-yl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 705944-70-3 CAPLUS

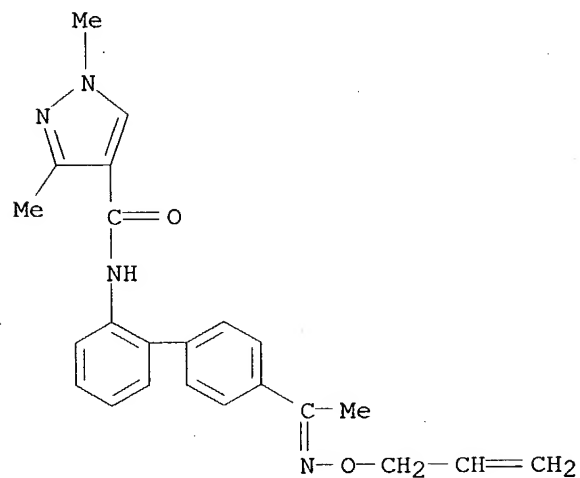
CN 1H-Pyrazole-4-carboxamide, N-[4'-[1-[(cyclopropylmethoxy)imino]ethyl][1,1'-biphenyl]-2-yl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



RN 705944-80-5 CAPLUS

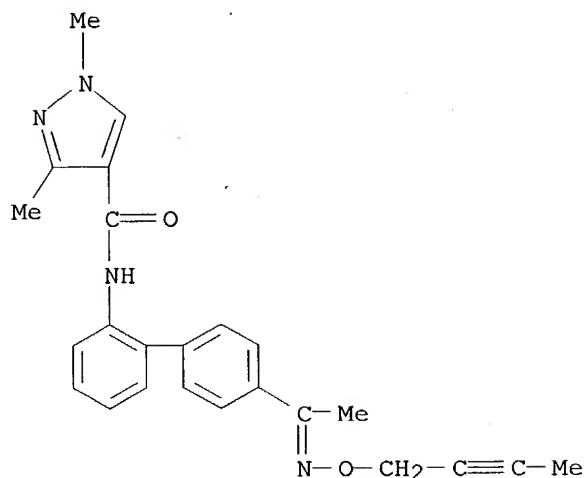
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[4'-[1-[(2-propenyloxy)imino]ethyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



RN 705944-97-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[4'-[1-[(2-methyl-2-propenyl)oxy]imino]ethyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)

10/713,201



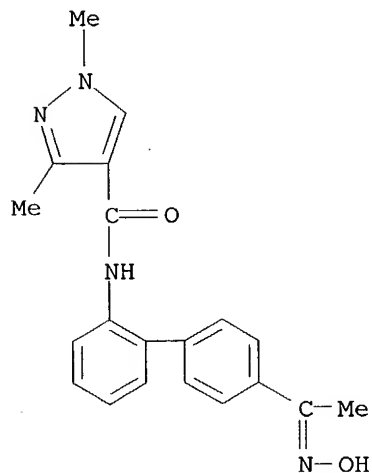
IT 705943-53-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of biphenylcarboxamides as agricultural fungicides and insecticides)

RN 705943-53-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4'-[1-(hydroxyimino)ethyl][1,1'-biphenyl]-2-yl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 7 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:490722 CAPLUS

DOCUMENT NUMBER: 141:54321

TITLE: Preparation of 3-(2-hydroxyphenyl)-1H-pyrazole-4-carboxamides as HSP90 inhibitors for the treatment of cancer

INVENTOR(S): Beswick, Mandy Christine; Brough, Paul Andrew; Drysdale, Martin James; Dymock, Brian William

PATENT ASSIGNEE(S): Vernalis (Cambridge) Limited, UK; Cancer Research Technology Ltd.; The Institute of Cancer Research

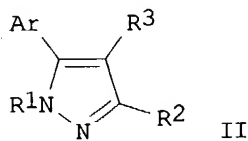
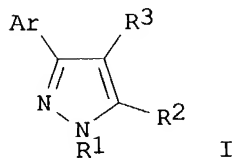
SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

10/713,201

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050087	A1	20040617	WO 2003-GB5275	20031204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2002-28417	A 20021205
OTHER SOURCE(S):		MARPAT 141:54321		
GI				



AB Title compds. [I, II; Ar = (further substituted) 2-hydroxyaryl, 2-hydroxyheteroaryl; R1 = H, (substituted) alkyl; R2 = H, (substituted) cycloalkyl, cycloalkenyl, alkyl, alkenyl, alkynyl, carboxyl, carboxamide, carboxyl ester group; R3 = carboxamide group], were prepared Thus, O-(7-azabenzotriazolyl)-N,N,N',N'-tetramethyluronium hexafluorophosphate, 3-(2,4-bisbenzyloxy-5-chlorophenyl)-1(2)-(2-trimethylsilylethoxymethyl)-1H-pyrazole-4-carboxylic acid (preparation given), 4-aminoacetophenone, and diisopropylethylamine were heated together in DMF at 100° for 5 min. using microwave heating and the mixture was kept 2 h at ambient temperature

to give a residue which was stirred overnight with BCl3 in CH2Cl2 to give 3-(5-chloro-2,4-dihydroxyphenyl)-1H-pyrazole-4-carboxylic acid (4-acetylphenyl)amide. The latter showed IC50 <50 µM in the malachite green ATPase assay using yeast HSP90.

IT 705963-39-9P 705963-40-2P 705963-41-3P
 705963-42-4P 705963-43-5P 705963-45-7P
 705963-46-8P

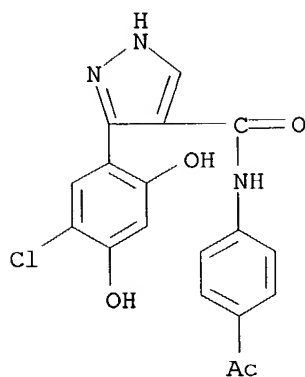
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of hydroxyphenylpyrazolecarboxamides as HSP90 inhibitors for the treatment of cancer)

RN 705963-39-9 CAPLUS

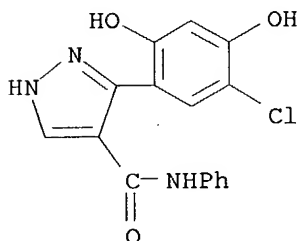
CN 1H-Pyrazole-4-carboxamide, N-(4-acetylphenyl)-3-(5-chloro-2,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)

10/713,201



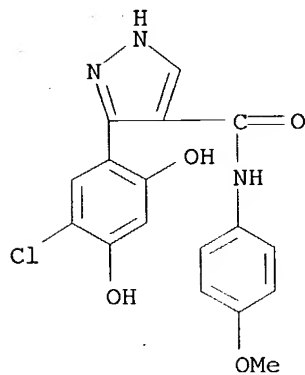
RN 705963-40-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(5-chloro-2,4-dihydroxyphenyl)-N-phenyl-
(9CI) (CA INDEX NAME)



RN 705963-41-3 CAPLUS

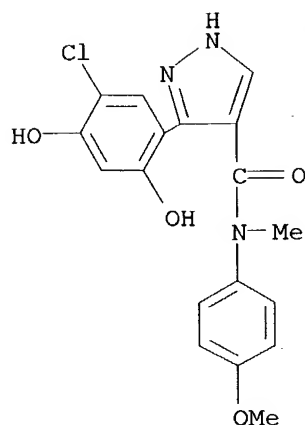
CN 1H-Pyrazole-4-carboxamide, 3-(5-chloro-2,4-dihydroxyphenyl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 705963-42-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(5-chloro-2,4-dihydroxyphenyl)-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

10/713,201



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:467892 CAPLUS

DOCUMENT NUMBER: 141:38606

TITLE: Pyrazoloquinolines and analogs with CD80 antagonist immunomodulating activity, and their preparation, pharmaceutical compositions, and use

INVENTOR(S): Matthews, Ian Richard; Coulter, Thomas Stephen; Ghiron, Chiara; Brennan, Chris James; Uddin, Muhammed Kamal; Pettersson, Lars Olof Goeran; Da Graca Thrige, Dorthie; Huxley, Philip

PATENT ASSIGNEE(S): Active Biotech AB, Swed.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048378	A1	20040610	WO 2003-SE1805	20031121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004116461	A1	20040617	US 2003-717519	20031121
PRIORITY APPLN. INFO.:			SE 2002-3471	A 20021122
			US 2002-428240P	P 20021122
			SE 2003-1299	A 20030506
			SE 2003-1851	A 20030625
			US 2003-482122P	P 20030625

OTHER SOURCE(S): MARPAT 141:38606

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel heterocyclic compds., to methods for their preparation, to compns. containing them, and to methods and use for clin. treatment

of medical conditions which may benefit from immunomodulation, including rheumatoid arthritis, multiple sclerosis, diabetes, asthma, transplantation, systemic lupus erythematosus, and psoriasis. More particularly, the invention relates to novel heterocyclic compds. I, which are CD80 antagonists capable of inhibiting the interactions between CD80 and CD28. In formula I, R1 and R3 independently represent H, F, Cl, Br, NO2, CN, C1-C6 alkyl optionally substituted by F or Cl, or C1-C6 alkoxy optionally substituted by F; R2 represents H, or optionally substituted C1-C6 alkyl, C3-C7 cycloalkyl, or optionally substituted Ph; Y represents O, S, N-oxide, or N(R5), wherein R5 represents H or C1-C6 alkyl; X represents a bond or a divalent C1-C6 alkylene radical; R4 represents -C(O)NR6R7, -NR7C(O)R6, -NR7C(O)OR6, -NHC(O)NHR6, or -NHC(S)NHR6, wherein R6 represents H, or a radical of formula -(Alk)b-Q wherein b = 0-1 and Alk is an optionally substituted divalent straight chain or branched C1-C12 alkylene, C2-C12 alkenylene or C2-C12 alkynylene radical which may be interrupted by one or more non-adjacent -O-, -S- or -N(R8)- radicals wherein R8 represents H or C1-C4 alkyl, C3-C4 alkenyl, C3-C4 alkynyl, or C3-C6 cycloalkyl, and Q represents H, CF3, OH, SH, NR8R8 wherein each R8 may be the same or different, an ester group, or an optionally substituted Ph, C3-C7 cycloalkyl, C5-C7 cycloalkenyl or heterocyclic ring having from 5 to 8 ring atoms; and R7 represents H or C1-C6 alkyl; or when taken together with the atom or atoms to which they are attached, R6 and R7 form an optionally substituted heterocyclic ring having from 5 to 8 ring atoms. Approx. 170 example compds. and several intermediates were prepared. For instance, invention compound II (claimed individually) was prepared in 5 steps: (1) cyclocondensation of 3-cyclopropyl-3-oxopropionic acid Me ester with Et 2-aminobenzoate to give a quinolone derivative, (2) conversion of the quinolone ester to a chloroquinoline ester with POCl3, (3) cyclocondensation of the latter with 4-hydrazinobenzoic acid to form the pyrazole ring, (4) conversion of the free acid group to an acid chloride, and (5) amidation with H2N(CH2)3NMe2. In a cell-free, Eu/APC-based, homogeneous time-resolved fluorescence (HTRF) assay, used to determine inhibition of CD80-CD28 interaction, II had EC50 < 1 µM.

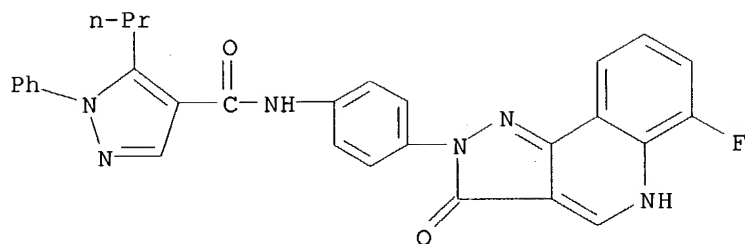
IT **702704-43-6P**, N-[4-(6-Fluoro-3-oxo-3,5-dihydropyrazolo[4,3-c]quinolin-2-yl)phenyl]-1-phenyl-5-propylpyrazole-4-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazoloquinolines and analogs as CD80 antagonists and immunomodulators)

RN 702704-43-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-(6-fluoro-3,5-dihydro-3-oxo-2H-pyrazolo[4,3-c]quinolin-2-yl)phenyl]-1-phenyl-5-propyl- (9CI) (CA INDEX NAME)

10/713,201

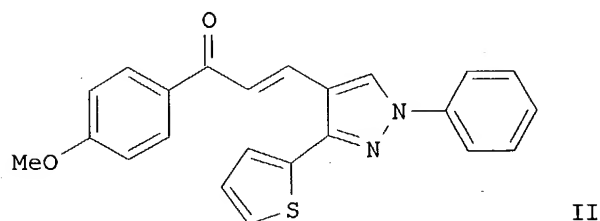
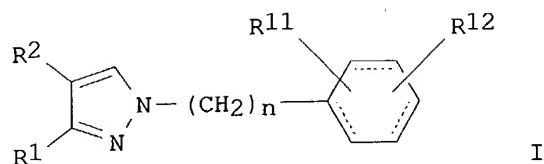


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:430797 CAPLUS
DOCUMENT NUMBER: 141:7108
TITLE: Preparation of pyrazoles as modulators of peroxisome proliferator activated receptors (PPARs), in particular PPAR γ agonists
INVENTOR(S): Huck, Jacques; Saladin, Regis; Sierra, Michael
PATENT ASSIGNEE(S): Carex SA, Fr.
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043951	A1	20040527	WO 2003-EP11855	20031024
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			EP 2002-360298	A 20021024
			EP 2002-360372	A 20021220
			EP 2002-360373	A 20021220
			US 2003-456954P	P 20030325
			EP 2003-360070	A 20030611
			EP 2003-360091	A 20030724

OTHER SOURCE(S): MARPAT 141:7108
GI



AB Title compds. I [wherein R1 = H, CF₃, (un)substituted alkyl, cycloalkyl, heterocyclcyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO₂H and derivs., OH and derivs., NH₂ and derivs., etc.; their analogs, derivs., solvates or salts] were prepared for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR γ agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (preparation given) was reacted with 1-(4-methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR γ . II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR γ partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

IT **367512-22-9P**, 1-Phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-ethyl-N-phenylamide **372098-35-6P**, 1-Phenyl-3-(pyridin-3-yl)-1H-pyrazole-4-carboxylic acid N-(4-methoxyphenyl)amide **372098-48-1P**, 1,3-Diphenyl-1H-pyrazole-4-carboxylic acid N-(4-methoxyphenyl)amide **372098-59-4P**, 3-(4-Chlorophenyl)-1-phenyl-1H-pyrazole-4-carboxylic acid N-(4-methoxyphenyl)amide **374559-74-7P**, 1-Phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-(4-benzyloxyphenyl)amide **378786-06-2P**, 1-Phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-(4-chlorophenyl)amide **379219-07-5P**, 1-Phenyl-3-(p-tolyl)-1H-pyrazole-4-carboxylic acid N-(4-methoxyphenyl)amide **380442-54-6P**, 1-Phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-(4-trifluoromethylphenyl)amide **380442-80-8P**, 1-Phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-(4-carbamoylphenyl)amide **380443-00-5P**, 1-Phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-(4-dimethylaminophenyl)amide **380463-28-5P**, 3-(4-Chlorophenyl)-1-phenyl-1H-pyrazole-4-carboxylic acid N-(4-acetylphenyl)amide **380463-31-0P**, 3-(3,4-

10/713,201

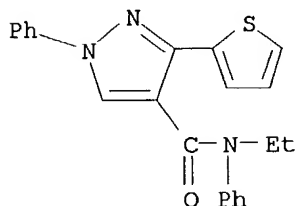
694435-90-0P, 1-Benzyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxylic acid N-(4-methoxyphenyl)amide **694436-30-1P**, 3-(4-Fluorophenyl)-1-phenyl-1H-pyrazole-4-carboxylic acid N-[4-(pyridin-2-yl)methoxy]phenyl]amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR γ agonist; preparation of pyrazoles as modulators of peroxisome proliferator activated receptors (PPARs), in particular PPAR γ agonists)

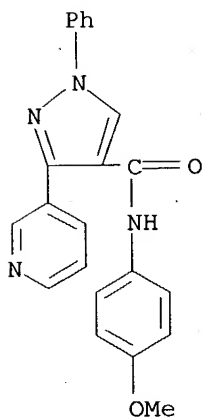
RN 367512-22-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-ethyl-N,1-diphenyl-3-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 372098-35-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1-phenyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



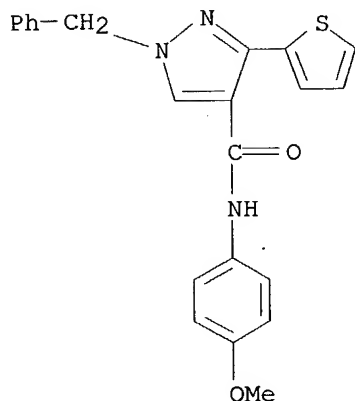
RN 372098-48-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1,3-diphenyl- (9CI) (CA INDEX NAME)

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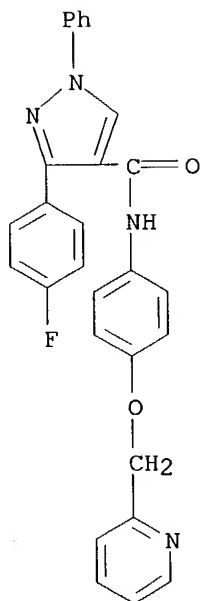
RN 694435-90-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1-(phenylmethyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 694436-30-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(4-fluorophenyl)-1-phenyl-N-[4-(2-pyridinylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:368922 CAPLUS

DOCUMENT NUMBER: 140:391277

TITLE: Preparation of 1-phenyl-3-(2-thienyl)pyrazole derivatives as peroxisome proliferator activated receptors modulators

INVENTOR(S): Huck, Jacques; Saladin, Regis; Sierra, Michael; Klotz, Evelyne

PATENT ASSIGNEE(S): Carex S. A., Fr.

SOURCE: PCT Int. Appl., 124 pp.

10/713,201

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

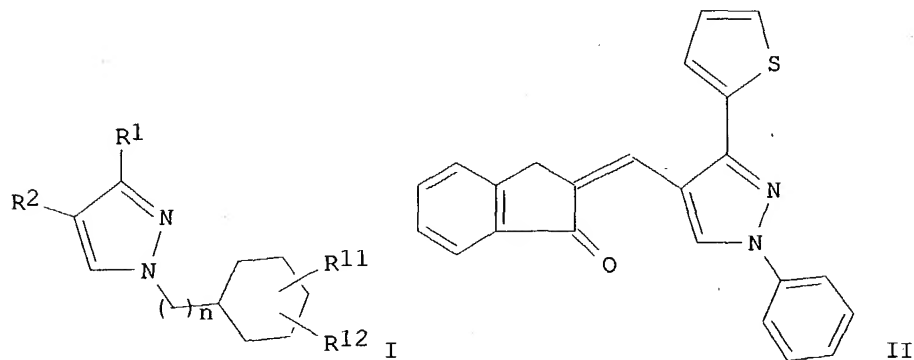
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037248	A2	20040506	WO 2003-EP11710	20031022
WO 2004037248	A3	20040603		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2002-360298 A 20021024
EP 2002-360372 A 20021220
EP 2002-360373 A 20021220
US 2003-456954P P 20030325
EP 2003-360070 A 20030611
EP 2003-360091 A 20030724

OTHER SOURCE(S): MARPAT 140:391277
GI



AB The title compds. I [wherein R1 = H, CF3, (un)substituted alkyl, cycloalkyl, aryl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, (un)substituted CO2H, COH, OH, NH2, etc.] or solvates or salts thereof are prepared for modulating peroxisome proliferator activated receptors (PPARs), and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(2-thienyl)pyrazole-4-carboxaldehyde (preparation given) was reacted with 1-indanone in isopropanol to give II (55%). I are useful for the treatment of diabetes, atherosclerosis, etc. (no data).

IT 367512-21-8P 686769-59-5P 686769-88-0P
686769-93-7P 686769-99-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

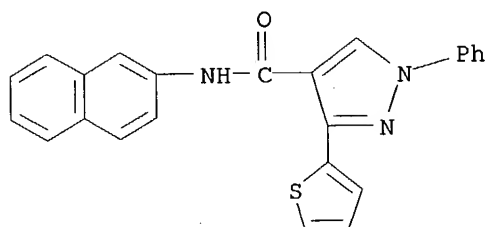
10/713,201

(Uses)

(drug candidate; preparation of (phenyl)(thienyl)pyrazole derivs. as PPARs modulators)

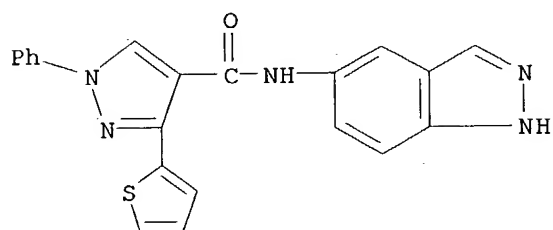
RN 367512-21-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-2-naphthalenyl-1-phenyl-3-(2-thienyl)- (9CI)
(CA INDEX NAME)



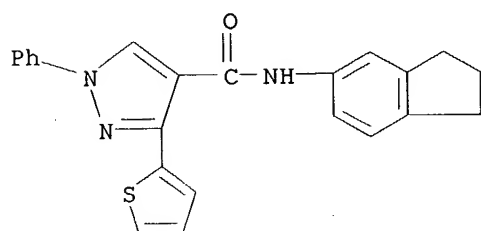
RN 686769-59-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-1H-indazol-5-yl-1-phenyl-3-(2-thienyl)- (9CI)
(CA INDEX NAME)



RN 686769-88-0 CAPLUS

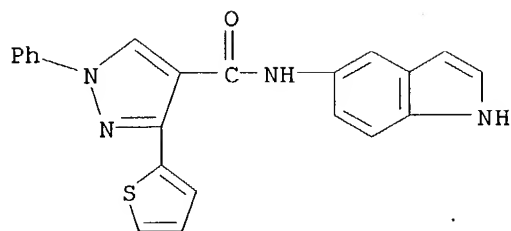
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1H-inden-5-yl)-1-phenyl-3-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 686769-93-7 CAPLUS

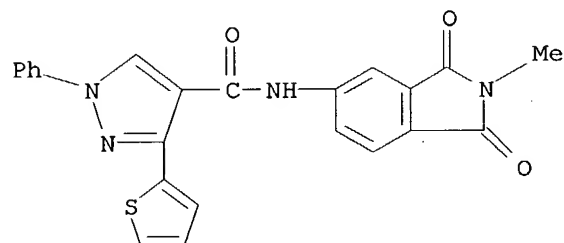
CN 1H-Pyrazole-4-carboxamide, N-1H-indol-5-yl-1-phenyl-3-(2-thienyl)- (9CI)
(CA INDEX NAME)

10/713,201



RN 686769-99-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-2-methyl-1,3-dioxo-1H-isoindol-5-yl)-1-phenyl-3-(2-thienyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:354916 CAPLUS

DOCUMENT NUMBER: 140:357359

TITLE: Preparation of azolecarboxamide herbicides

INVENTOR(S): Chan, Dominic Ming-tak; Kamireddy, Balreddy; Kim, Hyeong Baik; Patel, Kanu Maganbhai; Sharpe, Paula Louise; Casini, Mark S.; Xu, Ming; Armel, Gregory Russell; Stevenson, Thomas Martin

PATENT ASSIGNEE(S): E.I. Du Pont De Nemours and Company, USA

SOURCE: PCT Int. Appl., 272 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035545	A2	20040429	WO 2003-US32965	20031015
WO 2004035545	A3	20040805		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2004106324	A1	20041209	WO 2004-US10711	20040407
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,			

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG

PRIORITY APPLN. INFO.:

US 2002-419696P

P 20021018

US 2003-473866P

P 20030527

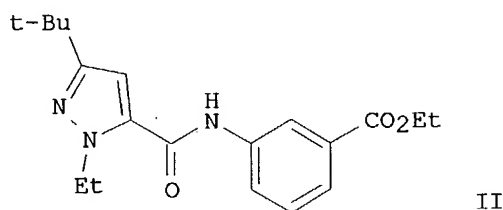
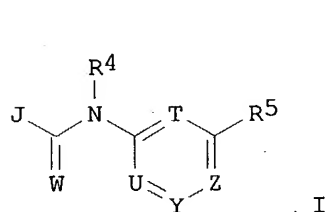
WO 2003-US32965

A 20031015

OTHER SOURCE(S):

MARPAT 140:357359

GI



AB The title compds. [I; J = (un)substituted pyrazolyl, thiazolyl, [1,2,3]triazolyl; T = CR₆, N, U = CR₇, N; Y = CR₈, N; Z = CR₉, N; R₄ = H, alkyl, alkylcarbonyl, etc.; R₅ = ester, substituted amido such as CONH₂, CONEt₂, CONMe₂, etc.; R₆-R₉ = H, F, alkyl, etc.; W = O, S; with provisos] which are useful for controlling undesired vegetation (biol. data given), were prepared E.g., a multi-step synthesis of II, starting from di-Et oxalate and pinacolone, was given. Also disclosed are compns. containing the compds. I and a method for controlling undesired vegetation which involves contacting the vegetation or its environment with an effective amount of a compound I. Also disclosed are mixts. and compns. comprising a herbicidally effective amount of a compound I and an effective amount of another herbicide

or

herbicide safener. Also disclosed is a method for selectively controlling undesired vegetation in a crop that involves contacting the locus of a crop with an effective amount of a compound I and a effective amount of a safener.

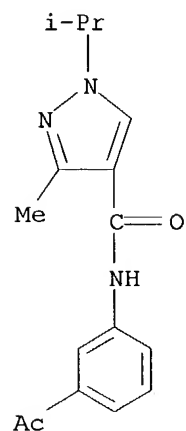
IT 682756-43-0P 682756-45-2P 682756-47-4P
 682756-49-6P 682756-51-0P 682756-53-2P
 682756-55-4P 682756-57-6P 682756-58-7P
 682756-59-8P 682756-60-1P 682756-61-2P
 682756-64-5P 682756-65-6P 682756-66-7P
 682756-67-8P 682756-68-9P 682756-70-3P
 682756-71-4P 682756-72-5P 682756-75-8P
 682756-76-9P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of azolecarboxamide herbicides)

RN 682756-43-0 CAPLUS

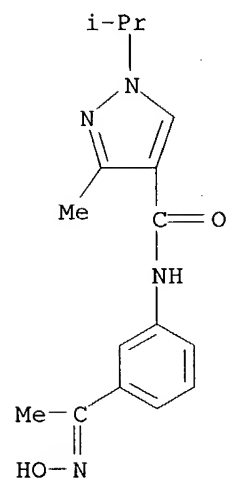
CN 1H-Pyrazole-4-carboxamide, N-(3-acetylphenyl)-3-methyl-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

10/713,201



RN 682756-45-2 CAPLUS

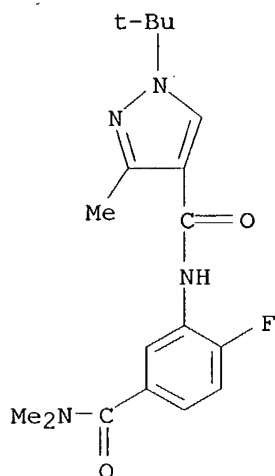
CN 1H-Pyrazole-4-carboxamide, N-[3-[1-(hydroxyimino)ethyl]phenyl]-3-methyl-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



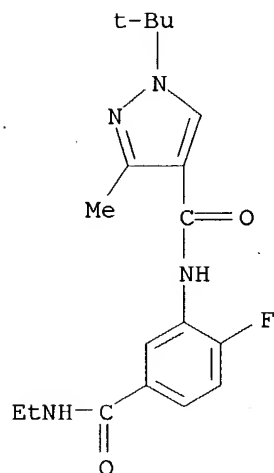
RN 682756-47-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(1,1-dimethylethyl)-3-ethyl-N-[3-((ethylamino)carbonyl)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 682756-76-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(1,1-dimethylethyl)-N-[5-
[(ethylamino)carbonyl]-2-fluorophenyl]-3-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 12 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143094 CAPLUS
DOCUMENT NUMBER: 140:199743
TITLE: Preparation of substituted (2S)-(arylamino)-3-
(biphenyl-4-yl)propionic acids as antagonists of
factor IX for inhibiting the intrinsic pathway of
blood coagulation
INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Guo,
Xiao-chuan; Christen, Daniel Peter; Gohimmukkula, Devi
Reddy; Huang, Guoxiang; Rothlein, Robert; Tyagi,
Sameer; Yaramasu, Tripura; Behme, Christopher
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 326 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

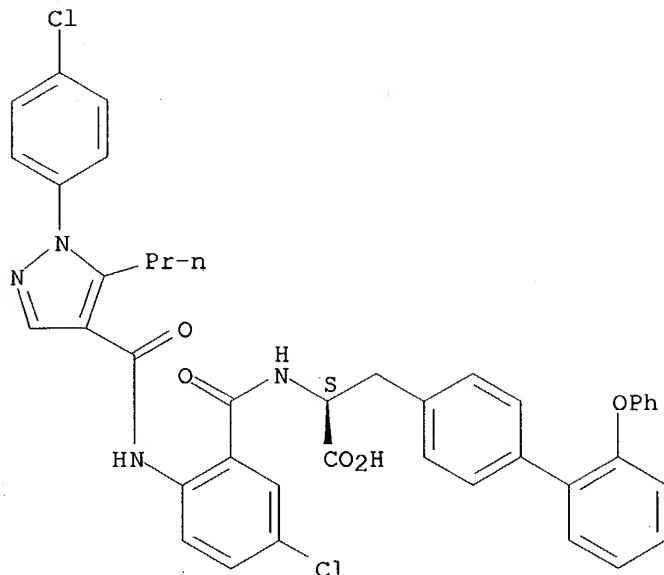
10/713,201

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014844	A2	20040219	WO 2003-US25045	20030808
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004110832	A1	20040610	US 2003-637900	20030808
PRIORITY APPLN. INFO.:			US 2002-402272P	P 20020809
OTHER SOURCE(S):	MARPAT 140:199743			
AB	The title compds. Ar2XCH(VAr1)(CH2)cG [I; c = 0-2; G = H, CO2R1, CH2OR1, COR1, CR1:NOR2, an acid isostere (wherein R1, R2 = H, alkyl, aryl, etc.); V = (CH2)bO(CH2)a, (CH2)bNR7(CH2)a, (CH2)bO, (CH2)bNR7, (CH2)a, a bond (a = 0-2; b = 1-2; R7 = H, alkyl, aryl, etc.); X = NR8, COR8, NR8CO, etc. (R8 = H, alkyl, aryl, etc.); Ar1 = (un)substituted aryl, heteroaryl, cycloalkylaryl, etc.; Ar2 = (un)substituted aryl or heteroaryl], useful as antagonists, or more preferably, partial antagonists of factor IX and thus, may be used to inhibit the intrinsic pathway of blood coagulation, were prepared Thus, reacting Me 2-L-amino-3-biphenyl-4-yl-propionate with isoquinoline-3-carboxylic acid followed by hydrolysis afforded 81% 3-biphenyl-4-yl-(2S)-[(isoquinoline-3-carbonyl)amino]propionic acid. The compds. I inhibit factor IX with IC50 of less than 30 µM, and are useful in a variety of applications including the management, treatment and/or control of diseases caused in part by the intrinsic clotting pathway utilizing factor IX. Such diseases or disease states include stroke, myocardial infarction, aneurysm surgery, and deep vein thrombosis associated with surgical procedures, long periods of confinement, and acquired or inherited pro-coagulant states. The pharmaceutical composition comprising the compound I is claimed.			
IT	660827-50-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted (2S)-(arylamino)-3-(biphenyl-4-yl)propionic acids as antagonists of factor IX for inhibiting the intrinsic pathway of blood coagulation)			
RN	660827-50-9 CAPLUS			
CN	[1,1'-Biphenyl]-4-propanoic acid, α-[[5-chloro-2-[[[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]carbonyl]amino]benzoyl]amino]-2'-phenoxy-, (αS)- (9CI) (CA INDEX NAME)			

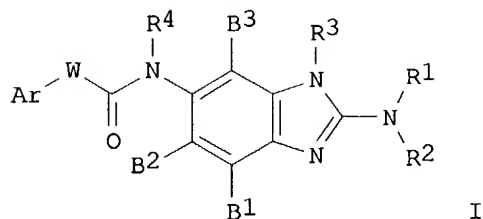
Absolute stereochemistry.

10/713,201



L3 ANSWER 13 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:101143 CAPLUS
DOCUMENT NUMBER: 140:146168
TITLE: Antagonist of melanin-concentrating hormone receptor
comprising benzimidazole derivative as active
ingredient
INVENTOR(S): Moriya, Minoru; Kanatani, Akio; Iwaasa, Hisashi;
Ishihara, Akane; Fukami, Takehiro
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011440	A1	20040205	WO 2003-JP9610	20030729
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			JP 2002-220905	A 20020730
OTHER SOURCE(S):		MARPAT 140:146168		
GI				



AB Disclosed are antagonists of melanin-concentrating hormone receptor (MCH) comprising benzimidazole derivs. of the general formula (I) as active ingredients [wherein B1, B2, B3 = H, halo, lower alkyl, lower alkoxy; R1, R2 = H, 3- to 10-membered ring alicyclyl, lower alkyl optionally substituted by 3- to 10-membered ring alicyclyl, 3- to 10-membered ring N-containing aliphatic heterocyclyl; provided that R1 and R2 are not simultaneously H; R3 = H, (un)substituted lower alkyl; R4 = H, lower alkyl; W = a bond, mono- or bicyclic 3- to 10-membered ring aromatic or aliphatic heterocyclyl or carbocyclyl, C2-4 alkylene or alkenylene optionally having a carbon atom replaced by O in the main chain; Ar = mono- or bicyclic aromatic carbocyclyl or heterocyclyl]. Also disclosed are preventives or therapeutic agents containing the compds. I as the active ingredients for (1) metabolic diseases such as obesity, diabetes, hormone secretion abnormality, hyperlipidemia, gout, fatty liver, hepatitis, and liver cirrhosis, (2) circulatory diseases such as angina pectoris, acute ischemic heart failure, myocardial infarction, coronary arteriosclerosis, hypertension, kidney diseases, and electrolyte abnormality, (3) central or peripheral nerve diseases such as overeating, affective disorder, depression, anxiety, delirium, epilepsy, dementia, motor coordination disorder, attention deficiency-hyperactive (hyperkinesis) disorder, memory disorder, sleep disorder, cognition disorder, dyskinesia, sensation abnormality, olfaction disorder, morphine resistance, drug dependence, and alcoholism, (4) reproduction diseases such as sterility, premature labor, and sexual function disorder, (5) digestive tract diseases, (5) cancer, and (6) skin pigmentation. Thus, 5-(4-fluorophenyl)-N-[2-[isopropyl(methyl)amino]-1H-benzimidazol-6-yl]-2-pyrazinecarboxamide hydrochloride showed IC50 of 3.3 nM for inhibiting the binding of [125I]MCH to human MCH-1R and dose-dependently suppressed the MCH-induced feeding of rat.

IT 652979-10-7P

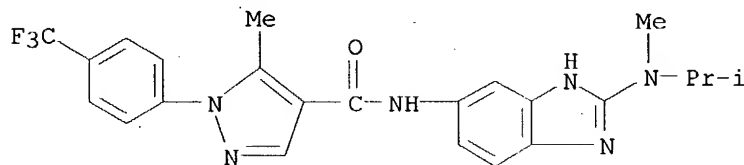
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole derivs. as antagonists of melanin-concentrating

hormone receptor and drugs for central or peripheral nerve diseases, circulatory diseases, and metabolic diseases)

RN 652979-10-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-[2-[methyl(1-methylethyl)amino]-1H-benzimidazol-5-yl]-1-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

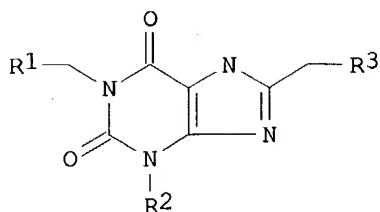


10/713,201

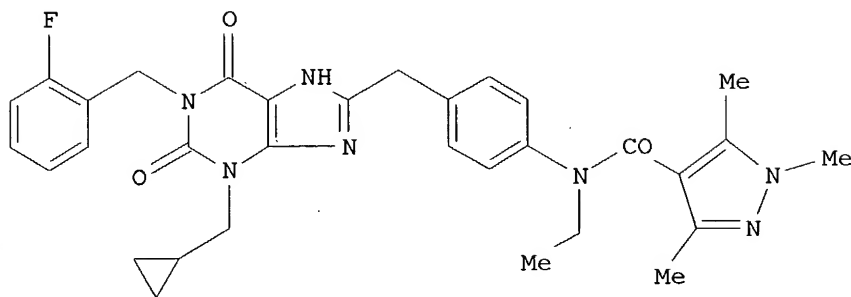
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003;1006985 CAPLUS
DOCUMENT NUMBER: 140:59656
TITLE: Preparation of amide-substituted xanthine derivatives as phosphoenolpyruvate carboxykinase inhibitors with gluconeogenesis modulating activity for treating type 2 diabetes
INVENTOR(S): Dunten, Pete William; Foley, Louise Helen; Hubby, Nicholas John Silvester; Pietranico-Cole, Sherrie Lynn; Yun, Weiya
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106459	A1	20031224	WO 2003-EP5922	20030605
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004014766	A1	20040122	US 2003-459944	20030612
PRIORITY APPLN. INFO.:			US 2002-388164P	P 20020612
			US 2003-461010P	P 20030407
OTHER SOURCE(S):	MARPAT 140:59656			
GI				



I



II

AB The present invention comprises 1,3,8 substituted xanthine derivs. (shown as I; variables defined below; e.g. II) or a pharmaceutically acceptable salt thereof. In vitro IC₅₀ values for 37 examples of I are tabulated, e.g. 0.19 μ M for II. For I: R₁ = lower alkenyl, lower alkynyl, lower alkenyl substituted by halogen, (un)substituted phenyl; R₂ = unsubstituted lower alkyl, lower alkyl substituted by lower alkoxy or hydroxy, lower alkenyl, Ph, -(CH₂)_n-(un)substituted lower cycloalkyl, -(CH₂)_nC(O)R_b, -(CH₂)_n-unsubstituted aromatic five-member heterocyclic ring with one O or S, -(CH₂)_n-aromatic five-member heterocyclic ring with one O or S, the ring substituted by a carboxylic acid moiety, -(CH₂)_n-unsubstituted aromatic five-member heterocyclic ring with 1-3 N atoms, -(CH₂)_n-nonarom. five or six member heterocyclic ring with at least one O atom and no or two N atoms, the nonarom. heterocyclic ring having no substituents or having one ring C as a carbonyl. R₃ is R_e- and R_f-substituted ring wherein 1 ring atom is Q (N or CH, with the proviso that when Q is N), R_e is -NHC(O)CH₃ and R_f is H and when Q is CH, R_e is -NR_g-C(O)-R_h, 2-oxopyrrolidin-1-yl or 2-oxoimidazol-1-yl and R_f = H, -NH₂ and -NHC(O)CH₃; R_g = H, lower alkyl and -(CH₂)_n-unsubstituted lower cycloalkyl; R_h = -(CH₂)_n-5- or 6-member aromatic heterocyclic ring having 1-3 hetero atoms independently N, O and S, (un)substituted lower alkyl, -NHR_j (R_j = 5- or 6-membered aromatic heterocyclic ring having 1-3 heteroatoms independently N, O and S), -C(O)R_k (R_k = 5- or 6-member aromatic heterocyclic ring having 1-3 hetero atoms independently N, O and S), (un)substituted Ph. T is NH or CH₂; n = 0-2; m = 0-1; addnl. details including provisos are given in the claims. A cyclocondensation method of preparation is claimed and 121 example preps. of I are included. For example, N-[4-[(1-allyl-3-butyl-2,6-dioxo-2,3,6,7-tetrahydro-1H-purin-8-yl)methyl]phenyl]acetamide was prepared in 6 steps starting from 1-butylurea, Et cyanoacetate and NaOEt and involving intermediates 6-amino-1-butyl-1H-pyrimidine-2,4-dione, 3-allyl-6-amino-1-butyl-1H-pyrimidine-2,4-dione, 3-allyl-6-amino-1-butyl-5-nitroso-1H-pyrimidine-2,4-dione, 3-allyl-5,6-diamino-1-butyl-1H-pyrimidine-2,4-dione, and 2-(4-acetylaminophenyl)-N-(3-allyl-6-amino-1-butyl-2,4-dioxo-1,2,3,4-tetrahydropyrimidin-5-yl)acetamide; the final step (cyclocondensation) was done in MeOH (11 mL) and 3 N aqueous NaOH (11 mL) at 50° and converted 310 mg of starting material into 190 mg of product.

IT 637335-84-3P 637336-32-4P 637336-40-4P
637336-46-0P 637336-57-3P

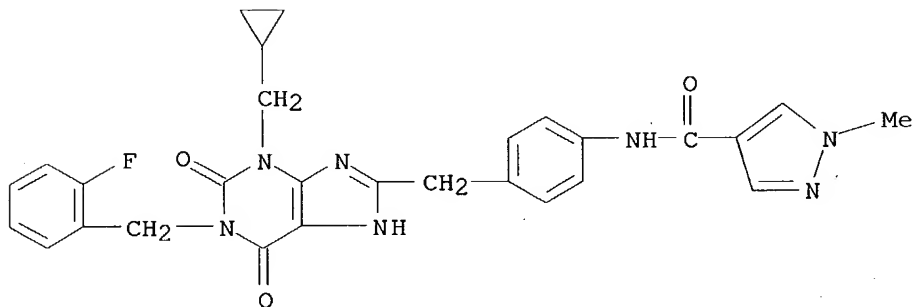
10/713,201

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amide-substituted xanthine derivs. as phosphoenolpyruvate carboxykinase inhibitors with gluconeogenesis modulating activity for treating type 2 diabetes)

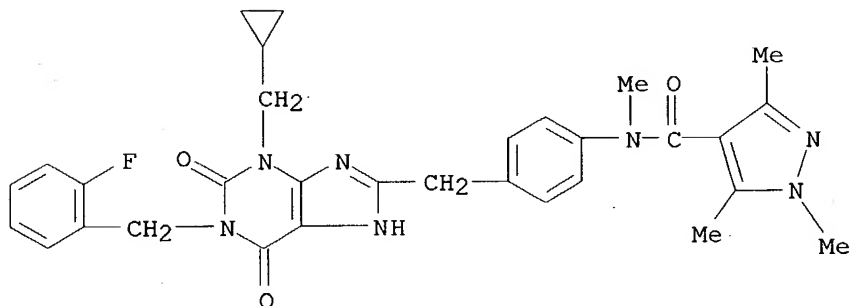
RN 637335-84-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[[3-(cyclopropylmethyl)-1-[(2-fluorophenyl)methyl]-2,3,6,7-tetrahydro-2,6-dioxo-1H-purin-8-yl)methyl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 637336-32-4 CAPLUS

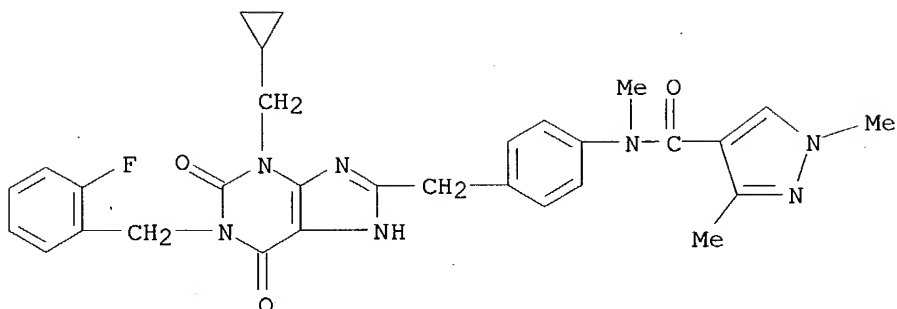
CN 1H-Pyrazole-4-carboxamide, N-[4-[[3-(cyclopropylmethyl)-1-[(2-fluorophenyl)methyl]-2,3,6,7-tetrahydro-2,6-dioxo-1H-purin-8-yl)methyl]phenyl]-N,1,3,5-tetramethyl- (9CI) (CA INDEX NAME)



RN 637336-40-4 CAPLUS

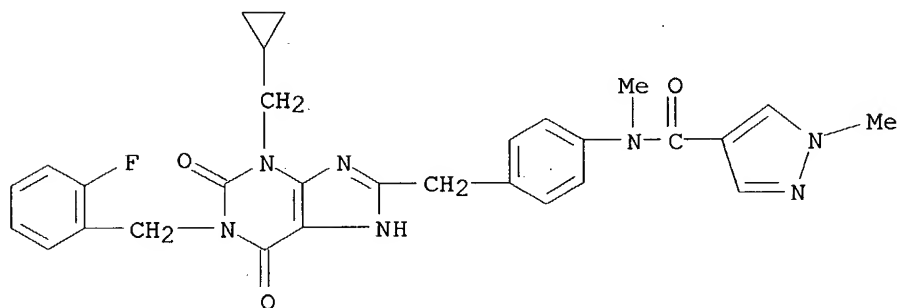
CN 1H-Pyrazole-4-carboxamide, N-[4-[[3-(cyclopropylmethyl)-1-[(2-fluorophenyl)methyl]-2,3,6,7-tetrahydro-2,6-dioxo-1H-purin-8-yl)methyl]phenyl]-N,1,3-trimethyl- (9CI) (CA INDEX NAME)

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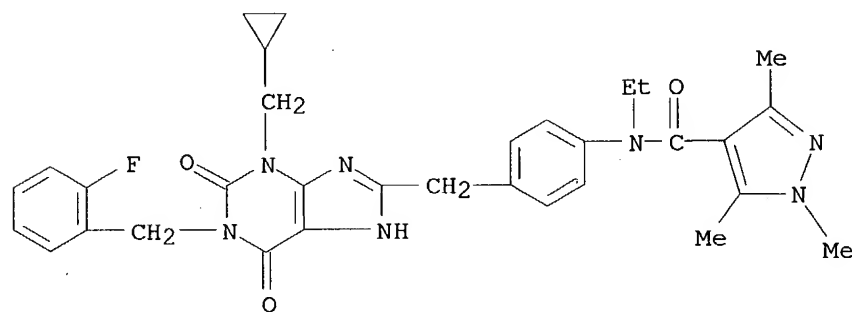
RN 637336-46-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[[3-(cyclopropylmethyl)-1-[(2-fluorophenyl)methyl]-2,3,6,7-tetrahydro-2,6-dioxo-1H-purin-8-yl)methyl]phenyl]-N,1-dimethyl- (9CI) (CA INDEX NAME)



RN 637336-57-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[[3-(cyclopropylmethyl)-1-[(2-fluorophenyl)methyl]-2,3,6,7-tetrahydro-2,6-dioxo-1H-purin-8-yl)methyl]phenyl]-N-ethyl-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:777810 CAPLUS

DOCUMENT NUMBER: 139:277000

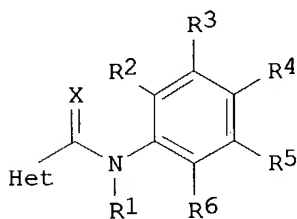
TITLE: Siliconated phenyl amides derivatives useful as microbiocide

INVENTOR(S): Ehrenfreund, Josef; Jung, Pierre Joseph Marcel;

10/713,201

Tobler, Hans; Walter, Harald
 PATENT ASSIGNEE(S): Syngenta Participations A.-G., Switz.
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080628	A1	20031002	WO 2003-IB1110	20030321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2002-7253 A 20020327	
OTHER SOURCE(S):			CASREACT 139:277000; MARPAT 139:277000	
GI				



I

AB The preparation of title compds., I (Het = 5- or 6-membered heterocyclic ring containing one to three heteroatoms, each independently selected from O, N, and S, the ring being substituted by groups R7, R8, R9; R1 = H, (C1-4)alkylC(:O), (C1-4)alkylC(:O)O, (C1-4)alkoxy(C1-4)alkyl, substituted allyl, substituted propargyl or substituted allenyl; R2, R3, R4, R5 = H, halo, (C1-4)alkoxy(C1-4)alkoxy, (C1-4)alkoxy(C1-4)alkyl; R6 = C1-13 group containing at least one silicon atom and, 1-3 heteroatoms, each independently selected from O, N, S, and is substituted by 1-4 independently selected halogen atoms; R7, R8, R9 = H, halo, C1-3 alkyl, C1-3 haloalkyl, C1-3alkoxy(C1-3)alkyl, cyano, where at least one of R7, R8, R9 is not hydrogen; X = O, S; or an N-oxide thereof; and when present, each optional substituent on alkyl moieties, allyl, propargyl and allenyl is, independently, selected. from halo, OH, cyano, MeO2CO, EtO2CO, MeO, EtO, methylsulfonyl, ethylsulfonyl, difluoromethoxy, trifluoromethoxy, trifluorothiomeoxy), useful as fungicides, is described. The activity of prepared compds. were tested against Puccinia recondita (wheat), Podosphaera leucotricha (apple), Venturia inaequalis (apple), Erysiphe graminis (barley), Botrytis cinerea (tomato), and Septoria nodorum

10/713,201

(wheat).

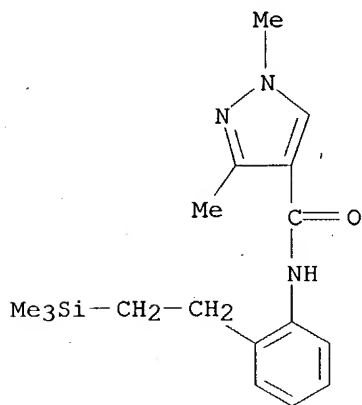
IT 607374-89-0P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and fungicidal activity of siliconated Ph amides derivs.)

RN 607374-89-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-[2-(trimethylsilyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:633320 CAPLUS

DOCUMENT NUMBER: 139:180075

TITLE: Preparation of pyrrolopyrimidines as tyrosine kinase inhibitors

INVENTOR(S): Hirst, Gavin C.; Calderwood, David; Munschauer, Rainer; Arnold, Lee D.; Johnston, David N.; Rafferty, Paul

PATENT ASSIGNEE(S): Abbott GmbH & Co. KG, USA

SOURCE: U.S. Pat. Appl. Publ., 166 pp., Cont.-in-part of Appl. No. PCT/US99/21560.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

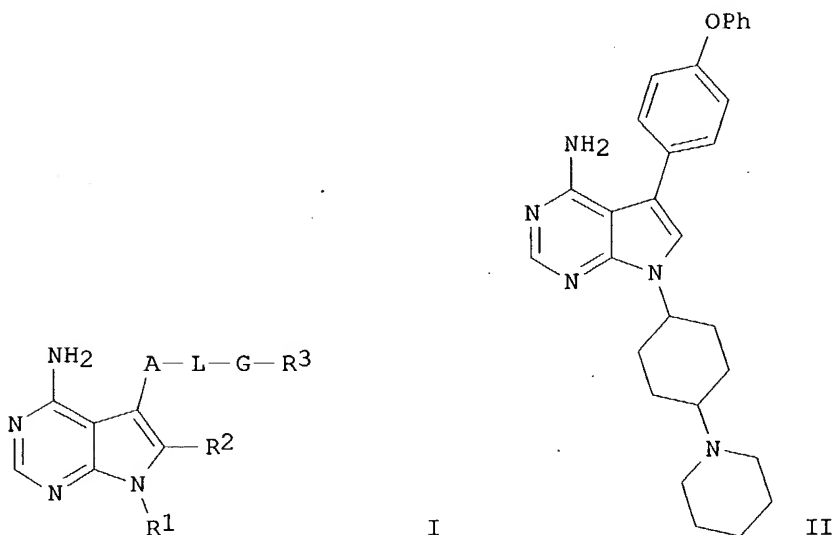
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003153752	A1	20030814	US 2000-537167	20000329
US 6713474	B2	20040330		
WO 2000017203	A1	20000330	WO 1999-US21560	19990917

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

10/713,201

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
ZA 2001002204 A 20020318 ZA 2001-2204 20010316
PRIORITY APPLN. INFO.: US 1998-100832P P 19980918
US 1998-100833P P 19980918
US 1998-100834P P 19980918
US 1998-100946P P 19980918
WO 1999-US21560 A2 19990917
OTHER SOURCE(S): MARPAT 139:180075
GI



AB The title compds. I [A = (un)substituted 6-membered aromatic ring, 5-6 membered heteroarom. ring; L = O, S, SO, SO₂, etc.; G = a direct bond, (CH₂)_j (wherein j = 1-6), alkenylene, cycloalkylene, oxaalkylene; R₁ = alkyl, cycloalkyl, bicycloalkyl, etc.; R₂ = H, alkyl, cycloalkyl, halo, etc.; R₃ = alkyl, alkenyl, cycloalkyl, etc.] and physiol. acceptable salts and metabolites thereof, are inhibitors of serine/threonine and tyrosine kinase activity. Several of the kinases, whose activity is inhibited by compds. I, are involved in immunol., hyperproliferative, or angiogenic processes. Thus, the compds. I can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor. These compds. can be used to treat cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections and inflammatory disorders. All exemplified compds. I significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at ≤50 μM, and some significantly inhibited cdc2 at ≤50 μM. 546 Example preps. are included. For example, addition of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by treatment with Na[AcO]3BH, workup and chromatog., gave cis- and trans-II.

IT 364354-69-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyrimidinamines as protein kinase inhibitors)

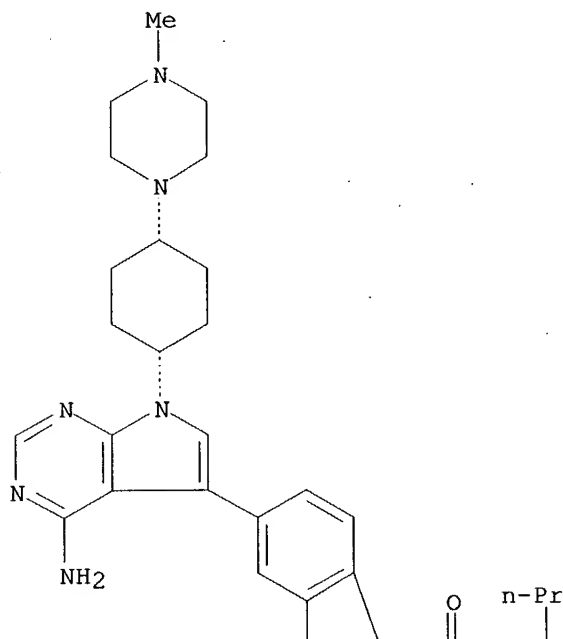
RN 364354-69-8 CAPLUS

10/713,201

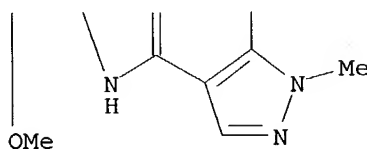
CN 1H-Pyrazole-4-carboxamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-methoxyphenyl]-1-methyl-5-propyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A



L3 ANSWER 17 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:610420 CAPLUS
DOCUMENT NUMBER: 139:164713
TITLE: Preparation of isoquinoline derivatives as
phosphodiesterase (PDE) 7 inhibitors
INVENTOR(S): Ohhata, Akira; Takaoka, Yoshikazu; Ogawa, Mikio;
Nakai, Hisao; Yamamoto, Susumu; Ochiai, Hiroshi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 665 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

WO 2003064389	A1	20030807	WO 2003-JP877	20030130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,				
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,				
PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,				
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

JP 2002-23845

A 20020131

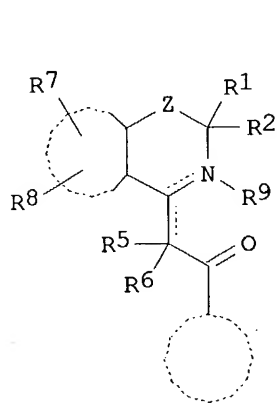
JP 2002-23846

A 20020131

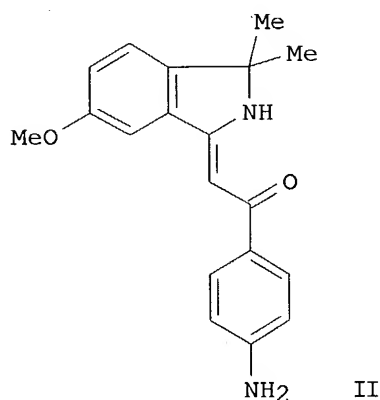
OTHER SOURCE(S):

MARPAT 139:164713

GI



I



II

AB The title compds. with general formula of I [wherein R1 and R2 = independently H or alkyl; or R1 and R2 together form a ring with the carbon atom attached; Z = O, S, a single bond, or (un)substituted CH2; R5 and R6 = independently H or alkyl; or R5 and R6 together form a ring with the carbon atom attached; R7 and R8 = independently H, OH, CN, halo, cyclyl, alkynyl, NO2, CHO, acyl, alkylthio, O-cyclyl, (un)substituted CO2H, CONH2, NH2, alkyl, NHCOH, NHSO2H, SO2NH2, alkenyl, CH=NOH, alkylene-NH-alkylene-H, alkoxy, or OSO2H; R9 = none or H; with provisos] and pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.023 μ M against human phosphodiesterase 7. I are useful in preventing and/or treating various diseases, namely, autoimmune diseases, inflammatory diseases, allergic diseases, rejection in organ transplantation, severe graft vs. host disease (GVHD), diabetic diseases, osteoporosis, bone fracture, restenosis, atheroma arteriosclerosis, obesity, ischemic reperfusion injury, depression, Parkinson's disease, dementia, leukemia, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 575437-77-3P 575439-21-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

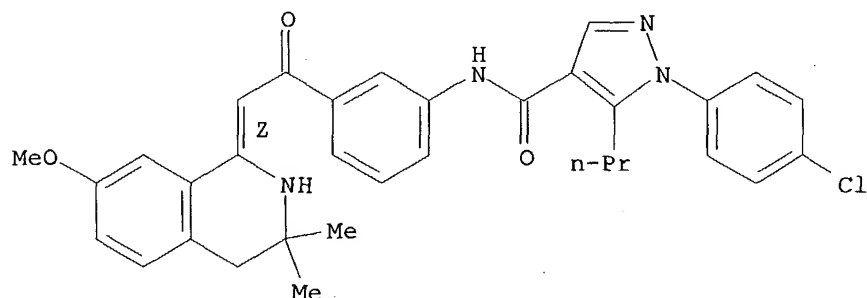
(drug candidate; preparation of isoquinoline derivs. as phosphodiesterase (PDE) 7 inhibitors)

RN 575437-77-3 CAPLUS

10/713,201

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[3-[(2Z)-(3,4-dihydro-7-methoxy-3,3-dimethyl-1(2H)-isoquinolinylidene)acetyl]phenyl]-5-propyl-(9CI) (CA INDEX NAME)

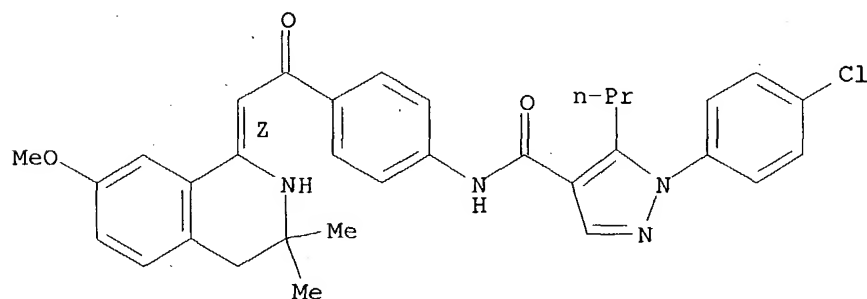
Double bond geometry as shown.



RN 575439-21-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[4-[(2Z)-(3,4-dihydro-7-methoxy-3,3-dimethyl-1(2H)-isoquinolinylidene)acetyl]phenyl]-5-propyl-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:454295 CAPLUS

DOCUMENT NUMBER: 139:52892

TITLE: Preparation of 2-(2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyls as sodium ion proton antiporter (NHE) inhibitors

INVENTOR(S): Hofmeister, Armin; Heinelt, Uwe; Lang, Hans-Jochen; Bleich, Markus; Wirth, Klaus; Gekle, Michael

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 304 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

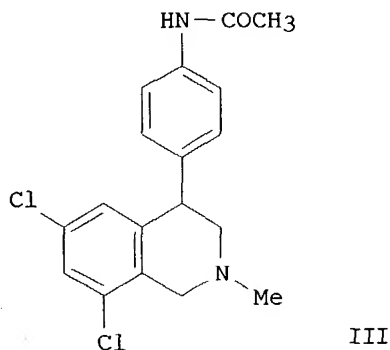
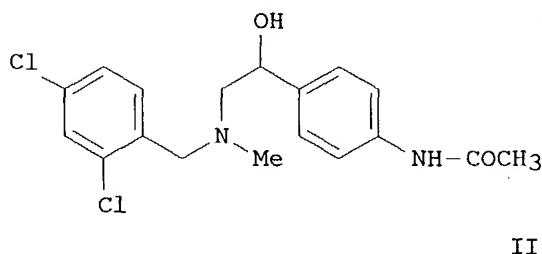
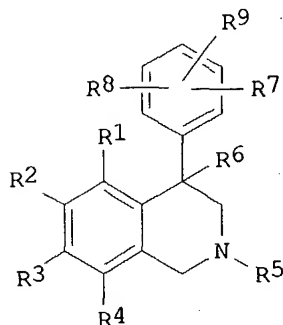
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003048129 A1 20030612 WO 2002-EP12990 20021120
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 EP 1453810 A1 20040908 EP 2002-804183 20021120
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 US 2004044211 A1 20040304 US 2002-309352 20021204
 PRIORITY APPLN. INFO.: DE 2001-10159714 A 20011205
 US 2002-353513P P 20020201
 WO 2002-EP12990 W 20021120
 OTHER SOURCE(S): MARPAT 139:52892
 GI



AB Title compds. I [R1, R2, R3, R4 = H, halo, CN, etc.; R5 = H, CpH2p+1, CssH2ss-1, etc.; p = 1-8; ss = 3-8; R6 = H, halo, OH, etc.; R7, R8, R9 = Ov-SOW-R23; v = 0, 1; w = 0-2, R23 = OH, CnnH2nn+1, CmmH2mm-1, etc.; nn = 1-8] and their pharmaceutically acceptable salts were prepared. For example, acid catalyzed intramol. Pictet Spengler cyclization of benzyl alc. II, prepared from N-methyl-2,4-dichlorobenzylamine in 3-steps, afforded claimed phenyltetrahydroisoquinoline III. In proton sodium antiporting protein

(NHE3) inhibition studies, 27-examples of compds. I exhibited IC50 values ranging from 0.024-1.507 μ M, e.g., the IC50 value of phenyltetrahydroisoquinoline III hydrochloride was 0.075 μ M. Compounds I can also influence serum lipoproteins and can be used for the regression of atherosclerotic alterations.

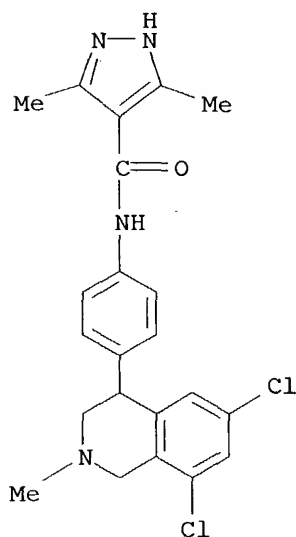
IT **543736-16-9P**, 3,5-Dimethyl-1H-pyrazol-4-carboxylic acid-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]amide **543736-17-0P**, 1H-Pyrazol-4-carboxylic acid-[4-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]amide **543736-34-1P**, 3,5-Dimethyl-1H-pyrazol-4-carboxylic acid-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]amide **543736-35-2P**, 1H-Pyrazol-4-carboxylic acid-[3-(6,8-dichloro-2-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)phenyl]amide **543738-72-3P** **543738-73-4P** **543739-03-3P** **543739-05-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenyltetrahydroisoquinolines as sodium ion proton antiporter inhibitors)

RN 543736-16-9 CAPLUS

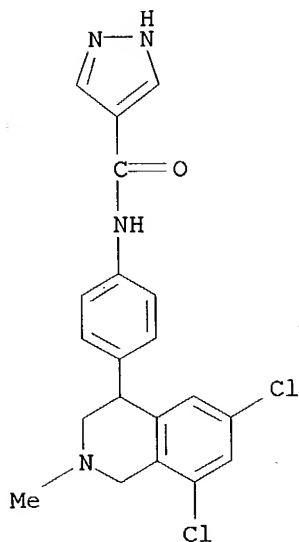
CN 1H-Pyrazole-4-carboxamide, N-[4-(6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl)phenyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



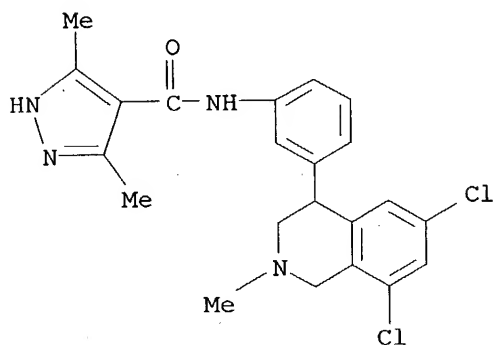
RN 543736-17-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-(6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl)phenyl]- (9CI) (CA INDEX NAME)

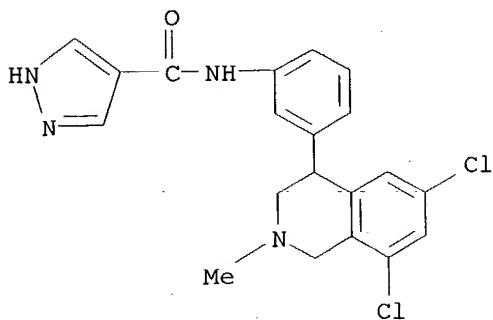
10/713,201



RN 543736-34-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[3-(6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl)phenyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 543736-35-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[3-(6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl)phenyl]- (9CI) (CA INDEX NAME)

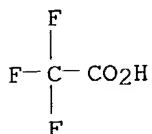


RN 543738-72-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[4-(6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-

10/713,201

CM 2

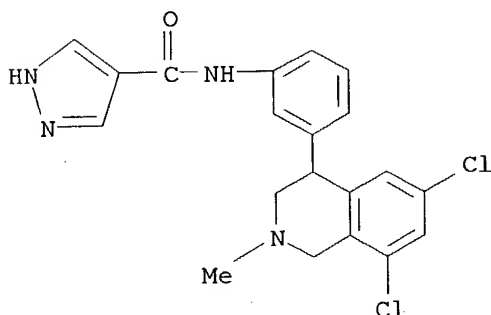
CRN 76-05-1
CMF C2 H F3 O2



RN 543739-05-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[3-(6,8-dichloro-1,2,3,4-tetrahydro-2-methyl-4-isoquinolinyl)phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

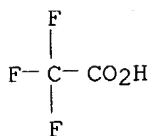
CM 1

CRN 543736-35-2
CMF C20 H18 Cl2 N4 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2



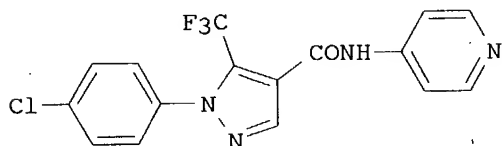
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:356201 CAPLUS
DOCUMENT NUMBER: 138:368888
TITLE: Pyrazolecarboxamides and -sulfonamides as sodium channel blockers
INVENTOR(S): Atkinson, Robert Nelson; Gross, Michael Francis

10/713,201

PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037274	A2	20030508	WO 2002-US35172	20021101
WO 2003037274	A3	20031030		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1451160	A2	20040901	EP 2002-799175	20021101
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
PRIORITY APPLN. INFO.:	US 2001-335958P P 20011101 WO 2002-US35172 W 20021101			
OTHER SOURCE(S):	MARPAT 138:368888			
GI				



AB Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. Thus, the amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 μ M range.

IT 109466-29-7P 109466-44-6P 189269-74-7P
521921-07-3P 521922-01-0P 521922-03-2P
521922-05-4P 521922-07-6P 521922-09-8P
521922-12-3P 521922-15-6P 521922-16-7P
521922-62-3P 521922-66-7P 521922-69-0P
521924-79-8P 521924-80-1P 521925-01-9P
521925-32-6P 521925-71-3P 521925-74-6P
521925-77-9P 521925-80-4P 521925-84-8P
521925-87-1P 521925-90-6P 521925-93-9P
521925-97-3P 521927-21-9P 521927-22-0P
521927-27-5P 521927-28-6P 521927-30-0P
521927-31-1P 521927-33-3P 521927-34-4P
521927-36-6P 521927-37-7P 521927-39-9P
521927-40-2P 521927-43-5P 521927-45-7P
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521927-51-5P 521927-54-8P 521927-55-9P

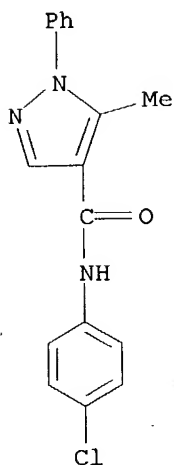
10/713,201

521927-57-1P 521927-58-2P 521927-60-6P
521927-61-7P 521927-63-9P 521927-64-0P
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521928-43-8P 521928-45-0P 521928-48-3P
521928-94-9P 521928-95-0P 521928-96-1P
521929-01-1P 521929-06-6P 521929-13-5P
521929-16-8P 521929-17-9P 521929-18-0P
521929-19-1P 521929-20-4P 521929-21-5P
521929-22-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolecarboxamides and -sulfonamides as sodium channel blockers)

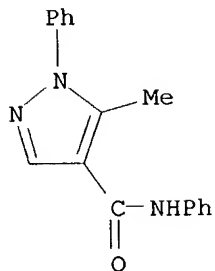
RN 109466-29-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 109466-44-6 CAPLUS

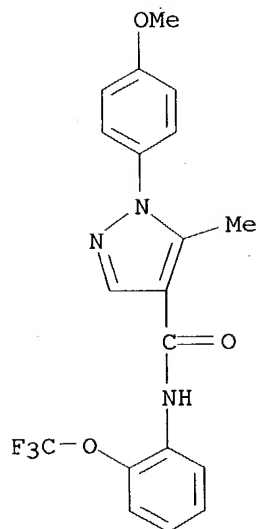
CN 1H-Pyrazole-4-carboxamide, 5-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



RN 189269-74-7 CAPLUS

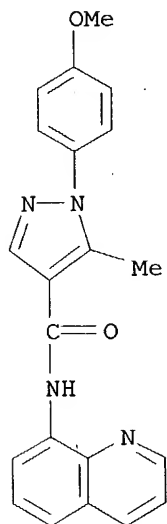
CN 1H-Pyrazole-4-carboxamide, 1-phenyl-5-propyl-N-[3-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)

10/713,201



RN 521929-22-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-methoxyphenyl)-5-methyl-N-8-quinolinyl-
(9CI) (CA INDEX NAME)



L3 ANSWER 20 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:133289 CAPLUS

DOCUMENT NUMBER: 138:188013

TITLE: Preparation of adenosine A3 receptor agonists

INVENTOR(S): Elzein, Elfatih; Palle, Venkata; Varkhedkar, Vaibhav;
Zablocki, Jeff

PATENT ASSIGNEE(S): CV Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

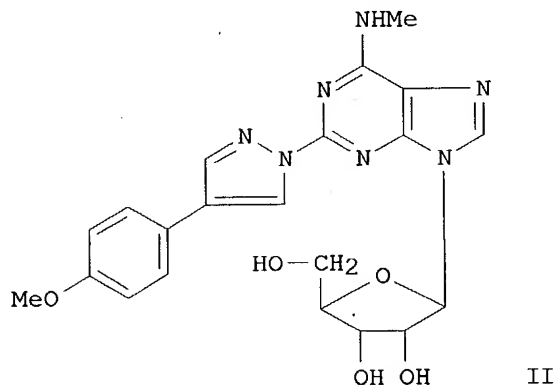
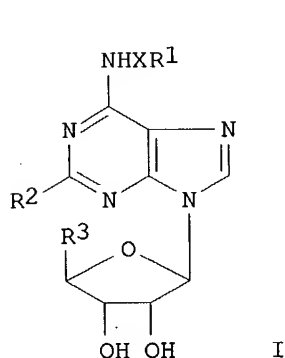
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

10/713,201

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014137	A1	20030220	WO 2002-US24696	20020806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1414837	A1	20040506	EP 2002-763415	20020806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-311069P	P 20010808
			WO 2002-US24696	W 20020806
OTHER SOURCE(S):		CASREACT 138:188013; MARPAT 138:188013		
GI				



AB Adenosine A3 receptor agonists, such as I [R1 = alkyl, cycloalkyl, aryl, heteroaryl; R2 = alkynyl, pyrazolyl, etc.; R3 = CH2OH, carbamoyl; X = bond, alkylene], were prepared for therapeutic use in the treatment of various disease states, including cancer, cardiac ischemia, leukopenia, and neutropenia. Thus, adenosine derivative II was prepared via cyclocondensation of the corresponding hydrazinyl derivative I (R1 = Me, R2 = NHNH2, R3 = CH2OH, X = bond) with MeO-4-C6H4CH(CHO)2 by refluxing in EtOH for 5 h. The prepared purines were assayed for human adenosine A3 receptor binding activity and for inhibition of forskolin stimulated cAMP accumulation. Pharmaceutical compns. containing the prepared adenosine derivs. were presented.

IT 497951-52-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of adenosine A3 receptor agonists)

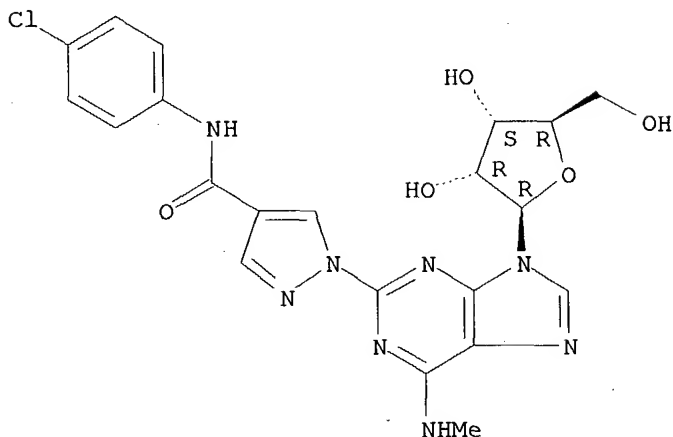
RN 497951-52-7 CAPLUS

CN Adenosine, 2-[4-[(4-chlorophenyl)amino]carbonyl]-1H-pyrazol-1-yl]-N-

10/713,201

methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:129347 CAPLUS
DOCUMENT NUMBER: 138:178159
TITLE: Infrared couplers for incorporating and recovering metadata
INVENTOR(S): Begley, William J.; Russo, Gary M.
PATENT ASSIGNEE(S): Eastman Kodak Company, USA
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6521395	B1	20030218	US 2002-60498	20020130
EP 1333321	A1	20030806	EP 2003-75172	20030120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2003262942	A2	20030919	JP 2003-20687	20030129
PRIORITY APPLN. INFO.:			US 2002-60498	A 20020130
OTHER SOURCE(S):	MARPAT 138:178159			

AB This invention relates to a silver halide photog. element containing a phenolic IR dye-forming coupler bearing in the 2-position either a benzamido group substituted with a sulfonyl group or a heterocyclic carbonamido group, and bearing in the 5-position a non-carbonamido group, which element is useful for incorporating and recovering metadata, such as sound data, into a photog. image and is specifically concerned with the incorporation of non-visually perceptible sound information into a photograph.

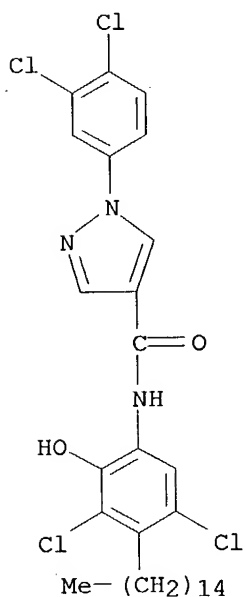
IT 497258-98-7

RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)
(photog. IR couplers for incorporating and recovering metadata)

10/713,201

RN 497258-98-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3,5-dichloro-2-hydroxy-4-pentadecylphenyl)-1-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



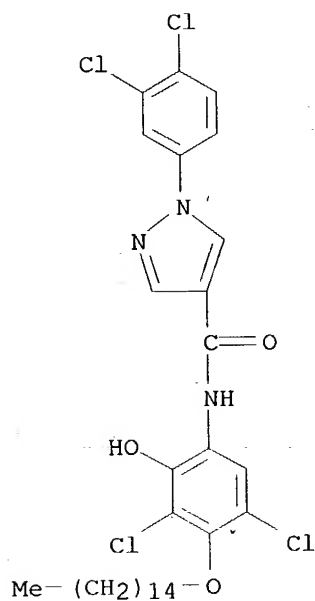
IT 497259-05-9 497259-10-6 497259-15-1

RL: TEM (Technical or engineered material use); USES (Uses)

(photog. IR couplers for incorporating and recovering metadata)

RN 497259-05-9 CAPLUS

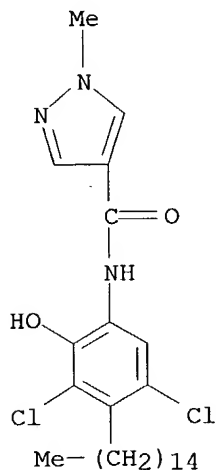
CN 1H-Pyrazole-4-carboxamide, N-[3,5-dichloro-2-hydroxy-4-(pentadecyloxy)phenyl]-1-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 497259-10-6 CAPLUS

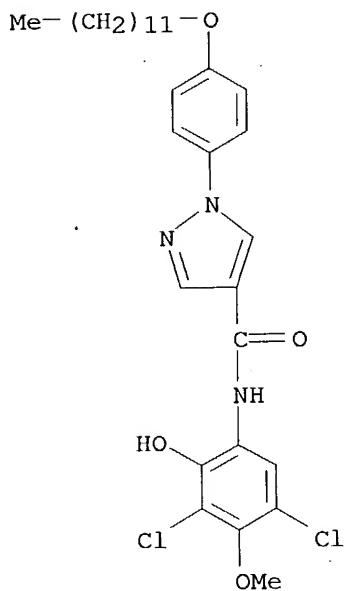
10/713,201

CN 1H-Pyrazole-4-carboxamide, N-(3,5-dichloro-2-hydroxy-4-pentadecylphenyl)-1-methyl- (9CI) (CA INDEX NAME)



RN 497259-15-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3,5-dichloro-2-hydroxy-4-methoxyphenyl)-1-[4-(dodecyloxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:927408 CAPLUS

DOCUMENT NUMBER: 138:14057

TITLE: Preparation of substituted anilide derivatives as agricultural and horticultural chemicals

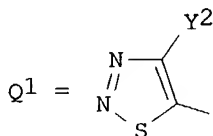
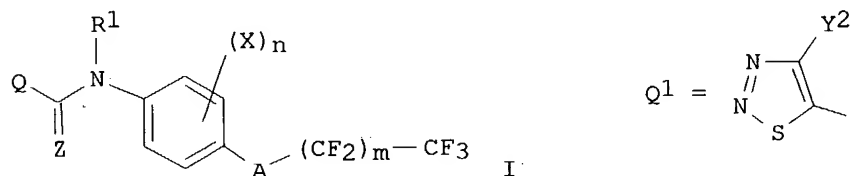
INVENTOR(S): Furuya, Takashi; Yamaguchi, Minoru; Tohnishi,

10/713,201

PATENT ASSIGNEE(S): Masanori; Seo, Akira; Morimoto, Masayuki; Takemoto, Tsuyoshi; Fujioka, Shinsuke
SOURCE: Nihon Nohyaku Co., Ltd., Japan
PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096882	A1	20021205	WO 2002-JP5285	20020530
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
JP 2003048878	A2	20030221	JP 2002-157757	20020530
EP 1400516	A1	20040324	EP 2002-730796	20020530
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002009726	A	20040420	BR 2002-9726	20020530
US 2004116744	A1	20040617	US 2003-478834	20031126
PRIORITY APPLN. INFO.:			JP 2001-164787	A 20010531
			WO 2002-JP5285	W 20020530

OTHER SOURCE(S): MARPAT 138:14057
GI



AB The title compds. I [R1 is hydrogen, C1-6 alkyl, C1-6 haloalkyl, or the like; A is (CR₂R₃)_p; R2 is hydrogen, halogeno, or C1-6 haloalkyl; R3 is hydrogen, halogeno, C1-6 alkyl, or the like; p is 0 or 1; m is an integer of 0 to 6; when p is 0, X is C2-8 alkyl, C1-8 alkoxy, or the like, while when p is 1, X is halogeno, cyano, or the like; n is an integer of 1 to 4; Z is O or S; and Q is Q1, etc.; Y2 is halo, etc.] are prepared Aniline intermediates for I are disclosed. I are useful as insecticides, acaricides, and fungicides. Compds. of this invention at 500 ppm gave ≥ 90% control of Tetranychus urticae.

IT 477737-02-3P 477737-03-4P 477737-07-8P
477737-08-9P 477737-09-0P 477737-14-7P
477737-54-5P 477737-55-6P 477737-56-7P
477737-57-8P 477737-58-9P 477737-59-0P
477737-60-3P 477737-72-7P 477737-73-8P
477737-74-9P 477737-75-0P 477737-76-1P
477737-77-2P 477737-78-3P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN

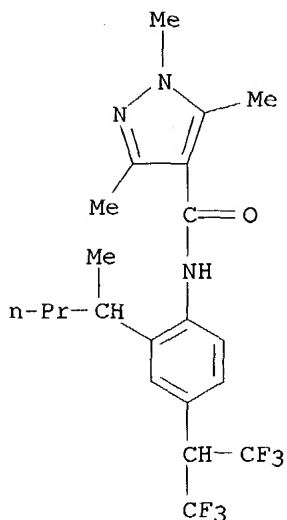
10/713,201

(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted anilide derivs. as insecticides, acaricides, and fungicides)

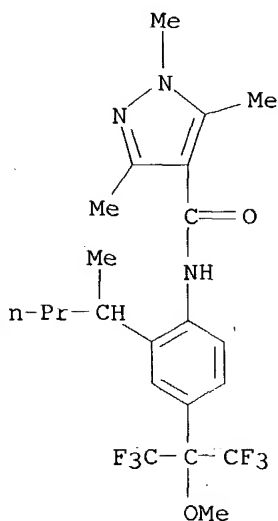
RN 477737-02-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[2-(1-methylbutyl)-4-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 477737-03-4 CAPLUS

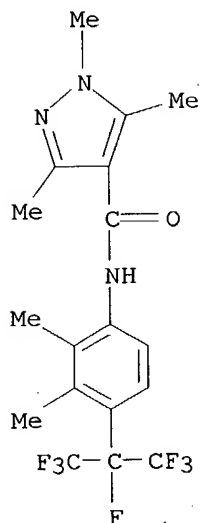
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[2-(1-methylbutyl)-4-[2,2,2-trifluoro-1-methoxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



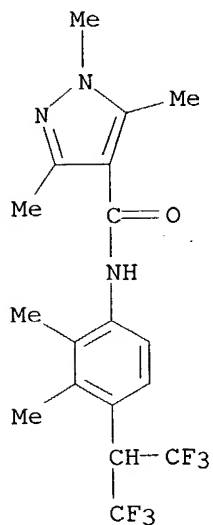
RN 477737-07-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-(1,3-dimethylbutyl)-4-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



RN 477737-78-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[2,3-dimethyl-4-[2,2,2-trifluoro-1-(trifluoromethyl)ethyl]phenyl]-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



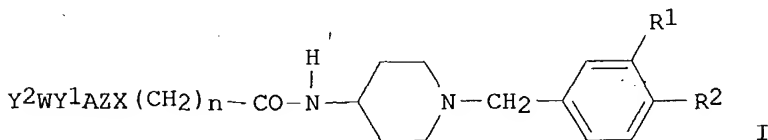
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849618 CAPLUS
DOCUMENT NUMBER: 137:370092
TITLE: Preparation of benzylpiperidine derivatives as chemokine inhibitors
INVENTOR(S): Kiuchi, Masatoshi; Kuroita, Takanobu; Tomozane, Hideo; Takeda, Shuuzou; Tanaka, Yoshihito; Higashi, Hidemitsu; Kuwahara, Shigeki
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 231 pp.
CODEN: PIXXD2

10/713,201

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088111	A1	20021107	WO 2002-JP4291	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1389616	A1	20040218	EP 2002-722878	20020426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004158071	A1	20040812	US 2003-476149	20031215
PRIORITY APPLN. INFO.:			JP 2001-132853	A 20010427
			JP 2001-277139	A 20010912
			WO 2002-JP4291	W 20020426
OTHER SOURCE(S):			MARPAT 137:370092	
GI				



AB The title compds. I [R₁, R₂ = H, halo; etc.; n = 1 - 5; X = bond, O, etc.; Z = bond, aryl, etc.; Y₁ = bond, CO, etc.; A = aryl, etc.; W = aryl, etc.; Y₂ = amino, etc.] are prepared The bioactivities of compds. of this invention were demonstrated.

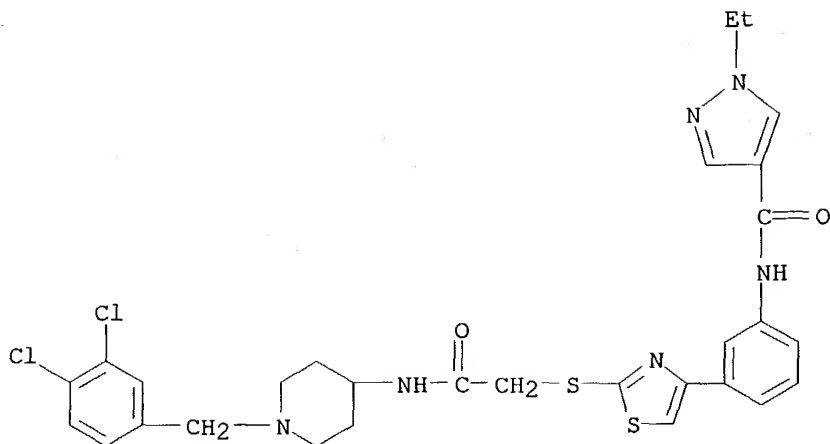
IT 474969-43-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylpiperidine derivs. as chemokine inhibitors)

RN 474969-43-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[3-[2-[[2-[[1-[(3,4-dichlorophenyl)methyl]-4-piperidinyl]amino]-2-oxoethyl]thio]-4-thiazolyl]phenyl]-1-ethyl- (9CI)
 (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:615623 CAPLUS

DOCUMENT NUMBER: 137:169517

TITLE: Oxazoly-pyrazole derivatives as protein kinase inhibitors, their preparation and combinatorial libraries, and their pharmaceutical use in the treatment of cancer and other diseases and disorders

INVENTOR(S): Berta, Daniela; Felder, Eduard; Vulpetti, Anna; Villa, Marzia

PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

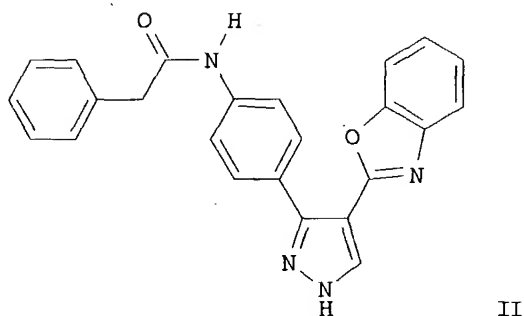
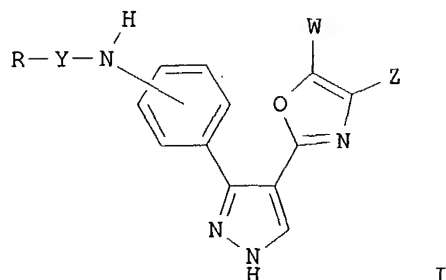
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062804	A1	20020815	WO 2002-EP995	20020128
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2437260	AA	20020815	CA 2002-2437260	20020128
EP 1377589	A1	20040107	EP 2002-714136	20020128
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004520394	T2	20040708	JP 2002-563156	20020128
US 2004180881	A1	20040916	US 2004-470859	20040415
PRIORITY APPLN. INFO.:			GB 2001-2687	A 20010202
			WO 2002-EP995	W 20020128

OTHER SOURCE(S): MARPAT 137:169517

GI



AB The method of treating protein kinase-linked diseases with oxazolyl-pyrazole derivs. I and their pharmaceutically acceptable salts is disclosed [wherein: R = H, alkyl, alkenyl, aryl, arylalkyl, (un)saturated cycloalkyl or cycloalkyloxy optionally condensed with 1 or 2 benzene rings, or optionally benzo-condensed 5- or 6-membered heterocyclyl or heterocyclylalkyl having 1 or 2 N/O/S atoms [all optionally substituted by one or more of: halo, NO₂, cyano, OH, oxo, alkyl, alkoxyalkyl, perfluoroalkyl, (un)substituted aryl or 5- or 6-membered heterocyclyl having 1 or 2 N/O/S atoms, alkoxy, alkoxyalkyloxy, (un)substituted arylalkyloxy or aryloxy, alkylthio, alkylsulfonyl, arylthio, or arylsulfonyl, cycloalkyl, amino, alkylamino, dialkylamino, arylamino, alkylcarbonyl, alkyloxycarbonyl, alkylaminocarbonyl, aminocarbonyl, (un)substituted arylcarbonyl or heterocyclylcarbonyl, alkylcarbonylamino, alkyloxycarbonylamino, arylalkyloxycarbonylamino, arylcarbonylamino, aryloxycarbonylamino, carboxy, alkylcarbonyloxy, or arylcarbonyloxy]; Y = bond, CO, NHCO, SO₂; WZ = benzo fusion, naphtho fusion, or an optionally benzocondensed 5- or 6-membered heterocycle having 1 or 2 N/O/S atoms, each optionally substituted by one or more of halo, nitro, cyano, alkyl, alkoxy, alkylsulfonyl, or aryl]. Also disclosed is a novel subset of I, including 382 individually named compds. I are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity, such as cancer, cell proliferative disorders, viral infections, autoimmune diseases and neurodegenerative disorders. Eleven examples are given, including solid-phase preparation of several compds. I and intermediates, and descriptions of 3 combinatorial libraries of 3874, 3172, and 2184 members, based on 4 claimed tables of reactants. For instance, Et 3-(3-nitrophenyl)pyrazole-4-carboxylate was bound to trityl chloride resin, saponified with NaOH in MeOH, and amidated with o-aminophenol. The resultant N-(2-hydroxyphenyl)amide was cyclized by Mitsunobu reaction to give a 1,3-benzoxazole derivative, followed by reduction of the nitro group to

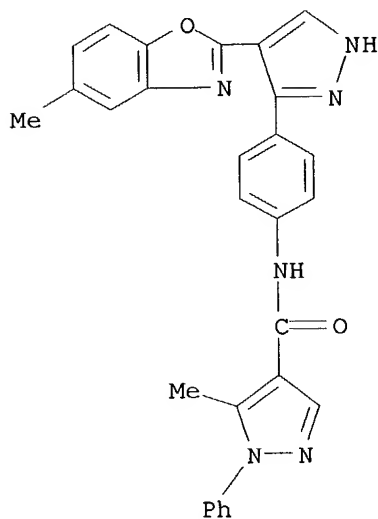
10/713,201

amino using SnCl_2 , amidation with $\text{PhCH}_2\text{CO}_2\text{H}$, and resin cleavage with TFA, to give title compound II. Inhibition assays against various kinases are described (no data).

IT **448185-18-0P**, N-[4-[4-(5-Methyl-1,3-benzoxazol-2-yl)pyrazol-3-yl]phenyl]-5-methyl-1-phenylpyrazole-4-carboxamide **448185-72-6P**, N-[4-[4-(4-Methyl-1,3-benzoxazol-2-yl)pyrazol-3-yl]phenyl]-5-methyl-1-phenylpyrazole-4-carboxamide **448186-94-5P**, N-[3-[4-(5-Ethylsulfonyl-1,3-benzoxazol-2-yl)pyrazol-3-yl]phenyl]-5-methyl-1-phenylpyrazole-4-carboxamide
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolympyrazole derivs. as protein kinase inhibitors, and their combinatorial libraries and use as anticancer agents)

RN 448185-18-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-[4-[4-(5-methyl-2-benzoxazolyl)-1H-pyrazol-3-yl]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

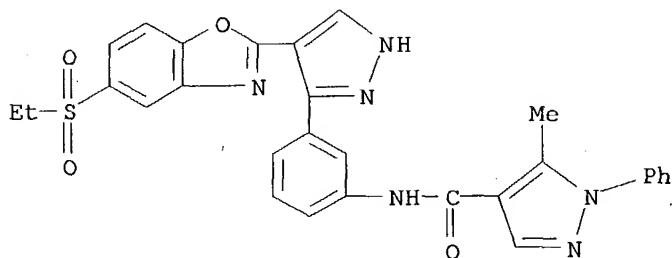


RN 448185-72-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-[4-[4-(4-methyl-2-benzoxazolyl)-1H-pyrazol-3-yl]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

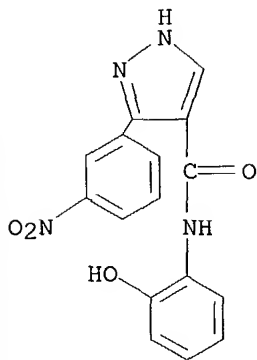
Cc1ccc2c(c1)oc(=Nc3c[nH]c4c3c[nH]4-c5ccc(NC(=O)c6c[nH]c7c6c[nH]7)cc5)cn2

CN 1H-Pyrazole-4-carboxamide, N-[3-[4-[5-(ethylsulfonyl)-2-benzoxazolyl]-1H-pyrazol-3-yl]phenyl]-5-methyl-1-phenyl- (9CI) (CA INDEX NAME)



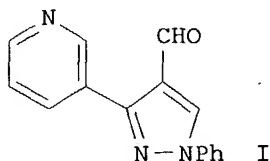
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

CN 1H-Pyrazole-4-carboxamide, N-(2-hydroxyphenyl)-3-(3-nitrophenyl)- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:603977 CAPLUS
 DOCUMENT NUMBER: 138:89723
 TITLE: Synthesis and chemical transformations of 3-(3-pyridinyl)-4-formylpyrazole
 AUTHOR(S): Bratenko, M. K.; Chornous, V. O.; Vovk, M. V.
 CORPORATE SOURCE: Bukovins'ka Derzh. Med. Akad., Chernovtsy, Ukraine
 SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) (2002), 68(5-6), 46-51
 CODEN: UKZHAU; ISSN: 0041-6045
 PUBLISHER: Institut Obshchei i Neorganicheskoi Khimii im. V. I. Vernadskogo NAN Ukrainy
 DOCUMENT TYPE: Journal
 LANGUAGE: Ukrainian
 OTHER SOURCE(S): CASREACT 138:89723
 GI

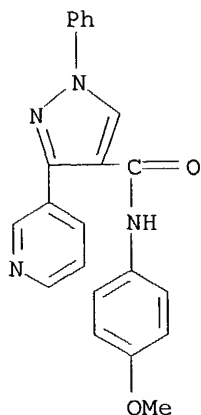


AB 1-Phenyl-3-(3-pyridinyl)-4-formylpyrazole (I) was synthesized by reaction of 3-acetylpyridine phenylhydrazone with the Vilsmeier-Haack reagent. I was reduced to the alc. and oxidized to the acid. Reactions of I with hydroxylamine, amines, hydrazides, (thio)semicarbazide, CH-acids, and Me aryl ketones were investigated.

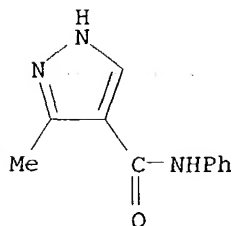
IT 372098-35-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and reactions of 3-(3-pyridinyl)-4-formylpyrazole)

RN 372098-35-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1-phenyl-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)



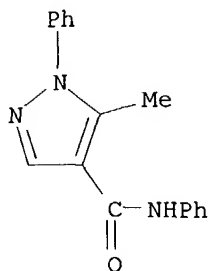
L3 ANSWER 26 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:501464 CAPLUS
 DOCUMENT NUMBER: 137:352926
 TITLE: 1-(N,N-dimethylamino)-2-(N-phenylcarbamoyl)-1-buten-3-one as a building block for the synthesis of heterocyclic compounds
 AUTHOR(S): Elmaati, T. A.; Said, S.; Elenein, N. A.; Sofan, M.; Khodeir, N.
 CORPORATE SOURCE: Faculty of Specific Education, Mansoura University, New Damietta, Egypt
 SOURCE: Polish Journal of Chemistry (2002), 76(7), 945-952
 CODEN: PJCHDQ; ISSN: 0137-5083
 PUBLISHER: Polish Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Acetoacetanilide reacted with DMF-DMA to give the enaminone MeCOC(:CHNMe2)CONHPh (I). I, when treated with hydrazines, gives pyrazoles, resp., and with pyrazole derivs. the pyrazolopyrimidines. On the other hand, in reaction of I with benzimidazole and benzimidazole-2-acetonitrile, pyrimidobenzimidazole and the pyridobenzimidazole were formed. I reacts with hippuric acid in boiling acetic anhydride to afford a pyridine derivative. In the reaction of I with malononitrile, cyanoacetamide or malononitrile dimer compds. were formed.
 IT 72543-42-1P 109466-44-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (use of (N,N-dimethylamino)(N-phenylcarbamoyl)butenone as a building block for the synthesis of heterocyclic compds.)
 RN 72543-42-1 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 109466-44-6 CAPLUS

10/713,201

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:353439 CAPLUS

DOCUMENT NUMBER: 136:355242

TITLE: Preparation of phthalazinones as PARP inhibitors

INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; White, Charles Richard; Newton, Roger Frank; Douglas, Diane Gillian; Eversley, Penny Jane; Vile, Julia

PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge PLC

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036576	A1	20020510	WO 2001-GB4729	20011025
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2423279	AA	20020510	CA 2001-2423279	20011025
AU 2001095789	A5	20020515	AU 2001-95789	20011025
EP 1330442	A1	20030730	EP 2001-976521	20011025
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
GB 2384776	A1	20030806	GB 2003-9190	20011025
GB 2384776	B2	20040303		
BR 2001015062	A	20040217	BR 2001-15062	20011025
NZ 525138	A	20040326	NZ 2001-525138	20011025
JP 2004513121	T2	20040430	JP 2002-539335	20011025
US 2002183325	A1	20021205	US 2001-21506	20011030
ZA 2003002112	A	20040220	ZA 2003-2112	20030317
NO 2003001498	A	20030402	NO 2003-1498	20030402
PRIORITY APPLN. INFO.:			GB 2000-26505	A 20001030

US 2001-275066P

P 20010312

US 2000-245662P

P 20001106

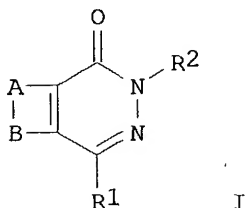
WO 2001-GB4729

W 20011025

OTHER SOURCE(S):

MARPAT 136:355242

GI



AB The title compds. [I; A and B together represent (un)substituted fused aromatic ring; R1 = LR3 (wherein L = (CH₂)_nQm(CH₂)_p; n, m, p = 0-3, the sum of n, m and p = 1-3; Q = O, S, NH, CO; R3 = (un)substituted C5-20 aryl); R2 = H, (un)substituted C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl, etc.], useful for inhibiting the activity of PARP (poly(ADP-ribose)synthase), were prepared. General procedures for synthesis of I were described. Biol. data such as IC₅₀ values against PARP, and DEF which is a ratio of the enhancement of the cell growth inhibition elicited by test compds. in the presence of bleomycin compared to bleomycin alone, were given. E.g., the compound I [AB = benzo; R1 = 4-chlorobenzyl; R2 = H] showed IC₅₀ of 1.8 μM against PARP, and DEF of 1.9.

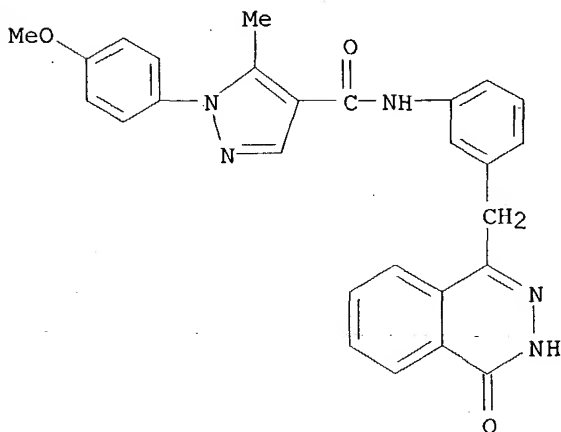
IT 420847-51-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phthalazinones as PARP inhibitors)

RN 420847-51-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-1-(4-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/713,201

L3 ANSWER 28 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:275953 CAPLUS

DOCUMENT NUMBER: 136:309851

TITLE: Preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide.

INVENTOR(S): Lardy, Claude; Nioche, Jean-Yves; Caputo, Lidia; Decerprit, Jacques; Ortholand, Jean-Yves; Festal, Didier; Guerrier, Daniel

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

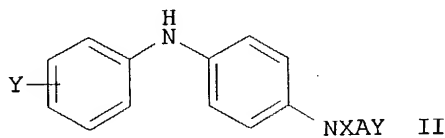
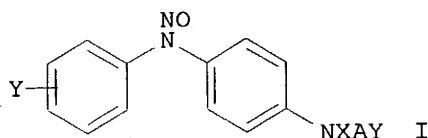
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028820	A1	20020411	WO 2001-EP10761	20010918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
FR 2815030	A1	20020412	FR 2000-12749	20001005
CA 2424684	AA	20020411	CA 2001-2424684	20010918
AU 2001089891	A5	20020415	AU 2001-89891	20010918
BR 2001014252	A	20030701	BR 2001-14252	20010918
EP 1322598	A1	20030702	EP 2001-969732	20010918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004521866	T2	20040722	JP 2002-532407	20010918
US 2004063783	A1	20040401	US 2003-398238	20030403
NO 2003001533	A	20030404	NO 2003-1533	20030404
PRIORITY APPLN. INFO.:			FR 2000-12749	A 20001005
			WO 2001-EP10761	W 20010918

OTHER SOURCE(S): MARPAT 136:309851

GI



AB Title compds. [I; X, Ra = H, (unsatd.) alipharyl, AY; A = CO, SO₂, CONRa, CONRaSO₂; T = H, halo, NO₂, cyano, (unsatd.) (halogenated) alipharyl optionally interrupted by O and/or S; Y = organic substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepared Thus, a mixture of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxycarbonyldiphenylamine, and Et₃N was stirred in CH₂Cl₂ to give 100% 4-nicotinoylamino derivative which was N-protected with CF₃CO₂H to give

10/713,201

95.2% 4-methoxy-4'-nicotinoylaminodiphenylamine. The latter in HOAc was treated dropwise with aqueous NaNO₂ to give 88% N-nitroso-4-methoxy-4'-nicotinoylaminodiphenylamine. Tested II inhibited oxidation of human low mol. weight lipoproteins by Cu²⁺ with IC₅₀ = 1.7-13.4 μM.

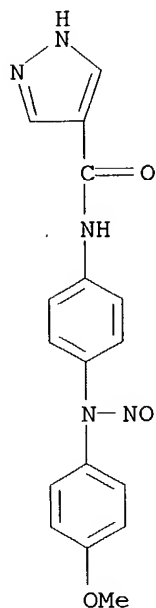
IT 409353-24-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide)

RN 409353-24-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[(4-methoxyphenyl)nitrosoamino]phenyl]-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:240716 CAPLUS

DOCUMENT NUMBER: 136:279196

TITLE: Preparation and use of amino alcohol derivatives for treatment of urinary incontinence

INVENTOR(S): Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Ohtake, Hiroaki; Korada, Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi, Kiyoshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

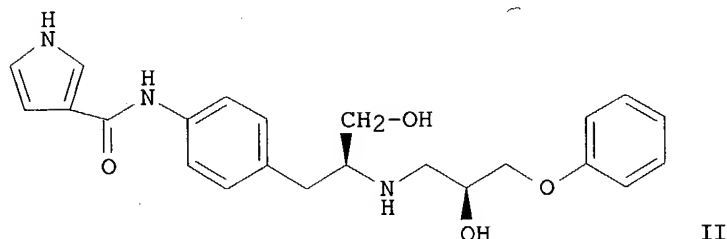
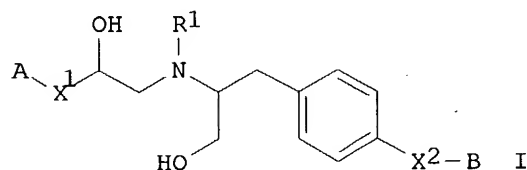
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/713,201

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024635	A2	20020328	WO 2001-JP8155	20010919
WO 2002024635	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090246	A5	20020402	AU 2001-90246	20010919
JP 2004509162	T2	20040325	JP 2002-528649	20010919
US 2004037022	A1	20040226	US 2003-380627	20030321
US 6826033	B2	20041130		
PRIORITY APPLN. INFO.:			AU 2000-340	A 20000925
			WO 2001-JP8155	W 20010919
OTHER SOURCE(S):		MARPAT 136:279196		
GI				



AB Title compds. I [X1 = bond, OCH2; X2 = (NR2CO)n, NHCOY1; R2 = H, alkyl; n = 1-2; Y1 = NR3; R3 = H, alkyl, etc.; R1 = H, amino protective group; A = Ph, indolyl, carbazolyl; B = H, halo, alkyl, alkoxy carbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepared For instance, (2S)-2-(phenoxymethyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[(2S)-2-hydroxy-3-phenoxypropyl]amino]-1-propanol. This intermediate was protected as the N-Boc derivative which was then reduced (MeOHaq, 10% Pd-C, H2-1 atm) to give the corresponding aminophenyl derivative Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed 2.6 ± 0.05 mm Hg increase in intravesical pressure (compared to 7.0 ± 1.0 mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

IT 406166-57-2P, [(1S)-2-Hydroxy-1-[4-[(5-methyl-1-phenyl-1H-pyrazol-

10/713,201

4-yl)carbonyl]amino]benzyl]ethyl]-N-((2S)-2-hydroxy-3-phenoxypropyl)carbamic acid tert-butyl ester **406166-67-4P**

406168-86-3P

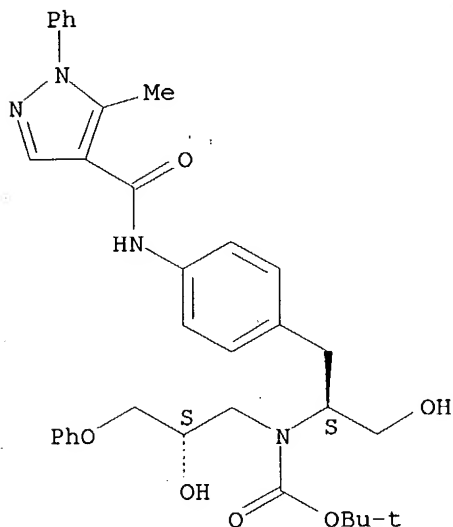
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of amino alc. derivs. for treatment of urinary incontinence)

RN 406166-57-2 CAPLUS

CN Carbamic acid, [(1S)-1-(hydroxymethyl)-2-[4-[[[(5-methyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]amino]phenyl]ethyl][(2S)-2-hydroxy-3-phenoxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

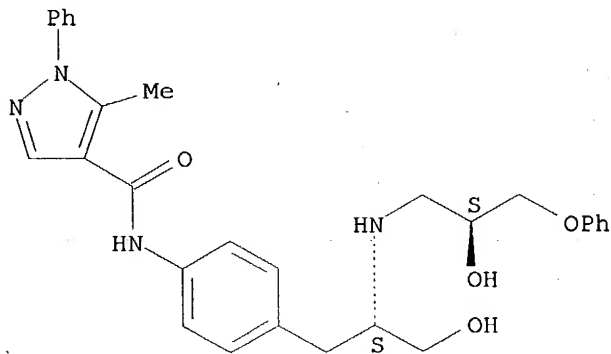
Absolute stereochemistry.



RN 406166-67-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[(2S)-3-hydroxy-2-[[(2S)-2-hydroxy-3-phenoxypropyl]amino]propyl]phenyl]-5-methyl-1-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

10/713,201

RN 406168-86-3 CAPLUS

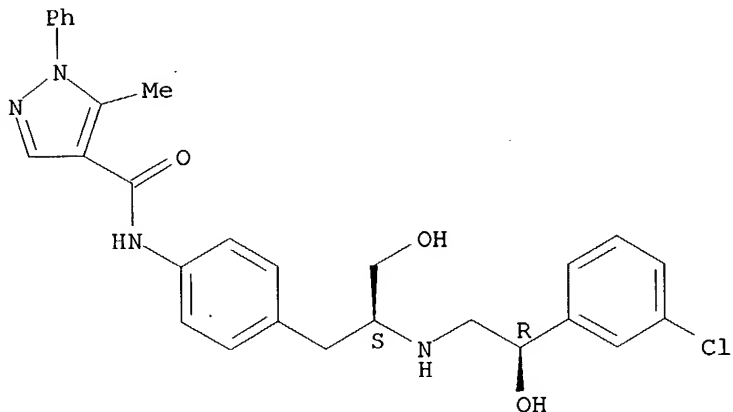
CN 1H-Pyrazole-4-carboxamide, N-[4-[(2S)-2-[[(2R)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-hydroxypropyl]phenyl]-5-methyl-1-phenyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 406168-85-2

CMF C28 H29 Cl N4 O3

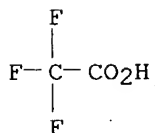
Absolute stereochemistry.



CM 2

CRN 76-05-1

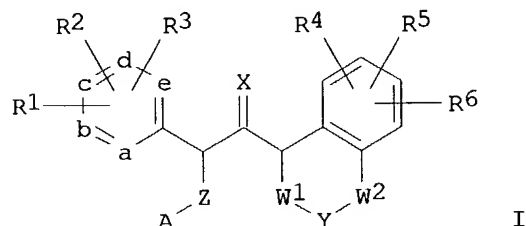
CMF C2 H F3 O2



L3 ANSWER 30 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:220534 CAPLUS
DOCUMENT NUMBER: 136:263165
TITLE: Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamide, 1,2,3,4-tetrahydroquinolinecarboxamide, indanecarboxamides, thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor antagonists and medicinal use thereof
INVENTOR(S): Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi, Seigo; Naka, Yoichi; Sumichika, Hiroshi; Itoh, Katsuhiko
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 415 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

OTHER SOURCE(S): MARPAT 136:263165
GI



AB Amide derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, or alkoxy, aryloxy, arylalkyloxy, (un)substituted acyloxy, halo, NO2, cyano, acyl SH, alkylthio, alkylsulfinyl, NH2, alkylamino, dialkylamino, cyclic amino, (un)substituted CONH2, alkoxycarbonyl, CO2H, acylamino, (un)substituted SO2NH2, haloalkyl; or any two of R1, R2, and R3 together with adjacent carbon atom form a ring; all a, b, c, d, and e is a carbon atom; or one or two of a, b, c, d, and e represent one or two nitrogen atom and the other represent C atoms; R4, R5, R6 = haloalkyloxy, groups listed in R1 - R4; A = H, (un)substituted cycloalkyl, aryl, heteroaryl, or cyclic amino; W1, W2 = a bond, (un)substituted C1-3 alkylene; Y = a single bond, O, CO, NR7, S, SO, SO2, CONR8, NR9CO (wherein R7, R8, R9 = H, (un)substituted alkyl); Z = a single bond, (un)substituted alkylene] or optically active isomers thereof or pharmaceutically acceptable salts thereof are prepared. These compds. are useful as preventives and remedies for diseases or syndromes caused by inflammation induced by C5a, e.g. immunol. diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary

10/713,201

disease and asthma, atherosclerosis, heart infarction, brain infarction, psoriasis, Alzheimer's disease and important organistic breakdown (e.g. pneumonia, nephritis, hepatitis, pancreatitis) induced by leukocyte activation caused by ischemic reperfusion, burn or surgical invasion. Moreover, they are useful as preventives and remedies for infection with bacteria and viruses mediated by C5a receptor. Thus, to a solution of 3.3 g 1,2,3,4-tetrahydronaphthalene-1-carboxylic acid in 20 mL CH₂Cl₂ was added 2.1 mL SO₂Cl₂ and the resulting mixture was refluxed for 3 h, concentrated

under

reduced pressure, dissolved in 10 mL CH₂Cl₂, treated with a solution of 5.1 g N-[(4-dimethylaminophenyl)methyl](4-isopropylphenyl)amine in 10 mL CH₂Cl₂ under ice-cooling, warmed to room temperature, and stirred overnight to give N-[(4-dimethylaminophenyl)methyl]-N-(4-isopropylphenyl)-1,2,3,4-tetrahydronaphthalene-1-carboxamide (II). II inhibited the binding of [125I]-human C5a receptor to human histiocytic lymphoma cell line (U-937) with IC₅₀ of 104 nm/mL. A tablet, a capsule, an injection solution, and an eyedrop formulation containing II were prepared

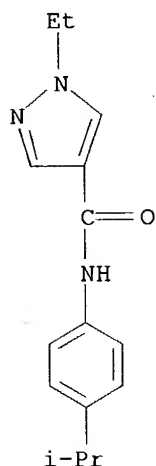
IT 400858-55-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1,2,3,4-tetrahydronaphthalenecarboxamide, 1,2,3,4-tetrahydroquinolinecarboxamide, indancarboxamides, thiochromancarboxamide, and chromancarboxamide derivs. as C5a receptor antagonists and medicinal use thereof)

RN 400858-55-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:142660 CAPLUS

DOCUMENT NUMBER: 136:200179

TITLE: Preparation of N,N'-diaryllurea derivatives as complement receptor C5a antagonists

INVENTOR(S): Ishibuchi, Seigo; Sumichika, Hiroshi; Itoh, Katsuhiko; Naka, Yoichi

PATENT ASSIGNEE(S): Welfide Corporation, Japan

SOURCE: PCT Int. Appl., 90 pp.

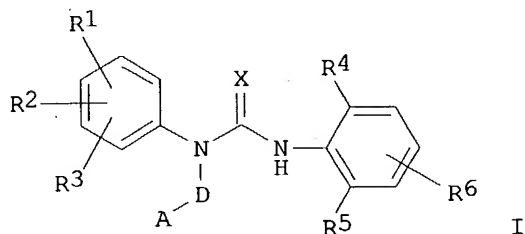
CODEN: PIXXD2

10/713,201

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014265	A1	20020221	WO 2001-JP6902	20010810
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2418652 AA 20020221 CA 2001-2418652 20010810 AU 2001077751 A5 20020225 AU 2001-77751 20010810 EP 1308438 A1 20030507 EP 2001-955657 20010810 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2003207939 A1 20031106 US 2003-343961 20030205 PRIORITY APPLN. INFO.: JP 2000-243290 A 20000810 WO 2001-JP6902 W 20010810				

OTHER SOURCE(S): MARPAT 136:200179
 GI

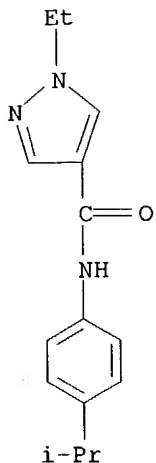


AB N,N'-diaryllurea derivs. represented by the following general formula [I;
 R1, R2, R3 = H, (un)substituted alkyl, cycloalkyl, alkenyl, or alkynyl,
 HO, (un)substituted alkoxy, SH, (un)substituted alkylthio, halo, NO2,
 cyano, amino, alkylamino, cyclic amino, alkylsulfonyl, CONH2, acylamino,
 sulfamoyl, acyl, CO2H, alkoxycarbonyl, (un)substituted aryl or heteroaryl;
 D = a bond, (un)substituted alkylene; A = (un)substituted alkyl,
 cycloalkyl, aryl, or heteroaryl; R4, R5 = H, (un)substituted alkyl or
 alkoxy, HO, halo; R6 = H, (un)substituted alkyl or alkoxy, HO, halo; X =
 O, S] or pharmaceutically acceptable salts thereof are prepared Because of
 having a C5a receptor antagonism, these compds. are useful as remedies and
 preventives for diseases or syndromes induced by C5a, e.g. autoimmune
 diseases such as rheumatism and systemic lupus erythematosus, allergic
 diseases such as sepsis, adult respiratory distress syndrome, chronic
 obstructive pulmonary disease and asthma, atherosclerosis, cardiac
 infarction, brain infarction, psoriasis, Alzheimer's disease and serious
 organ injuries by the activation of leukocytes caused by ischemia, trauma,
 burn, surgical invasion, etc. (for example, pneumonia, nephritis,
 hepatitis and pancreatitis). Moreover, these compds. are also useful as
 remedies and preventives for bacterial and viral infections mediated by
 C5a receptor. Thus, to a solution of (4-isopropylphenyl)[[1-(4-

10/713,201

trifluoromethylbenzyl)pyrazol-4-yl)methyl]amine in toluene was added 2,6-diisopropylphenyl isocyanate and stirred at room temperature overnight to give N'-(2,6-diisopropylphenyl)-N-(4-isopropylphenyl)-N-[[1-(4-trifluoromethylbenzyl)pyrazol-4-yl)methyl]urea. N'-(2,6-diisopropylphenyl)-N-[(4-dimethylaminophenyl)methyl]-N-(4-isopropylphenyl)urea 9/10 fumarate showed IC50 of 5 nmol/L for inhibiting the Ca2+ ion increase in C5a-simulated blood neutrophil. Pharmaceutical formulations, e.g. a capsule containing N'-(2,6-diisopropylphenyl)-N-[(4-dimethylaminophenyl)methyl]-N-(4-fluorophenyl)urea.

IT **400858-55-1P**, 1-Ethyl-N-(4-isopropylphenyl)pyrazole-4-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diarylurea derivs. as complement receptor C5a antagonists for therapeutic agents)
RN 400858-55-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-ethyl-N-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:107327 CAPLUS

DOCUMENT NUMBER: 136:167394

TITLE: Preparation of carboxamide compounds and their use as antagonists of a human 11CBY receptor

INVENTOR(S): Johnson, Christopher Norbert; Jones, Martin; O'Toole, Catherine Anne; Stemp, Geoffrey; Thewlis, Kevin Michael; Witty, David

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

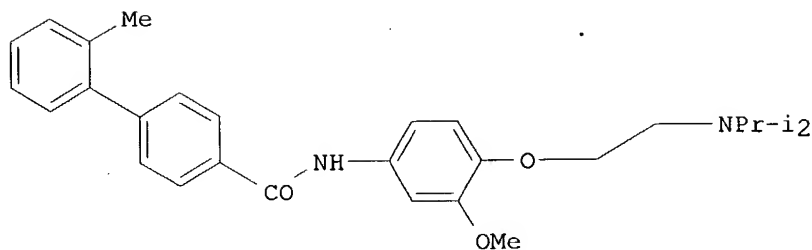
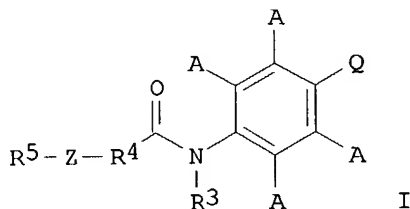
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002010146	A1	20020207	WO 2001-EP8637	20010726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2417638 AA 20020207 CA 2001-2417638 20010726
 EP 1305304 A1 20030502 EP 2001-956562 20010726
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 BR 2001012856 A 20030701 BR 2001-12856 20010726
 JP 2004505070 T2 20040219 JP 2002-515877 20010726
 NO 2003000471 A 20030328 NO 2003-471 20030130
 BG 107510 A 20030930 BG 2003-107510 20030130
 US 2004063686 A1 20040401 US 2003-343424 20030930
 PRIORITY APPLN. INFO.: GB 2000-18758 A 20000731
 GB 2001-12544 A 20010523
 WO 2001-EP8637 W 20010726
 OTHER SOURCE(S): MARPAT 136:167394
 GI



AB Title compds. [I; A = H, C1-6alkyl optionally substituted by hydroxyl, C1-6alkoxy, C1-6alkenyl, C1-6 acyl, halogeno, OH, CN, CF₃; R₃ = H, CH₃, CH₃CH₂; R₄ = aromatic carbocycle, heterocycle; Z = O, S, NH, CH₂, single bond, at the 3 or 4 position of R₄ relative to the carbonyl group; R₅ = aromatic carbocycle, heterocycle; Q = XYNR₁R₂; X = O, S; Y = C2-4 alkylene, C5-6 cycloalkylene; R₁, R₂ independently = C1-6 alkyl, phenyl-C1-6 alkyl; R₁R₂ = 5-, 6-, 7-membered ring optionally containing one or more heteroatom selected from O, S, N; etc.], pharmaceutically acceptable salts, and solvate are prepared and as antagonists of a human 11CBY receptor. Title compds. and pharmaceutical composition are useful in the treatment and/or prophylaxis of one or more of the disorder, such as, major depression, manic depression, anxiety, etc. Thus, the title compound II was prepared from

10/713,201

2'-methyl-biphenyl-4-carboxylic acid and 4-(2-diisopropylamino-ethoxy)-3-methoxy-phenylamine in DMF in the presence of 1-(3-dimethylaminopropyl)-3-Et carbodiimide hydrochloride and 1-hydroxy-7-azabenzotriazole.

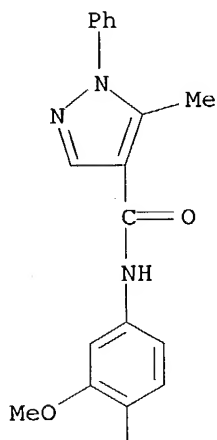
IT 395679-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxamide compds. as antagonists of human 11CBY receptor)

RN 395679-04-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[2-[bis(1-methylethyl)amino]ethoxy]-3-methoxyphenyl]-5-methyl-1-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:90017 CAPLUS

DOCUMENT NUMBER: 136:151158

TITLE: Preparation of N-biphenylcarboxamides as bactericides

INVENTOR(S): Elbe, Hans-Ludwig; Rieck, Heiko; Dunkel, Ralf; Wachendorff-Neumann, Ulrike; Mauler-Machnik, Astrid; Kuck, Karl-Heinz; Kugler, Martin; Jaetsch, Thomas

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

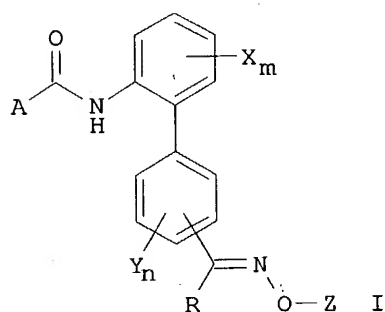
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008197	A1	20020131	WO 2001-EP7981	20010711
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,			

10/713,201

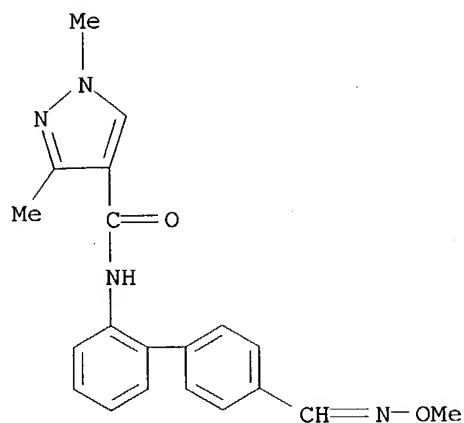
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
DE 10122447 A1 20020418 DE 2001-10122447 20010509
EP 1305292 A1 20030502 EP 2001-956525 20010711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001012676 A 20030624 BR 2001-12676 20010711
JP 2004504383 T2 20040212 JP 2002-514103 20010711
ZA 2003000633 A 20040212 ZA 2003-633 20030123
US 2004039043 A1 20040226 US 2003-333598 20030506
PRIORITY APPLN. INFO.: DE 2000-10035857 A 20000724
DE 2001-10122447 A 20010509
WO 2001-EP7981 W 20010711

OTHER SOURCE(S): MARPAT 136:151158
GI



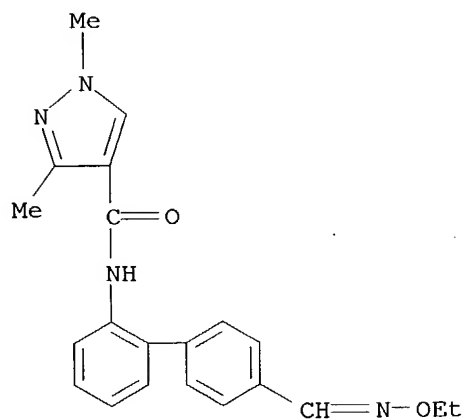
- AB Title compds. [I; R = H, (halo)alkyl, cycloalkyl; Z = H, (halo)alkyl; X, Y = halo, NO₂, cyano, OH, CO₂H, cycloalkyl, alkoxy, alkoxyimidoalkyl, alkoxyimidoalkyl, (halo-substituted) alkyl, alkoxy, alkylthio, alkenyloxy, alkenyloxy, alkylsulfonyl, alkylsulfinyl; m = 0-3; n = 0-4; A = (substituted) 1H-pyrazol-4-yl, 2- or 3-thienyl, Ph, 3-pyridinyl, 3-pyranyl, 1,4-oxathiol-3-yl, 2- or 3-thiopyranyl, 3-pyrrolyl, 3- or 2-furanyl, 5- or 4-thiazolyl, 4-isothiazolyl, 5-isoxazolyl, 2-pyrazinyl], were prepared. Thus, a mixture of 2-(4-methoxyiminomethylphenyl)benzenamine (preparation given) and Et₃N in PhMe was stirred with 2-methyl-4-trifluoromethylthiazole-5-carbonyl chloride at room temperature followed by stirring for 2 h at 50° to give 74% N-[2-(4-methoxyimidomethylphenyl)phenyl]-2-methyl-4-trifluoromethylthiazole-5-carboxamide. Several I at 100 ppm gave 77-100% control of *Podosphaera leucotricha* on apple.
- IT 393820-54-7P 393820-57-0P 393820-60-5P
393821-81-3P 393822-52-1P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-biphenylcarboxamides as bactericides)
- RN 393820-54-7 CAPLUS
- CN 1H-Pyrazole-4-carboxamide, N-[4'-[(methoxyimino)methyl][1,1'-biphenyl]-2-yl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



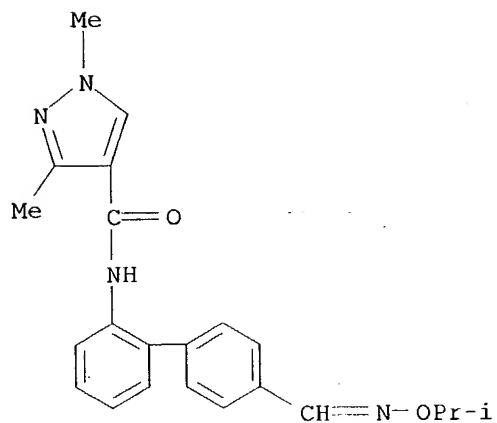
RN 393820-57-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4'-[(ethoxyimino)methyl][1,1'-biphenyl]-2-yl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 393820-60-5 CAPLUS

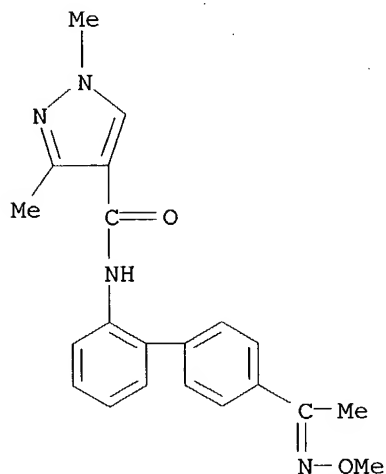
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[4'-[[1-methylethoxy]imino]methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



10/713,201

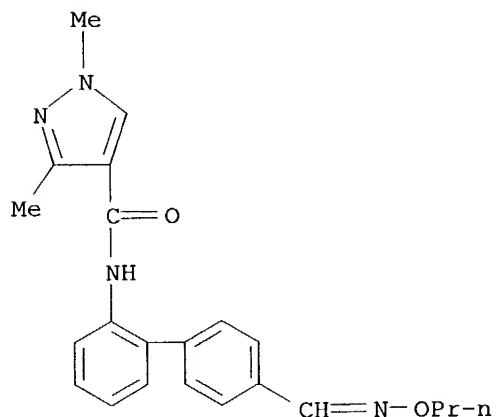
RN 393821-81-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4'-[1-(methoxyimino)ethyl][1,1'-biphenyl]-2-yl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 393822-52-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[4'-[(propoxyimino)methyl][1,1'-biphenyl]-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:851793 CAPLUS

DOCUMENT NUMBER: 136:5986

TITLE: Preparation of azole inhibitors of cytokine production

INVENTOR(S): Bamaung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.; Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar, David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun; Sciotti, Richard J.; Wagenaar, Frank L.

PATENT ASSIGNEE(S): USA

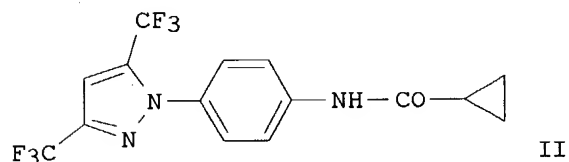
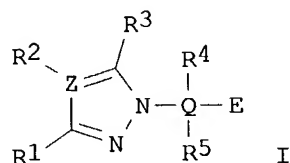
SOURCE: U.S. Pat. Appl. Publ., 124 pp.

CODEN: USXXCO

10/713,201

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001044445	A1	20011122	US 1999-289155	19990408
PRIORITY APPLN. INFO.:			US 1999-289155	19990408
OTHER SOURCE(S):	MARPAT 136:5986			
GI				



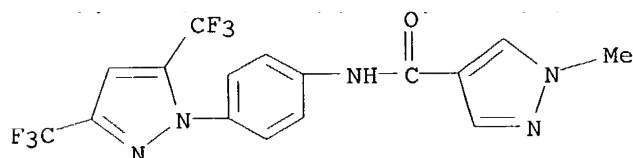
AB The title compds. [I; R1, R3 = H, aryl, perfluoroalkyl, etc.; Z = N, C; R2 is absent or = H, alkyl, cycloalkyl, etc.; Q = (hetero)aryl (when Q = Ph, the Ph is 2-, 3-, or 4-substituted by E relative to the position of attachment of the pyrazole or 1,2,4-triazole ring to the Ph ring); R4, R5 = H, alkyl, haloalkyl, etc.; E = NO2, NH2, etc.], useful for inhibiting cytokine (Interleukin-2, Interleukin-4, or Interleukin-5) production and cellular proliferation in stimulated human T cell lines or human peripheral blood mononuclear cells (biol. data given) and therefore have utility in the treatment of diseases that are prevented by or ameliorated with cytokine inhibitors, were prepared General procedures for preparation of compds. I were described. Thus, the title compound II was prepared

IT 245747-09-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of azole inhibitors of cytokine production)

RN 245747-09-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)



10/713,201

L3 ANSWER 35 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:816643 CAPLUS

DOCUMENT NUMBER: 135:344500

TITLE: Preparation of condensed heteroaryl derivatives as phosphatidylinositol 3-kinase inhibitors and anticancer agents

INVENTOR(S): Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta, Mitsuaki

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig Institute for Cancer Research; Imperial Cancer Research Technology Ltd.

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

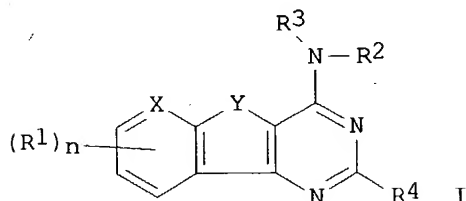
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083456	A1	20011108	WO 2001-JP3650	20010426
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2407593	AA	20011108	CA 2001-2407593	20010426
AU 2001052610	A5	20011112	AU 2001-52610	20010426
US 2002151544	A1	20021017	US 2001-843615	20010426
US 6608053	B2	20030819		
EP 1277738	A1	20030122	EP 2001-925981	20010426
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 6608056	B1	20030819	US 2002-243416	20020913
US 2003236271	A1	20031225	US 2003-459002	20030610
US 2004009978	A1	20040115	US 2003-459220	20030610
US 6770641	B2	20040803		
PRIORITY APPLN. INFO.:			JP 2000-128472	A 20000427
			US 2000-200537P	P 20000427
			US 2000-200481P	P 20000428
			US 2001-843615	A3 20010426
			WO 2001-JP3650	W 20010426
			US 2002-243416	A3 20020913

OTHER SOURCE(S): MARPAT 135:344500

GI



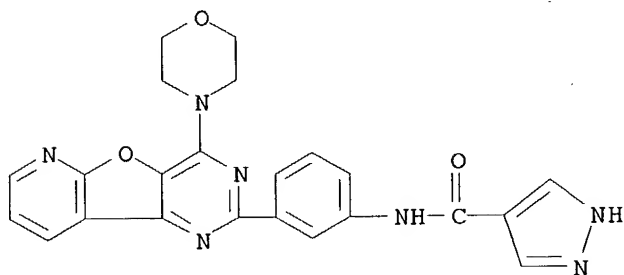
10/713,201

AB The title compds, e.g. I [n = 0 - 3; R1 = alkyl, etc.; R2, R3 = H, alkyl, etc.; further detail on R2 and R3 is given; R4 = (un)substituted aryl, etc.; X = N, CH; Y = O, S, NH], are prepared. Several compds. of this invention in vitro showed IC50 values of $\leq 1 \mu\text{M}$ against phosphatidylinositol 3-kinase (p110 α subtype). The antitumor activity of compds. of this invention is also demonstrated.

IT **371934-16-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)

RN 371934-16-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[3-[4-(4-morpholinyl)pyrido[3',2':4,5]furo[3,2-d]pyrimidin-2-yl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:730744 CAPLUS

DOCUMENT NUMBER: 135:288790

TITLE: Pyrrolopyrimidines as tyrosine kinase inhibitors

INVENTOR(S): Hirst, Gavin C.; Calderwood, David; Munschauer, Rainer; Arnold, Lee D.; Johnston, David N.; Rafferty, Paul

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 453 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072751	A1	20011004	WO 2000-US8593	20000329
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,			

ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

WO 2000-US8593

20000329

OTHER SOURCE(S):

MARPAT 135:288790

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Chemical compds. having structural formula I and physiol. acceptable salts and metabolites thereof, are inhibitors of serine/threonine and tyrosine kinase activity. Several of the kinases, whose activity is inhibited by these chemical compds., are involved in immunol., hyperproliferative, or angiogenic processes. Thus, these chemical compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor. These compds. can be used to treat cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections and inflammatory disorders. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at $\leq 50 \mu\text{M}$, and some significantly inhibited cdc2 at $\leq 50 \mu\text{M}$. In I, ring A is a six membered aromatic ring or a five or six membered heteroarom. ring which is optionally substituted. L is -O-, -S-, -S(O)-, -S(O)2-, -N(R)-, -N[C(O)OR]-, -N[C(O)R]-, -N(SO2R)-, -CH2O-, -CH2S-, -CH2N(R)-, -C(NR)-, -CH2N[C(O)R]-, -CH2N[C(O)OR]-, -CH2N(SO2R)-, -CH(NHR)-, -CH[NHC(O)R]-, -CH(NHSO2R)-, -CH[NHC(O)OR]-, -CH[OC(O)R]-, -CH[OC(O)NHR]-, -CH:CH-, -C(:NOR)-, -C(O)-, -CH(OR)-, -C(O)N(R)-, -N(R)C(O)-, -N(R)S(O)-, -N(R)S(O)2-, -OC(O)N(R)-, -N(R)C(O)N(R)-, -NRC(O)O-, -S(O)N(R)-, -S(O)2N(R)-, -N[C(O)R]S(O)-, -N[C(O)R]S(O)2-, -N(R)S(O)N(R)-, -N(R)S(O)2N(R)-, -C(O)N(R)C(O)-, -S(O)N(R)C(O)-, -S(O)2N(R)C(O)-, -OS(O)N(R)-, -OS(O)2N(R)-, -N(R)S(O)O-, -N(R)S(O)2O-, -N(R)S(O)C(O)-, -N(R)S(O)2C(O)-, -SON[C(O)R]-, -SO2N[C(O)R]-, -N(R)SON(R)-, -N(R)SO2N(R)-, -C(O)O-, -N(R)P(OR')O-, -N(R)P(OR')-, -N(R)P(O)(OR')O-, -N(R)P(O)(OR')-, -N[C(O)R]P(OR')O-, -N[C(O)R]P(OR')-, -N[C(O)R]P(O)(OR')O-, -N[C(O)R]P(OR')-, -CH(R)S(O)-, or -CH(R)S(O)2-. L is also -CH(R)N[C(O)OR]-, -CH(R)N[C(O)R]-, -CH(R)N(SO2R)-, -CH(R)O-, -CH(R)S-, -CH(R)N(R)-, -CH(R)N[C(O)R]-, -CH(R)N[C(O)OR]-, -CH(R)N(SO2R)-, -CH(R)C(:NOR)-, -CH(R)C(O)-, -CH(R)CH(OR)-, -CH(R)C(O)N(R)-, -CH(R)N(R)C(O)-, -CH(R)N(R)S(O)-, -CH(R)N(R)S(O)2-, -CH(R)OC(O)N(R)-, -CH(R)N(R)C(O)N(R)-, -CH(R)N(R)C(O)O-, -CH(R)S(O)N(R)-, -CH(R)S(O)2N(R)-, -CH(R)N[C(O)R]S(O)-, -CH(R)N[C(O)R]S(O)2-, -CH(R)N(R)S(O)N(R)-, -CH(R)N(R)S(O)2N(R)-, -CH(R)C(O)N(R)C(O)-, -CH(R)S(O)N(R)C(O)-, -CH(R)S(O)2N(R)C(O)-, -CH(R)OS(O)N(R)-, -CH(R)OS(O)2N(R)-, -CH(R)N(R)S(O)O-, -CH(R)N(R)S(O)2O-, -CH(R)N(R)S(O)C(O)-, -CH(R)N(R)S(O)2C(O)-, -CH(R)SON[C(O)R]-, -CH(R)S(O)2N[C(O)R]-, -CH(R)N(R)SON(R)-, -CH(R)N(R)S(O)2N(R)-, -CH(R)C(O)O-, -CH(R)N(R)P(OR')O-, -CH(R)N(R)P(OR')-, -CH(R)N(R)P(O)(OR')O-, -CH(R)N(R)P(O)(OR')-, -CH(R)N[C(O)R]P(OR')O-, -CH(R)N[C(O)R]P(OR')-, -CH(R)N[C(O)R]P(O)(OR')O- or -CH(R)N[C(O)R]P(OR')-. In L, each R and R' is, independently, -H, acyl, substituted or unsubstituted aliphatic, aromatic, arylalkyl, heteroarom., cycloalkyl or arylalkyl; or L is -RbN(R)S(O)2-, -RbN(R)P(O)-, or -RbN(R)P(O)O-, wherein Rb is an alkylene group which when taken together with the sulfonamide, phosphinamide, or phosphonamide group to which it is bound forms a five or six membered ring fused to ring A; or L is II (X = O or nil; Y = O or nil) or III (Y = O, nil) wherein R85 taken together with the phosphinamide, or phosphonamide is a 5-, 6-, or 7-membered, aromatic, heteroarom. or heterocycloalkyl ring system. G is a direct bond, -(CH2)j-

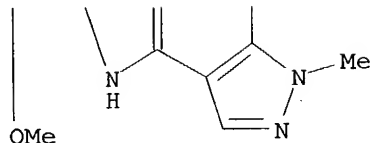
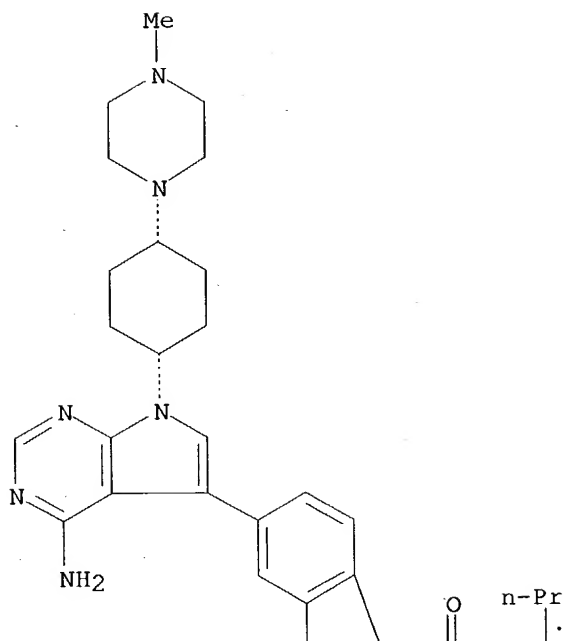
(j = 1-6), C2-C6-alkenylene, C3-C8-cycloalkylene or C1-C6-oxaalkylene group. R1 is substituted or optionally substituted aliphatic, cycloalkyl, bicycloalkyl, cycloalkenyl, aromatic, heteroarom., heteroaralkyl, heterocycloalkyl, heterobicycloalkyl, alkylamido, arylamido, -S(O)2-alkyl, -S(O)2-cycloalkyl, -C(O)alkyl, or -B-E, wherein B is substituted or unsubstituted cycloalkyl, heterocycloalkyl, aromatic, heteroarom., alkylene, aminoalkyl, alkylencarbonyl, or aminoalkylcarbonyl and E is substituted or unsubstituted azacycloalkyl, azacycloalkylcarbonyl, azacycloalkylsulfonyl, azacycloalkylalkyl, heteroaryl, heteroarylcarbonyl, heteroarylsulfonyl, heteroaralkyl, alkyl sulfonamido, aryl sulfonamido, bicycloalkyl, ureido, thioureido or aryl. R2 is -H or substituted or unsubstituted aliphatic, cycloalkyl, halogen, -OH, cyano, aromatic, heteroarom., heterocycloalkyl, aralkyl, heteroaralkyl, -(CH2)0-3NR4R5, or -(CH2)0-3C(O)NR4R5. R3 is substituted or unsubstituted aliphatic, alkenyl, cycloalkyl, aromatic, heteroarom., or heterocycloalkyl with provisos. R4, R5 and the N atom together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocycloalkyl, heterobicycloalkyl or heteroarom.; or R4 and R5 are each, independently, -H, azabicycloalkyl, heterocycloalkyl, substituted or unsubstituted alkyl or Y-Z; Y is -C(O)-, -(CH2)p-, -S(O)2-, -C(O)O-, -SO2NH-, -CONH-, -(CH2)pO-, -(CH2)pNH-, -(CH2)pS-, -(CH2)pS(O)-, and -(CH2)pS(O)2-; p = 0-6; and Z is -H, or substituted or unsubstituted alkyl, amino, aryl, heteroaryl or heterocycloalkyl. 546 Example preps. are included. For example, addition of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by treatment with Na[(AcO)3BH], workup and chromatog., gave cis- and trans-IV.

IT **364354-69-8P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrrolopyrimidinamines as protein kinase inhibitors)

RN 364354-69-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-methoxyphenyl]-1-methyl-5-propyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

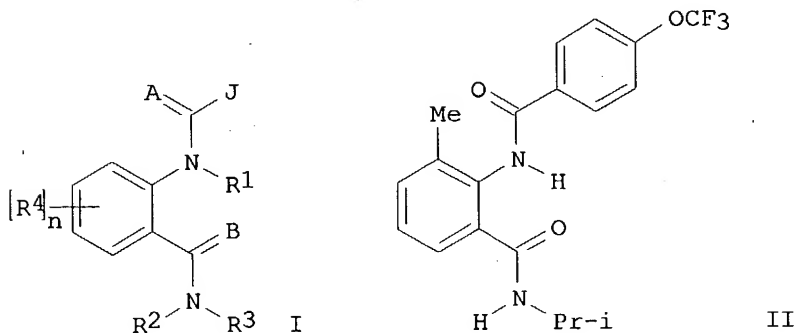
L3 ANSWER 37 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:713292 CAPLUS
 DOCUMENT NUMBER: 135:272754
 TITLE: Preparation of insecticidal anthranilamides
 INVENTOR(S): Lahm, George P.; Myers, Brian J.; Selby, Thomas P.;
 Stevenson, Thomas M.
 PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
 SOURCE: PCT Int. Appl., 211 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070671	A2	20010927	WO 2001-US9338	20010320
WO 2001070671	A3	20020214		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

10/713,201

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2400167 AA 20010927 CA 2001-2400167 20010320
AU 2001050946 A5 20011003 AU 2001-50946 20010320
EP 1265850 A2 20021218 EP 2001-924277 20010320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2001009757 A 20030204 BR 2001-9757 20010320
JP 2003528070 T2 20030924 JP 2001-568883 20010320
NZ 520728 A 20030926 NZ 2001-520728 20010320
ZA 2002006148 A 20031105 ZA 2002-6148 20020801
US 2003229050 A1 20031211 US 2002-220450 20020828
US 6747047 B2 20040608
US 2004142984 A1 20040722 US 2003-698643 20031031
PRIORITY APPLN. INFO.: US 2000-191242P P 20000322
US 2000-220232P P 20000724
US 2000-254635P P 20001211
US 2001-262015P P 20010117
WO 2001-US9338 W 20010320
US 2002-220450 A3 20020828
OTHER SOURCE(S): MARPAT 135:272754
GI



AB The title compds. [I; A, B = O, S; J = substituted Ph, naphthyl, (un)substituted 5-6 membered heteroarom., aromatic 8-10 membered fused heterobicyclic ring; n = 1-4; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkoxy, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl, halo, etc.], useful for controlling arthropods, were prepared E.g., a multi-step synthesis of II which showed excellent level of plant protection (10% or less feeding damage) in test with diamondback moth (DBM), was given.

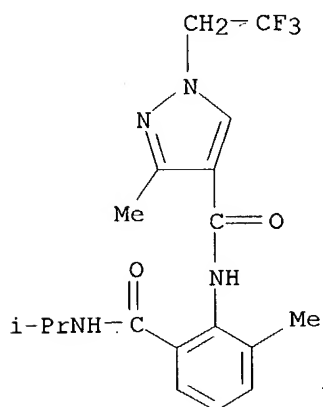
IT 362640-00-4P 362640-01-5P 362640-02-6P
362640-03-7P 362640-06-0P 362640-07-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of insecticidal anthranilamides)

RN 362640-00-4 CAPLUS

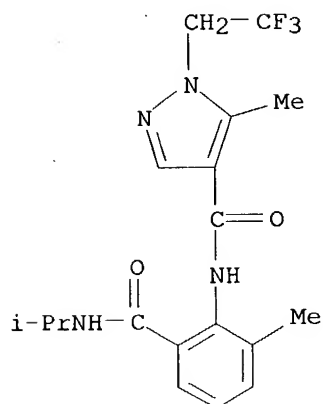
10/713,201

CN 1H-Pyrazole-4-carboxamide, 3-methyl-N-[2-methyl-6-[[1-methylethyl)amino]carbonyl]phenyl]-1-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



RN 362640-01-5 CAPLUS

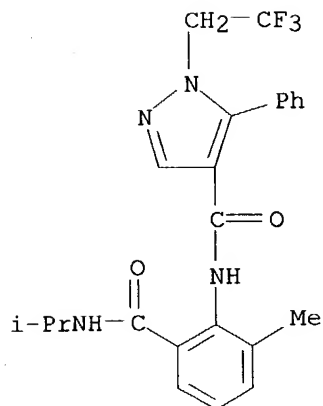
CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-[2-methyl-6-[[1-methylethyl)amino]carbonyl]phenyl]-1-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



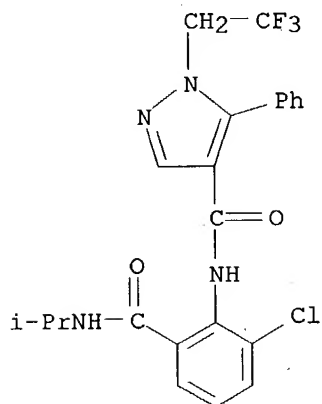
RN 362640-02-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-[2-methyl-6-[[1-methylethyl)amino]carbonyl]phenyl]-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

10/713,201



RN 362640-07-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[2-chloro-6-[[1-(methylethyl)amino]carbonyl]phenyl]-5-phenyl-1-(2,2,2-trifluoroethyl)-(9CI) (CA INDEX NAME)



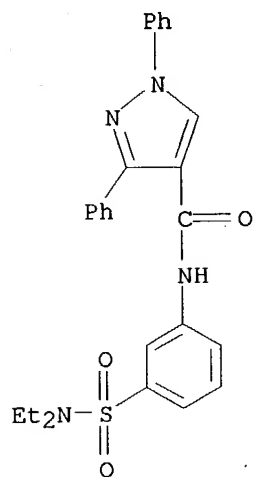
L3 ANSWER 38 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:620087 CAPLUS
DOCUMENT NUMBER: 135:371677
TITLE: 4-Functionally substituted 3-heterylpyrazoles: III.
3-Aryl(heteryl)pyrazole-4-carboxylic acids and their derivatives
AUTHOR(S): Bratenko, M. K.; Chornous, V. A.; Vovk, M. V.
CORPORATE SOURCE: Bukovinskaya State Medical Academy, Chernovtsy, 58000, Ukraine
SOURCE: Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (2001), 37(4), 552-555
CODEN: RJOCEQ; ISSN: 1070-4280
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:371677
AB 3-Aryl(heteryl)-4-formylpyrazoles were cleanly oxidized by potassium permanganate in water-pyridine medium to afford in high yield 3-aryl(heteryl)pyrazole-4-carboxylic acids, that were further converted into the corresponding chlorides and amides.
IT 366495-16-1P 368861-02-3P 373627-42-0P

10/713,201

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of functionally substituted (phenyl)pyrazolecarboxamides and
their derivs.)

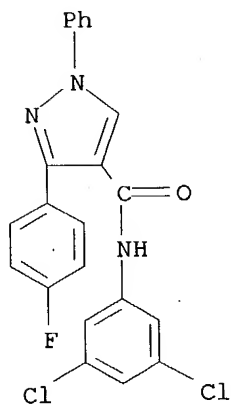
RN 366495-16-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[3-[(diethylamino)sulfonyl]phenyl]-1,3-
diphenyl- (9CI) (CA INDEX NAME)



RN 368861-02-3 CAPLUS

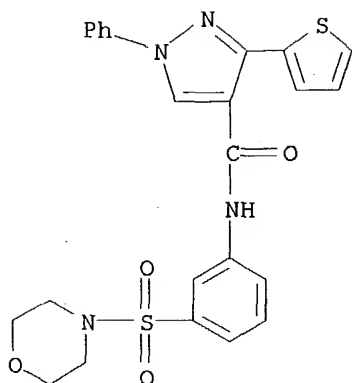
CN 1H-Pyrazole-4-carboxamide, N-(3,5-dichlorophenyl)-3-(4-fluorophenyl)-1-
phenyl- (9CI) (CA INDEX NAME)



RN 373627-42-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[3-(4-morpholinylsulfonyl)phenyl]-1-phenyl-3-
(2-thienyl)- (9CI) (CA INDEX NAME)

10/713,201



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:545674 CAPLUS
DOCUMENT NUMBER: 135:137516
TITLE: Synthesis of heteroarylbenzamides and analogs used for inhibiting protein kinases
INVENTOR(S): Bender, Steven Lee; Bhumralkar, Dilip; Collins, Michael Raymond; Cripps, Stephan James; Deal, Judith Gail; Nambu, Mitchell David; Palmer, Cynthia Louise; Peng, Zhengwei; Varney, Michael David; Jia, Lei
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 237 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053274	A1	20010726	WO 2001-US1723	20010119
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2394703	AA	20010726	CA 2001-2394703	20010119
US 2002103203	A1	20020801	US 2001-764306	20010119
US 6635641	B2	20031021		
EP 1252146	A1	20021030	EP 2001-906592	20010119
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001008025	A	20021105	BR 2001-8025	20010119
JP 2003529558	T2	20031007	JP 2001-553276	20010119
US 2004092747	A1	20040513	US 2003-621979	20030717
PRIORITY APPLN. INFO.:			US 2000-177059P	P 20000121
			US 2001-764306	A3 20010119
			WO 2001-US1723	W 20010119

10/713,201

OTHER SOURCE(S):
GI

MARPAT 135:137516

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

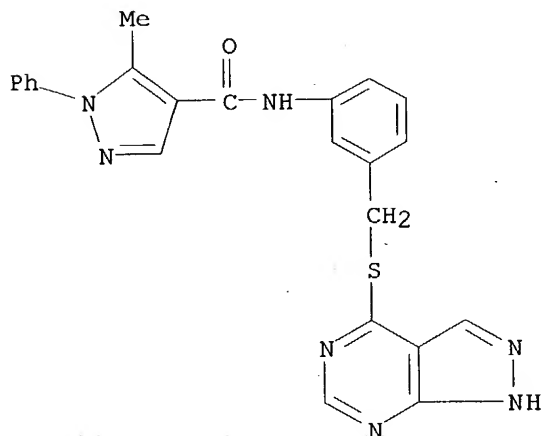
AB Title compds. I [Z = CH, NH; Q = moiety such that ring A is (un)substituted mono- or bicyclic heteroaryl which has at least 2 carbon atoms in the heteroaryl ring system; X = CH₂, O, S, NH; Y = CH₂, O, S, provided at least one of X and Y = CH₂ or X and Y form a cyclopropyl ring; R₂-3 = H, Me, halo, CF₃, CN; R₄ = CONHR₅, NHCOR₆; where R₅ = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R₆ = (un)substituted aryl, heteroaryl, cycloalkyl, etc.] are prepared Examples include synthetic procedures for over 150 compds., 11 biol. assays and 3 sample formulations. For instance, 3-mercaptobenzoic acid was treated with α -chloro-N-methoxy-N-methylacetamide followed by carbodiimide coupling to 2-methyl-6-aminoquinoline to give II. II was converted to a β -thiono-ketone with thioacetanilide/n-BuLi followed by treatment with hydrazine to give pyrazole III. III gave 85% inhibition of an lck protein tyrosine kinase at 5 μ M and had K_i = 2.21 nM for VEGF-R2 Δ 50. Treatment of cancer as well as other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis are claimed uses of the invention.

IT 351324-12-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of heteroarylbenzamides used for inhibiting protein kinases)

RN 351324-12-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-phenyl-N-[3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylthio)methyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:472697 CAPLUS

DOCUMENT NUMBER: 135:61329

10/713,201

TITLE: Preparation of pyrazoles as cAMP-specific phosphodiesterase inhibitors for pharmaceutical use as anti-inflammatory agents

INVENTOR(S): Martins, Timothy J.; Fowler, Kerry W.; Hertel, Carmen C.; Oliver, Amy

PATENT ASSIGNEE(S): Icos Corp., USA

SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

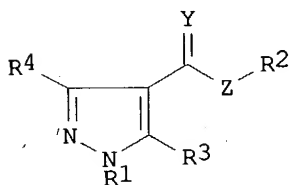
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

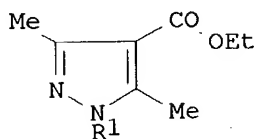
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046172	A1	20010628	WO 2000-US41435	20001023
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6569885	B1	20030527	US 2000-692364	20001019
CA 2395113	AA	20010628	CA 2000-2395113	20001023
EP 1248781	A1	20021016	EP 2000-986826	20001023
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003518110	T2	20030603	JP 2001-547082	20001023
US 2003236412	A1	20031225	US 2003-418556	20030418
PRIORITY APPLN. INFO.:			US 1999-172067P	P 19991223
			US 2000-692364	A3 20001019
			WO 2000-US41435	W 20001023

OTHER SOURCE(S): MARPAT 135:61329

GI



I



II

AB Pyrazoles, such as I [Y = O, NOH; Z = O, NH; R₁ = alkyl, cycloalkyl, aryl, heteroaryl, etc.; R₂ = H, Me, alkyl, aryl, heteroaryl, etc.; R₃, R₄ = H, alkyl, haloalkyl, aryl], were prepared as potent and selective inhibitors of PDE4 for use in the treatment of inflammatory diseases and other diseases involving elevated levels of cytokines, as well as central nervous system (CNS) disorders. Thus, pyrazole II (R₁ = C₆H₄-4-Br) was prepared by cyclocondensation of (4-bromophenyl)hydrazine hydrochloride with (MeCO)₂CHCO₂Et in pyridine and ethanol. The prepared pyrazoles were tested for PDE4 and TNF α inhibiting activity.

IT 61747-92-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

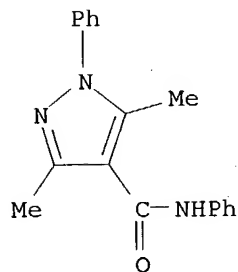
10/713,201

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of pyrazoles as cAMP-specific phosphodiesterase inhibitors for pharmaceutical use as anti-inflammatory agents)

RN 61747-92-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:283958 CAPLUS

DOCUMENT NUMBER: 134:311201

TITLE: Preparation of isoxazoloquinolinones as inhibitors of multidrug resistance protein 1.

INVENTOR(S): Bonjouklian, Rosanne; Johnson, Douglas Webb; Lander, Peter Ambrose; Lohman, Mark Christopher; Patel, Vinod Francis; Vepachedu, Sreenivasarao; Xie, Yongping

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

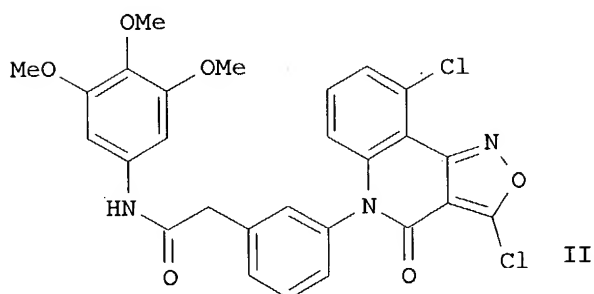
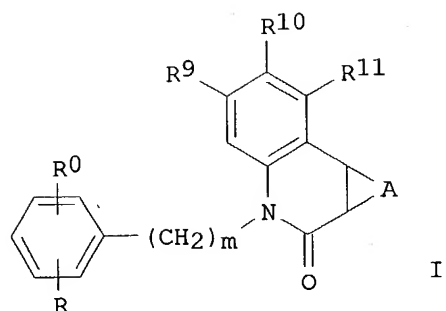
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001027116	A2	20010419	WO 2000-US21980	20000922
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1224189	A2	20020724	EP 2000-968314	20000922
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
US 6670373	B1	20031230	US 2002-88721	20020702
US 2004077675	A1	20040422	US 2003-678891	20031003
PRIORITY APPLN. INFO.:			US 1999-158175P	P 19991007
			US 1999-169784P	P 19991209
			WO 2000-US21980	W 20000922

OTHER SOURCE(S):

MARPAT 134:311201

GI



AB Title compds. [I; A = atoms to form a 5-membered (substituted) heteroaryl ring containing N and a 2nd heteroatom selected from N, O, S; R = (CH₂)_mCHR₁NHR₂, OCH₂CH₂NHR₂, NHR₂, etc.; R₀ = H, OH, alkyl, phenylalkyl, cycloalkylalkyl; m = 0-2; R₁ = H, alkyl; R₂ = H, COR₆, SO₂R₇, etc.; R₆ = alkyl, substituted cycloalkyl, aryl, OCM₃, heterocyclyl, heterocyclylalkyl, etc.; R₇ = alkyl, (substituted) Ph; R₉-R₁₁ = H, halo, CO₂R₁, (substituted) aryl, thienyl, alkoxy, alkylphenyl, alkenyl], were prepared Thus, N-(3,4,5-trimethoxyphenyl)-3-[3-(2-chloro-5-fluorophenyl)-5-chloroisoxazol-4-oyl]aminophenylacetamide (preparation given) was stirred with K₂CO₃ at -10° for 3 h to give 31.1% title compound (II). I were said to demonstrate a significant effect in reversing MRP1 multiple drug resistance. I drug formulations are given.

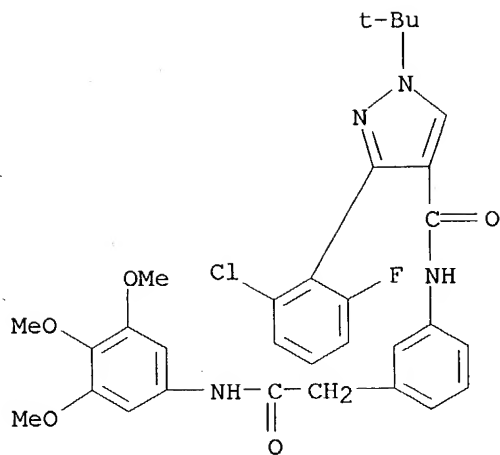
IT 334971-27-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

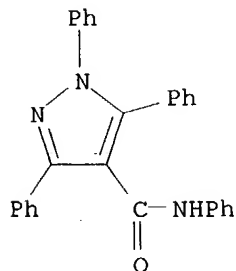
(preparation of isoxazoloquinolinones as inhibitors of multidrug resistance protein 1)

RN 334971-27-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(2-chloro-6-fluorophenyl)-1-(1,1-dimethylethyl)-N-[3-[2-oxo-2-[(3,4,5-trimethoxyphenyl)amino]ethyl]phenyl]-(9CI) (CA INDEX NAME)



L3 ANSWER 42 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:223058 CAPLUS
 DOCUMENT NUMBER: 135:33441
 TITLE: Reaction of benzonitrilium N-phenylimide with
 (Z)-4-arylmethyleneimidazol-5(4H)-ones
 AUTHOR(S): Abdallah, M. A.; Zayed, M. E.; Shawali, A. S.
 CORPORATE SOURCE: Department of Chemistry, Faculty of Science,
 University of Cairo, Giza, Egypt
 SOURCE: Indian Journal of Chemistry, Section B: Organic
 Chemistry Including Medicinal Chemistry (2001),
 40B(3), 187-190
 CODEN: IJSBDB; ISSN: 0376-4699
 PUBLISHER: National Institute of Science Communication, CSIR
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 135:33441
 AB The title reaction, when carried out in chloroform in the presence of
 triethylamine, yields the spirocycloadducts which upon treatment with a
 base affords 1,3,4-triaryl-5-pyrazolecarboxamide.
 IT **344346-85-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (reaction of benzonitrilium N-phenylimide with (Z)-4-
 arylmethyleneimidazol-5(4H)-ones)
 RN 344346-85-6 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, N,1,3,5-tetraphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

20

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/713,201

L3 ANSWER 43 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:177435 CAPLUS

DOCUMENT NUMBER: 135:40405

TITLE: Synthesis and SAR of benzamidine factor Xa inhibitors containing a vicinally-substituted heterocyclic core

AUTHOR(S): Fevig, J. M.; Pinto, D. J.; Han, Q.; Quan, M. L.; Pruitt, J. R.; Jacobson, I. C.; Galemme, R. A., Jr.; Wang, S.; Orwat, M. J.; Bostrom, L. L.; Knabb, R. M.; Wong, P. C.; Lam, P. Y. S.; Wexler, R. R.

CORPORATE SOURCE: DuPont Pharmaceuticals Company, Wilmington, DE, 19880-0500, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(5), 641-645

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:40405

AB The selective inhibition of coagulation factor Xa has emerged as an attractive strategy for the discovery of novel antithrombotic agents. Here we describe highly potent benzamidine factor Xa inhibitors based on a vicinally-substituted heterocyclic core.

IT 344416-58-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and SAR of benzamidine factor Xa inhibitors containing a vicinally-substituted heterocyclic core)

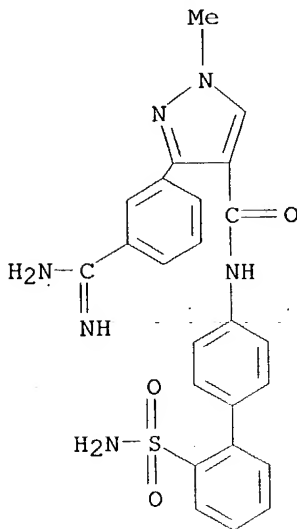
RN 344416-58-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-[3-(aminoiminomethyl)phenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209955-94-2

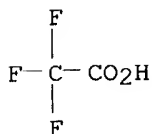
CMF C24 H22 N6 O3 S



10/713,201

CM 2

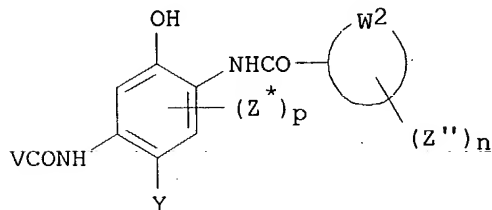
CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 44 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:161434 CAPLUS
DOCUMENT NUMBER: 134:200489
TITLE: Photographic element, compound, and process
INVENTOR(S): Begley, William J.; Coms, Frank D.; Russo, Gary M.
PATENT ASSIGNEE(S): Eastman Kodak Company, USA
SOURCE: U.S., 26 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6197492	B1	20010306	US 1999-473933	19991228
EP 1113328	A1	20010704	EP 2000-204565	20001218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1308250	A	20010815	CN 2000-138093	20001228
US 2001014432	A1	20010816	US 2001-781645	20010212
US 2002051945	A1	20020502	US 2001-982476	20011018
US 6387606	B2	20020514		
PRIORITY APPLN. INFO.:			US 1999-473933	A 19991228
			US 2001-781645	A1 20010212
OTHER SOURCE(S):		MARPAT 134:200489		
GI				



AB Disclosed is a photog. element comprising a light-sensitive silver halide emulsion layer having associated therewith a cyan "NB coupler" having formula I (the term "NB coupler" represents a coupler of formula I that forms a

10/713,201

dye for which the left bandwidth (LBW) using spin-coating is at least 5 nm less than that of the same dye in solution form; Y = H or a coupling-off group; each Z" and Z* is an independently selected substituent group; n = 0-4; p = 0-2; W2 represents the atoms necessary to complete a heterocyclic ring group; and V is a sulfone or sulfoxide containing group; and the combined sum of the aliphatic carbon atoms in V, all Z" and all Z* is at least 8). The element exhibits improved cyan dye hue.

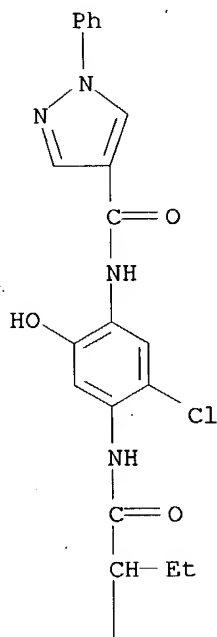
IT 327059-00-7

RL: TEM (Technical or engineered material use); USES (Uses)
(cyan dye-forming coupler in photog. emulsions)

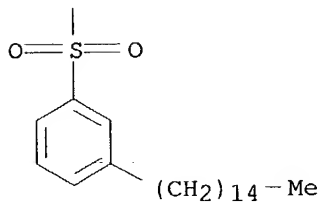
RN 327059-00-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[5-chloro-2-hydroxy-4-[[1-oxo-2-[(3-pentadecylphenyl)sulfonyl]butyl]amino]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT:

20

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

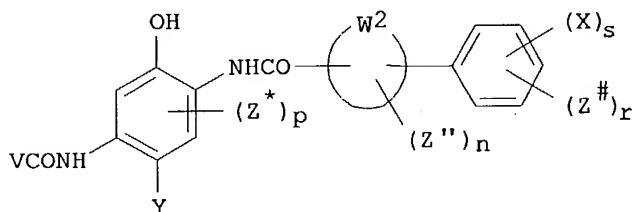
L3 ANSWER 45 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:145186 CAPLUS

10/713,201

DOCUMENT NUMBER: 134:170783
TITLE: Photographic element, compound, and process
INVENTOR(S): Begley, William J.; Coms, Frank D.; Russo, Gary M.
PATENT ASSIGNEE(S): Eastman Kodak Company, USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6194132	B1	20010227	US 1999-473632	19991228
EP 1113332	A1	20010704	EP 2000-204570	20001218
EP 1113332	B1	20041006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001188328	A2	20010710	JP 2000-395622	20001226
CN 1309325	A	20010822	CN 2000-137537	20001228
PRIORITY APPLN. INFO.:			US 1999-473632	A 19991228
OTHER SOURCE(S):	MARPAT 134:170783			
GI				



I

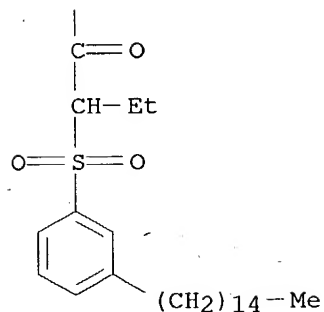
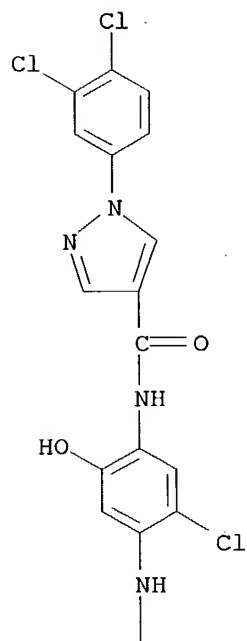
AB The invention relates to a silver halide photog. element containing a phenolic cyan dye-forming coupler bearing a carbonamido group in the 2-position and a carbonamido substituent bearing a sulfone group in the 5-position. Disclosed is a photog. element comprising a light-sensitive Ag halide emulsion layer having associated therewith a cyan coupler having the structure (I) where V is a sulfone or sulfoxide containing group; Y is H or a coupling-off group; W2 = the atoms necessary to complete a carbocyclic or heterocyclic ring group; each Z, Z* and Z# is an independently selected substituent group where n and r are independently 0 to 4 and p is 0 to 2; and X is a halogen atom, and s is 1 to 5; provided that the combined sum of the aliphatic C atoms in V, all Z, all Z#, and all Z* is at least 8. The element exhibits improved cyan dye stability.

IT 325685-46-9P

RL: NUU (Other use, unclassified); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses)
(phenolic cyan-dye forming coupler for silver halide photog. element)

RN 325685-46-9 CAPLUS

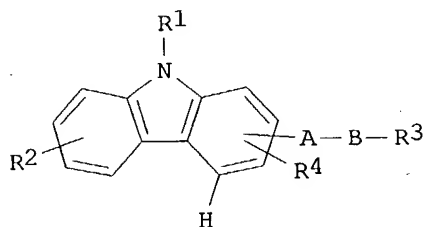
CN 1H-Pyrazole-4-carboxamide, N-[5-chloro-2-hydroxy-4-[[1-oxo-2-[(3-pentadecylphenyl)sulfonyl]butyl]amino]phenyl]-1-(3,4-dichlorophenyl)-
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:78361 CAPLUS
 DOCUMENT NUMBER: 134:147496
 TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands
 INVENTOR(S): Block, Michael Howard; Donald, Samuel Craig; Foote, Kevin; Schofield, Paul; Marsham, Peter Robert
 PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK
 SOURCE: PCT Int. Appl., 169 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007409	A1	20010201	WO 2000-GB2745	20000715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 1999-17173	A 19990723
			GB 1999-18380	A 19990805
			GB 1999-30314	A 19991222
OTHER SOURCE(S):		MARPAT 134:147496		
GI				



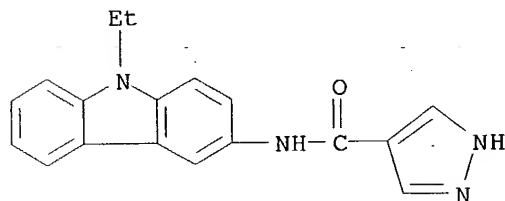
AB The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, CN, etc.; A = NH, CH2NH, NHCO, etc.; B = alkylene, alkenylene, a direct bond, etc.; R3 = H, OH, alkoxy, etc.; R4 = H, alkyl, halo, NO2] and their pharmaceutically acceptable salts, useful for the treatment of disorders mediated by the neuropeptide Y5 receptor, were prepared and formulated. E.g., reacting 3-amino-9-ethylcarbazole with PrNCO in the presence of Et3N in DMF afforded 50% I [R1 = Et; R2, R4 = H; ABR3 = 3-(NHCONHPr)]. In general, the compds. I possess an IC50 of 0.0002-200 µM against neuropeptide Y5 receptor binding.

IT 322723-30-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of carbazoles as neuropeptide Y5 receptor ligands)

RN 322723-30-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(9-ethyl-9H-carbazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

10/713,201

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 47 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:658115 CAPLUS

DOCUMENT NUMBER: 133:238010

TITLE: Preparation of pyrazole derivatives as blockers of

calcium release-activated calcium channel (CRACC)

INVENTOR(S): Kubota, Koichi; Yoshimura, Noriko; Okamoto, Yoshinori;
Yonetoku, Yasuhiro; Naito, Makoto; Ishikawa, Atsushi;
Takeuchi, Makoto

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

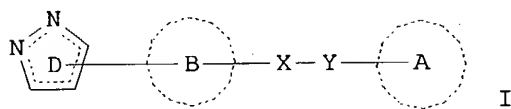
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000256358	A2	20000919	JP 1999-62900	19990310
PRIORITY APPLN. INFO.:			JP 1999-62900	19990310
OTHER SOURCE(S):	MARPAT 133:238010			

GI



AB The title compds. (I; ring D = pyrazolyl optionally substituted with 1-3 substituents selected from lower alkyl, alkenyl, alkynyl, or haloalkyl, lower alkylene-cycloalkyl, lower alkylene-O-lower alkyl, cycloalkyl, O-lower alkyl, CO₂H, lower alkoxy carbonyl, and halo; ring B = phenylene or optionally lower-substituted bivalent monocyclic aromatic heterocyclic ring; X = NR₁CO, CONR₁, NR₁SO₂, SO₂NR₁; wherein R₁ = H, OH, lower alkyl, O-lower alkyl, lower alkyl-carbonyl; Y = bond, CO, lower alkylene, or lower alkenylene; ring A = Ph having at least one substituent selected from HO, O-lower alkyl, and F, or optionally substituent mono-, bi-, or tricyclic condensed heteroaryl; provided that when Y is a bond, ring A represents a group other than heteroaryl selected from thienyl, pyrrolyl, imidazolyl, thiazolyl, oxazolyl, thiadiazolyl, pyridyl, pyrazinyl, and isoquinolyl) and pharmaceutically acceptable salts thereof are prepared. These compds. exhibit the inhibitory activity against CRACC and the production of interleukin-2 and are useful for the prevention or treatment of allergies, inflammations, and autoimmune diseases. Thus, 2,1,3-benzoxadiazole-5-carbonyl chloride and Et₃N were successively added to a mixture of 4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline and CH₂Cl₂ and stirred at room temperature for 8.5 h to give N-[(2,1,3-benzoxadiazol-5-yl)carbonyl]-4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]aniline. Preferred compds. I inhibited thapsigargin-stimulated increase in calcium concentration with IC₅₀ of

≤1 μM and the production of interleukin-2 with IC₅₀ of ≤0.1 μM in Jurkat cell.

IT 292610-53-8P

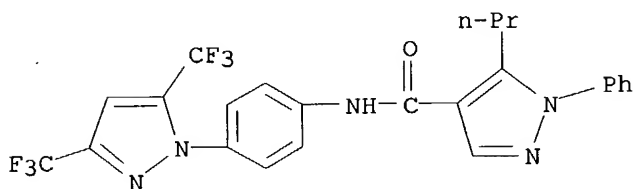
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

10/713,201

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. as blockers of calcium release-activated calcium channel and inhibitors of interleukin-2 production)

RN 292610-53-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-phenyl-5-propyl- (9CI) (CA INDEX NAME)



L3 ANSWER 48 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:577178 CAPLUS

DOCUMENT NUMBER: 134:207749

TITLE: Reactions with hydrazonyl halides. 31. Synthesis of some new pyrrolidino[3,4-c]pyrazolines, pyrazoles, and pyrazolo[3,4-d]pyridazines

AUTHOR(S): Abdelhamid, Abdou O.; Zohdi, Hussien F.; Sallam, Mohamed M. M.; Ahmed, Nagla A.

CORPORATE SOURCE: Dep. Chem., Fac. Sci., Cairo Univ., Giza, 12613, Egypt

SOURCE: Molecules [online computer file] (2000), 5(7), 967-973
CODEN: MOLEEF; ISSN: 1420-3049
URL: <http://www.mdpi.org/molecules/cipapers/50700967.pdf>

PUBLISHER: Molecular Diversity Preservation International

DOCUMENT TYPE: Journal; (online computer file)

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:207749

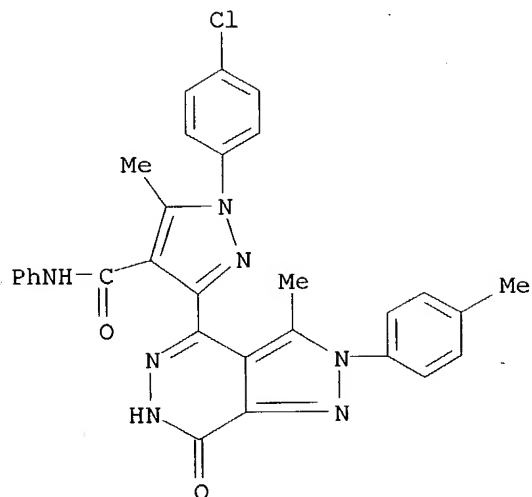
AB Pyrrolidino[3,4-c]pyrazoline and pyrazole derivs. were synthesized via reactions of a substituted hydrazonyl bromide with N-arylmaleimides and active methylene reagents, resp. Synthesized pyrazoles were reacted with hydrazine hydrate to give the corresponding pyrazolo[3,4-d]pyridazines.

IT 328249-64-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(pyrrolidino[3,4-c]pyrazolines, pyrazoles, and pyrazolo[3,4-d]pyridazines from hydrazonyl bromides)

RN 328249-64-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-3-[6,7-dihydro-3-methyl-2-(4-methylphenyl)-7-oxo-2H-pyrazolo[3,4-d]pyridazin-4-yl]-5-methyl-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 49 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:573775 CAPLUS
 DOCUMENT NUMBER: 133:177164
 TITLE: Preparation of pyrazolecarboxamides and pyrrolecarboxamides as inhibitors of the proliferation of activated lymphocytes and as remedies for autoimmune disease.
 INVENTOR(S): Ushio, Hiroyuki; Ishibuchi, Seigo; Naito, Youichiro; Sugiyama, Naoki; Kawaguchi, Takafumi; Chiba, Kenji; Ohtsuki, Makio; Naka, Yoichi
 PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 315 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047558	A1	20000817	WO 2000-JP767	20000210
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2362381	AA	20000817	CA 2000-2362381	20000210
NZ 514095	A	20010928	NZ 2000-514095	20000210
EP 1176140	A1	20020130	EP 2000-902925	20000210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008173	A	20021022	BR 2000-8173	20000210
JP 3419395	B2	20030623	JP 2000-598479	20000210

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JP 2003176273
PRIORITY APPLN. INFO.:

A2

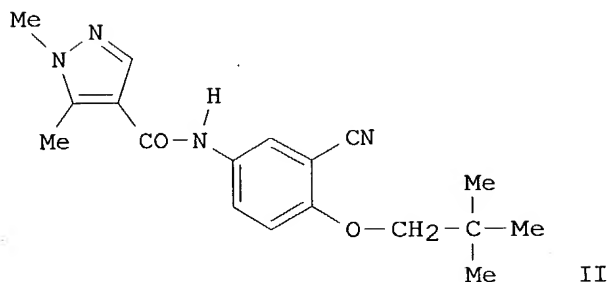
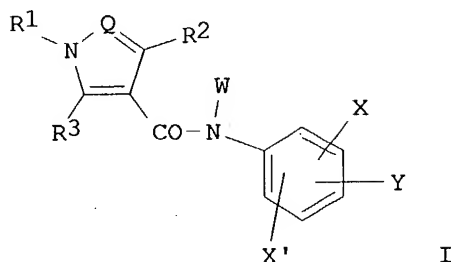
20030624

JP 2002-375683
JP 1999-33367
JP 1999-198473
JP 2000-598479
WO 2000-JP767

20000210
A 19990210
A 19990713
A3 20000210
W 20000210

OTHER SOURCE(S):
GI

MARPAT 133:177164



AB The title compds. I' [R1 represents substituted aryl, heteroaryl, etc.; R2 and R3 represent each hydrogen, alkyl, halogeno, hydroxy, etc.; Q represents N, CH, etc.; W represents hydrogen, alkyl, hydroxycarbonylalkyl, etc.; X represents halogeno, cyano, nitro, amino, etc.; X' represents hydrogen, halogeno, cyano or nitro; and Y represents alkyl, hydroxy, alkoxy, etc.] are prepared For example, pyrazolecarboxamide derivative II was prepared The title compds. are said to show significant inhibiting activity against the proliferation of activated lymphocytes in in vitro tests. A formulation is given.

IT 288249-31-0P 288249-32-1P 288249-33-2P
288249-34-3P 288249-35-4P 288249-36-5P
288249-37-6P 288249-38-7P 288249-39-8P
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288250-33-9P 288250-34-0P 288250-35-1P
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288251-46-7P

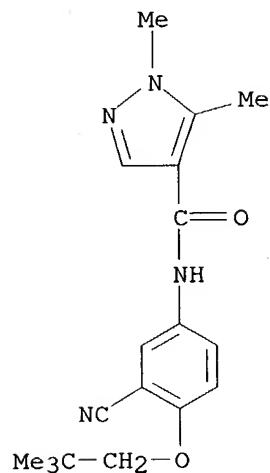
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolecarboxamides and pyrrolecarboxamides as inhibitors of the proliferation of activated lymphocytes and as remedies for autoimmune disease.)

RN 288249-31-0 CAPLUS

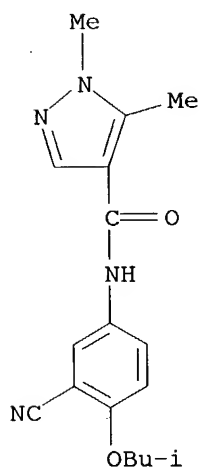
CN 1H-Pyrazole-4-carboxamide, N-[3-cyano-4-(2,2-dimethylpropoxy)phenyl]-1,5-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



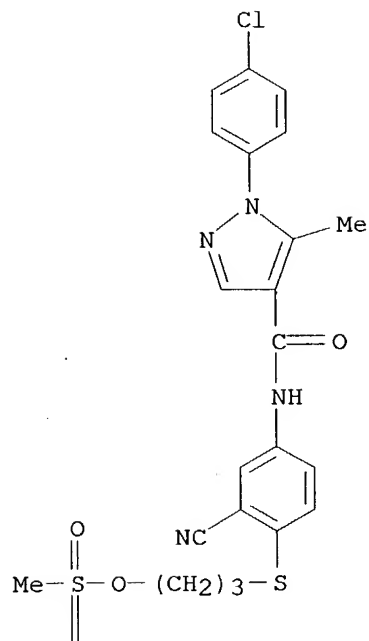
RN 288249-32-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[3-cyano-4-(2-methylpropoxy)phenyl]-1,5-dimethyl- (9CI) (CA INDEX NAME)



RN 288249-33-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[3-cyano-4-(1-piperidinyl)phenyl]-1,5-dimethyl- (9CI) (CA INDEX NAME)



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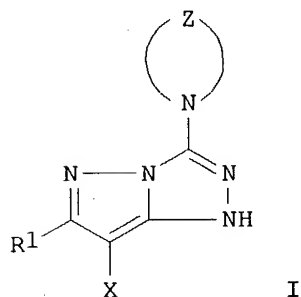
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 50 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:441516 CAPLUS
 DOCUMENT NUMBER: 133:81510
 TITLE: Photographic element containing pyrazolazole coupler
 INVENTOR(S): Diehl, Donald Richard; Kapiamba, Mbiya; Cowan, Stanley Wray
 PATENT ASSIGNEE(S): Eastman Kodak Company, USA
 SOURCE: Eur. Pat. Appl., 42 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1014185	A1	20000628	EP 1999-204255	19991210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6140033	A	20001031	US 1998-218511	19981222
CN 1258021	A	20000628	CN 1999-126495	19991222
JP 2000194102	A2	20000714	JP 1999-365263	19991222
PRIORITY APPLN. INFO.:			US 1998-218511	A 19981222

OTHER SOURCE(S) :
GI

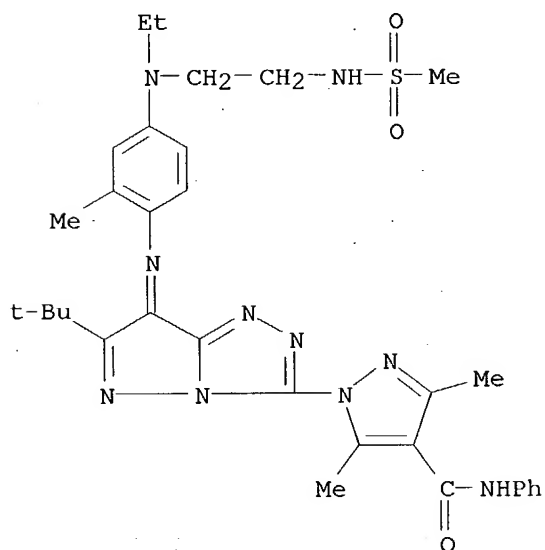
GI



IT 278784-40-0

RN 278784-40-0 CAPLUS

1H-Pyrazole-4-carboxamide, 1-[6-(1,1-dimethylethyl)-7-[[4-[ethyl[2-(pyrazolsulfonyl)amino]ethyl]amino]-2-methylphenyl]imino]-7H-pyrazolo[5,1-c]-1,2,4-triazol-3-yl]-3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 51 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:227634 CAPLUS

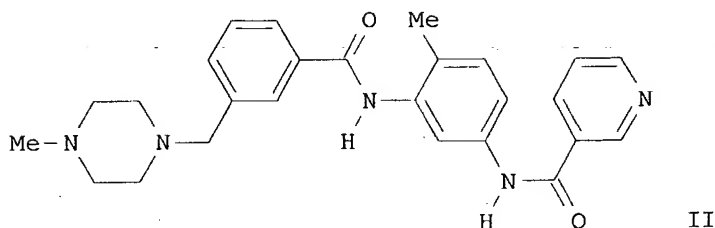
DOCUMENT NUMBER: 132:265091

10/713,201

TITLE: Preparation of N-(benzamidophenyl)pyridinecarboxamides
and analogs as cytokine production inhibitors
INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018738	A1	20000406	WO 1999-GB3144	19990921
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2340454	AA	20000406	CA 1999-2340454	19990921
AU 9961034	A1	20000417	AU 1999-61034	19990921
AU 761361	B2	20030605		
BR 9913947	A	20010612	BR 1999-13947	19990921
EP 1115707	A1	20010718	EP 1999-947653	19990921
EP 1115707	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100840	T2	20011022	TR 2001-200100840	19990921
JP 2002525358	T2	20020813	JP 2000-572198	19990921
NZ 509836	A	20030630	NZ 1999-509836	19990921
AT 254105	E	20031115	AT 1999-947653	19990921
RU 2219171	C2	20031220	RU 2001-111320	19990921
PT 1115707	T	20040430	PT 1999-947653	19990921
ES 2211172	T3	20040701	ES 1999-947653	19990921
ZA 2001002185	A	20020618	ZA 2001-2185	20010315
NO 2001001492	A	20010523	NO 2001-1492	20010323
US 6455520	B1	20020924	US 2001-787882	20010323
HK 1038556	A1	20040430	HK 2001-107980	20011113
PRIORITY APPLN. INFO.:			GB 1998-20770	A 19980925
			GB 1998-26938	A 19981209
			GB 1999-5969	A 19990317
			WO 1999-GB3144	W 19990921

OTHER SOURCE(S): MARPAT 132:265091
GI



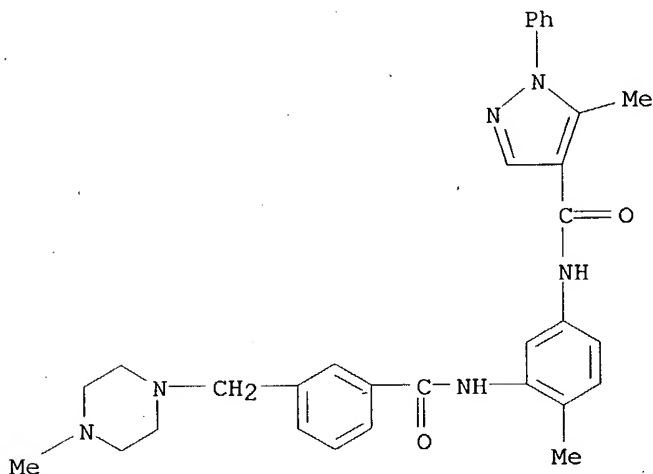
AB R4Z4ZCONHZ1NHCOZ2R2 [I; R2 = Z3R3; R3 = (un)substituted heteroaryl; R4 = (di)(alkyl)amino(alkyl), heterocycl(alkyl), heteroaryl(alkyl), etc.; Z = (un)substituted phenylene; Z1= 2-halo- or -alkyl-1,5-phenylene; Z2 = bond or (CH2)1-4; Z3 = bond, O, NH, alkyleneoxy, alkyleneamino, etc.; Z4 = bond, alkylene(oxy), alkyleneamino,, etc.] were prepared as p38 kinase inhibitors. Thus, 3-(ClCH2)C6H4COCl was amidated by 2-methyl-5-nitroaniline and the product aminated by 1-methylpiperazine to give, after reduction and pyridine-3-carbonyl chloride amidation, title compound II. Data for biol. activity of I were given.

IT 263267-77-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(benzamidophenyl)pyridinecarboxamides and analogs as cytokine production inhibitors)

RN 263267-77-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-[4-methyl-3-[[3-[(4-methyl-1-piperazinyl)methyl]benzoyl]amino]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:205318 CAPLUS

DOCUMENT NUMBER: 132:334393

TITLE: Synthesis and analgesic activity of new pyrazole-4-carboxanilides and (E)-3-pyrazol-4-ylpropenilides

AUTHOR(S): Monteiro, Tania Maria; Pereira, Neila Paula; Freitas, Antonio Carlos Carreira; Barreiro, Eliezer J.; Miranda, Ana Luiza Palhares

CORPORATE SOURCE: Inst. Quimica, UFRJ, Rio de Janeiro, Brazil
SOURCE: Revista Portuguesa de Farmacia (1999), 49(4), 153-160
CODEN: RPTFAU; ISSN: 0484-811X

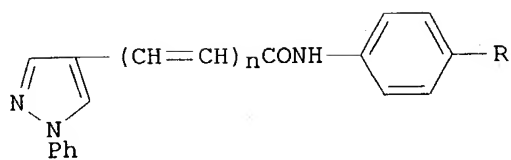
PUBLISHER: Ordem dos Farmaceuticos

DOCUMENT TYPE: Journal

LANGUAGE: Portuguese

GI

10/713,201



AB Title compds. I ($n = 0$; $R = H, OMe, Me, OCF_3, F, CF_3, NO_2$) and (E)-I ($n = 1$, same R) were prepared by reaction of the pyrazole acid chlorides with arylamines. The antinociceptive activity of these new compds. was evaluated by a test of abdominal contortions induced by 0.6% acetic acid solution i.p. in albino mice.

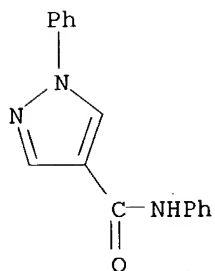
IT 23890-10-0P 267641-99-6P 267642-00-2P
267642-01-3P 267642-02-4P 267642-03-5P
267642-04-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antinociceptive activity of)

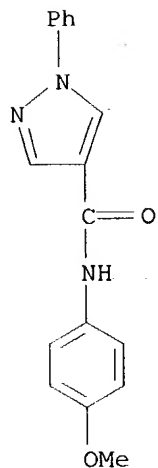
RN 23890-10-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N,1-diphenyl- (9CI) (CA INDEX NAME)



RN 267641-99-6 CAPLUS

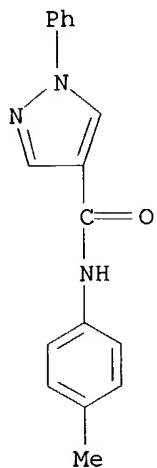
CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1-phenyl- (9CI) (CA INDEX NAME)



10/713,201

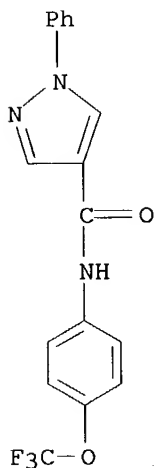
RN 267642-00-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methylphenyl)-1-phenyl- (9CI) (CA INDEX NAME)



RN 267642-01-3 CAPLUS

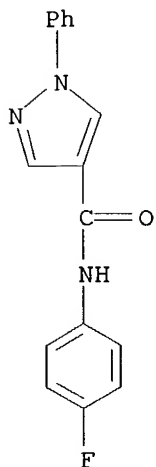
CN 1H-Pyrazole-4-carboxamide, 1-phenyl-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 267642-02-4 CAPLUS

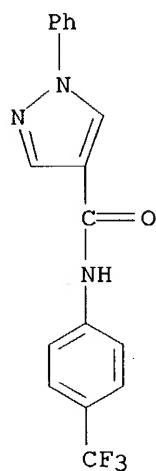
CN 1H-Pyrazole-4-carboxamide, N-(4-fluorophenyl)-1-phenyl- (9CI) (CA INDEX NAME)

10/713,201



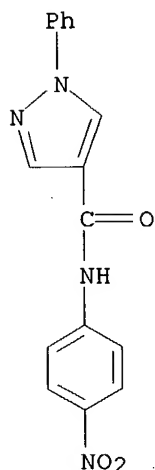
RN 267642-03-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-phenyl-N-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



RN 267642-04-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-nitrophenyl)-1-phenyl- (9CI) (CA INDEX
NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:95892 CAPLUS

DOCUMENT NUMBER: 132:137278

TITLE: Preparation of dibenzothiophenedicarboxylates and analogs as angiogenesis inhibitors

INVENTOR(S): Salvati, Mark E.; Eudy, Nancy H.; Hallett, William A.; Powell, Dennis William

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: U.S., 85 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6022307	A	20000208	US 1999-340353	19990628
			US 1998-112024	19980714

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 132:137278

AB RZNHCOR1 [R = Cl or R1CONH and Z = e.g., 2,8-dicarboxydibenzothiophene-3,7-diyl; R = R1CONH and Z = e.g., 2,8-disulfo-5,5-dioxodibenzothiophene-3,7-diyl; R1 = (hetero)aryl(vinyl), etc.] were prepared Thus, dibenzothiophene was converted in a multistep synthesis to Z(NHCOR1)2 [R1 = 2-benzo[b]thienyl, Z = 2,8-bis(sodiocarboxy)dibenzothiophene-3,7-diyl]. Data for biol. activity of title compds. were given.

IT 256936-72-8P 256937-28-7P

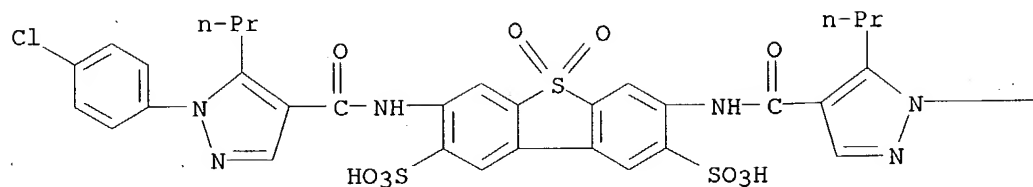
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dibenzothiophenedicarboxylates and analogs as angiogenesis inhibitors)

RN 256936-72-8 CAPLUS

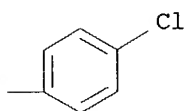
CN 2,8-Dibenzothiophenedisulfonic acid, 3,7-bis[[[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]carbonyl]amino]-, 5,5-dioxide, disodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



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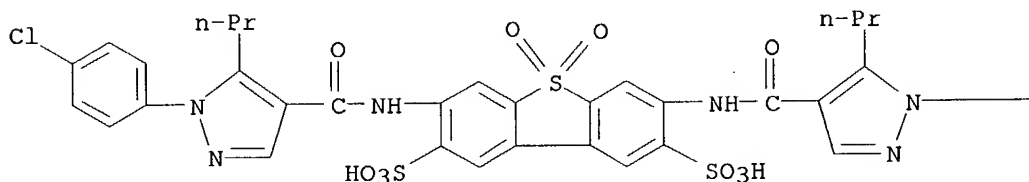
PAGE 1-B



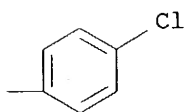
RN 256937-28-7 CAPLUS

CN 2,8-Dibenzothiophenedisulfonic acid, 3,7-bis[[[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]carbonyl]amino]-, 5,5-dioxide (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT:

45

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 54 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:83115 CAPLUS

DOCUMENT NUMBER: 132:137392

TITLE: Preparation of azoles as Factor Xa inhibitors.

INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifan; Rossi, Karen Anita

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA

SOURCE: U.S., 152 pp.

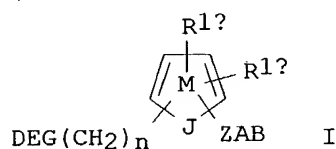
CODEN: USXXAM

10/713,201

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6020357	A	20000201	US 1997-995834	19971222
US 6548512	B1	20030415	US 2000-492708	20000127
PRIORITY APPLN. INFO.:			US 1996-33437P	P 19961223
			US 1997-50304P	P 19970620
			US 1997-995834	A3 19971222

OTHER SOURCE(S): MARPAT 132:137392
GI



AB Title compds. [I; ring M contains, in addition to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF₃, etc.; G = absent, NHCH₂, OCH₂, etc.; Z = C1-4 alkylene, (CH₂)_rO(CH₂)_r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH₂)_nPh; n = 0-3; r = 0-3; s = 0-2; with provisos], useful as inhibitors of factor Xa, were prepared and formulated. Thus, treatment of 4-[o-(tert-BuSO₂)phenyl]aniline with Me₃Al/hexane in CH₂Cl₂ followed by the addition of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (preparation described), and the Pinner reaction of the resulting intermediate afforded 1-(3-amidinophenyl)-2-[(2'-aminosulfonyl-1,1'-biphen-4-yl)aminocarbonyl]imidazole. Several I showed K_i ≤ 10 μM against Factor Xa and thrombin.

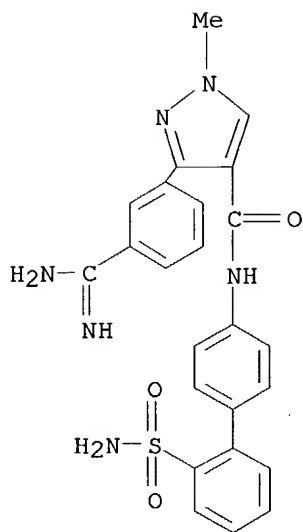
IT 209955-94-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azoles as Factor Xa inhibitors)

RN 209955-94-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-[3-(aminoiminomethyl)phenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-methyl- (9CI) (CA INDEX NAME)

10/713,201



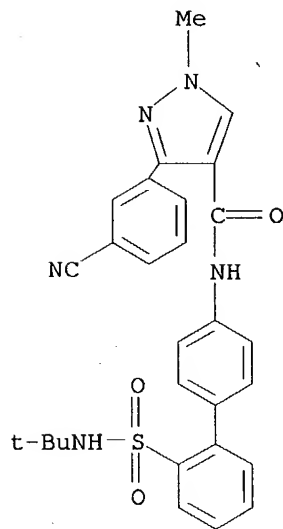
IT 209959-23-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azoles as Factor Xa inhibitors)

RN 209959-23-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(3-cyanophenyl)-N-[2'-[[1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 55 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:659365 CAPLUS

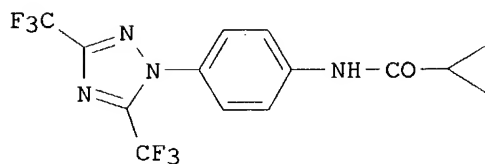
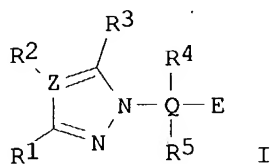
DOCUMENT NUMBER: 131:271873

TITLE: Preparation of pyrazoles and triazoles as inhibitors of cytokine production

10/713,201

INVENTOR(S): Ba Maung, Nwe Y.; Basha, Anwer; Djuric, Stevan W.;
Gubbins, Earl J.; Luly, Jay R.; Tu, Noah P.; Madar,
David J.; Warrior, Usha; Wiedeman, Paul E.; Zhou, Xun;
Wagenaar, Frank L.; Sciotti, Richard J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 319 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951580	A1	19991014	WO 1999-US7766	19990408
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2327185	AA	19991014	CA 1999-2327185	19990408
AU 9933879	A1	19991025	AU 1999-33879	19990408
EP 1068187	A1	20010117	EP 1999-915341	19990408
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
JP 2002510679	T2	20020409	JP 2000-542301	19990408
PRIORITY APPLN. INFO.:			US 1998-56996	A 19980408
			WO 1999-US7766	W 19990408
OTHER SOURCE(S):	MARPAT 131:271873			
GI				



AB Title compds. [I; R1 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, heterocyclyloxy, heterocyclisulfonyl; R2 = H, alkyl, cycloalkyl, alkylcarbonyl, heterocyclyl; R3 = H, NH2, OCONH2, CN, NO2, OH, CO2H, F, Cl, Br, I, aryl, perfluoroalkyl, heterocyclyloxy, heterocyclisulfonyl; R4 and R5 are independently selected from H, alkyl, alkoxy, halo, perfluoroalkyl, CN, heterocycle; E = LB; B = alkyl, alkenyl, alkynyl; L = N:N, N:CH, CH:N, ON:CH, O, CO, NH, NHCO, NHSO2, NHCH2,

10/713,201

alkenylene; Q = benzene ring with 2, 3, or 4 substituted E, heterocycle; Z = C; R2Z = N], E, Z isomers, stereoisomers, pharmaceutical acceptable salts, and prodrugs are prepared and tested as cytokine production inhibitors and are useful for treating diseases that are prevented by or ameliorated with Interleukin-2, Interleukin-4, or Interleukin-5 production inhibitors. Thus, the title compound II was prepared

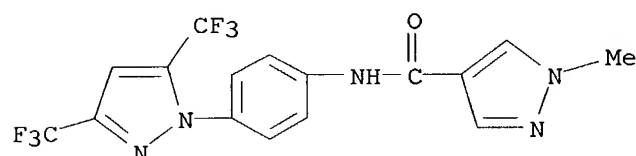
IT 245747-09-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazoles and triazoles as inhibitors of cytokine production)

RN 245747-09-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-[3,5-bis(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 56 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:566028 CAPLUS

DOCUMENT NUMBER: 131:199622

TITLE: Preparation of piperidinylmethylaminoethylarenes as muscarinic receptor antagonists.

INVENTOR(S): Caroon, Joan Marie; Clark, Robin Douglas; Dillon, Michael Patrick; Harris, Ralph New, III; Hegde, Sharathchandra Surendra; Lin, Clara Jeou Jen; Maag, Hans; Repke, David Bruce

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 109 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

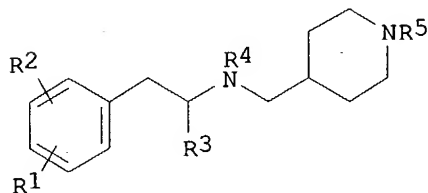
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943657	A1	19990902	WO 1999-EP1102	19990219
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6319920	B1	20011120	US 1999-241816	19990201
CA 2321198	AA	19990902	CA 1999-2321198	19990219
AU 9928353	A1	19990915	AU 1999-28353	19990219
AU 753255	B2	20021010		

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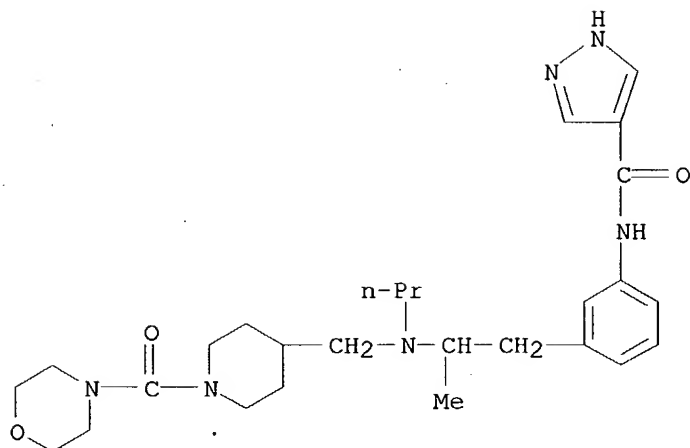
TR 200002481	T2	20001121	TR 2000-200002481	19990219
BR 9909253	A	20001128	BR 1999-9253	19990219
EP 1058680	A1	20001213	EP 1999-908933	19990219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002504543	T2	20020212	JP 2000-533415	19990219
JP 3523198	B2	20040426		
NZ 506317	A	20030829	NZ 1999-506317	19990219
RU 2220138	C2	20031227	RU 2000-124676	19990219
ZA 9901549	A	19990827	ZA 1999-1549	19990225
HR 2000000544	A1	20010228	HR 2000-544	20000818
NO 2000004261	A	20000825	NO 2000-4261	20000825
PRIORITY APPLN. INFO.:			US 1998-76113P	P 19980227
			US 1998-109097P	P 19981119
			WO 1999-EP1102	W 19990219

OTHER SOURCE(S): MARPAT 131:199622
GI



- AB Title compds. (I; R1 = H, alkyl, alkoxy, halo, haloalkyl, amino; R2 = alkyl, alkoxy, halo, haloalkyl, NO₂, heterocyclyl, oxoheterocyclyl, amino, acylamino, etc.; R1R2 = atoms to form a 5-6 membered ring; R3, R4 = alkyl, alkenyl, cycloalkyl; R5 = H, acyl), were prepared Thus, N-[2-(4-methoxyphenyl)-1-methylethyl]ethylamine hydrochloride and Na₂CO₃ in H₂O/PhMe were treated dropwise with N-benzyloxycarbonylpiperidine-4-carbonyl chloride in PhMe followed by 16 h stirring to give N-[2-(4-methoxyphenyl)-1-methylethyl]-N-ethyl-[1-(benzyloxycarbonyl)piperidin-4-ylcarbonyl]amine. The latter was hydrogenated in EtOH over Pd/C followed by treatment with LiAlH₄ in THF to give N-[2-(4-methoxyphenyl)-1-methylethyl]-N-ethyl(piperidin-4-ylmethyl)amine. I showed antimuscarinic activity in rats with pK_i = 6.84-9.13.
- IT **241137-51-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinylmethylaninoethylarenes as muscarinic receptor antagonists)
- RN 241137-51-9 CAPLUS
- CN 1H-Pyrazole-4-carboxamide, N-[3-[2-[[[1-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]propylamino]propyl]phenyl]-, monohydrochloride (9CI)
(CA INDEX NAME)

10/713,201

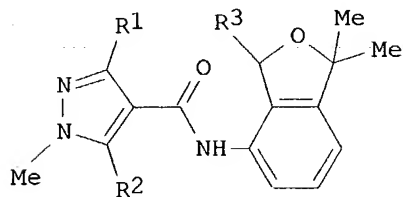


● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 57 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:7712 CAPLUS
DOCUMENT NUMBER: 130:120912
TITLE: Agrochemical compositions containing pyrazole derivatives and fertilizers and method for controlling disease in paddy rice
INVENTOR(S): Ohuchi, Seigo; Okada, Soji
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10338589	A2	19981222	JP 1998-90293	19980402
PRIORITY APPLN. INFO.:			JP 1997-90773	19970409
OTHER SOURCE(S):	MARPAT	130:120912		
GI				



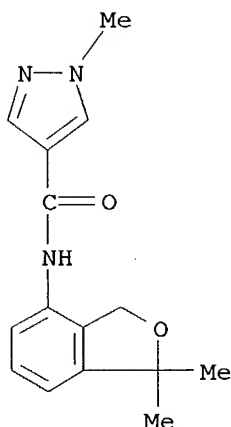
10/713,201

AB Compns. for rice disease management contain pyrazole derivs. I (R1 = Me, Et, or CF3; R2 = Me, halo, or H; R3 = H or Me) and fertilizer components. Thus, 999.11 parts of fertilizer granules (N-P2O5-K2O = 6-20-20%) were impregnated with 50 parts of acetone solution containing 0.89 part of I (R1 = Me, R2 = Cl, R3 = Me), and the acetone was removed by air drying. The product 75 parts and polyurethane-coated urea 25 parts were mixed, and side dressing the resultant composition at 6 kg/are while transplanting rice seeds effectively controlled sheath blight disease caused by *Rhizoctonia solani*.

IT **139679-16-6D**, derivs.
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(agrochem. compns. containing pyrazole derivs. and fertilizers and method for controlling disease in paddy rice)

RN 139679-16-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 58 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:793122 CAPLUS

DOCUMENT NUMBER: 130:38382

TITLE: Preparation of heterocyclic ring-containing sulfonamide compounds as tubulin polymerization inhibitors

INVENTOR(S): Morohashi, Hirohisa; Sato, Hiroshi

PATENT ASSIGNEE(S): Nippon Kayaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

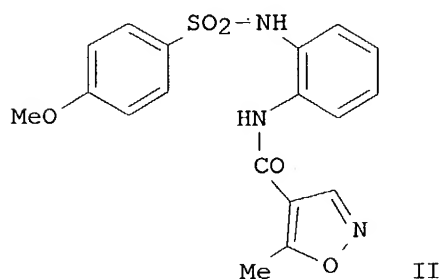
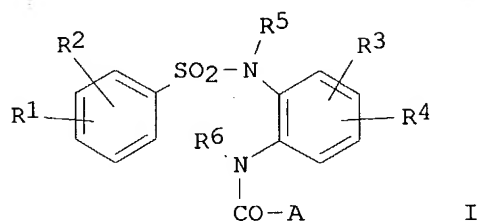
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9854131	A1	19981203	WO 1998-JP2372	19980529
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2289517	AA	19981203	CA 1998-2289517	19980529

10/713,201

AU 9874544	A1	19981230	AU 1998-74544	19980529
AU 739041	B2	20011004		
EP 990645	A1	20000405	EP 1998-921872	19980529
EP 990645	B1	20040728		
R: BE, DE, ES, FR, GB, IT, NL, SE				
US 6180796	B1	20010130	US 1999-423950	19991115
US 6458960	B1	20021001	US 2000-717686	20001121
PRIORITY APPLN. INFO.:			JP 1997-156156	A 19970530
			WO 1998-JP2372	W 19980529
			US 1999-423950	A3 19991115

OTHER SOURCE(S): MARPAT 130:38382

GI



AB The title compds. I [R1 preferably represents lower alkoxy; R2, R3, R4, R5 and R6 may be the same or different and each independently represents hydrogen, halogeno, nitro, lower alkyl, optionally substituted amino or alkylamino; A represents: (1) a 5-membered heterocyclic group having at least one nitrogen atom which may be substituted and contain as the heteroatom an atom selected from among nitrogen, oxygen and sulfur, provided that triazole is excluded therefrom; (2) an optionally substituted alicyclic hydrocarbon group; or (3) an alicyclic hydrocarbon group containing at least one nitrogen atom in the cycle and capable of further containing nitrogen, oxygen or sulfur as the heteroatom] are prepared. Drugs containing these compds. as the active ingredients correct abnormalities in the immune system and, therefore, are useful as preventives or remedies for rheumatism or as anticancer agents. Isoxazole derivative II in vitro showed IC50 of 1.2 µg/mL against tubulin polymerization.

IT 216700-86-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and effect of heterocyclic ring-containing sulfonamide compds.

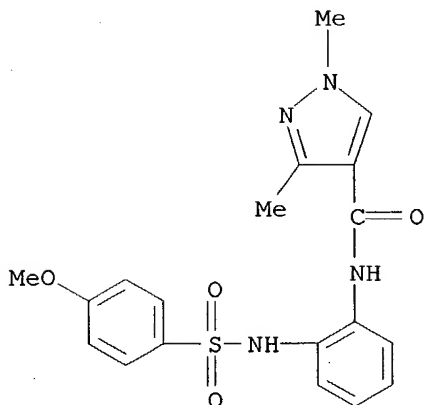
as

tubulin polymerization inhibitors)

10/713,201

RN 216700-86-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[(4-methoxyphenyl)sulfonyl]amino]phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 59 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:789148 CAPLUS

DOCUMENT NUMBER: 130:20604

TITLE: Heteroarylcarboxamide compounds active against protein tyrosine kinase-related disorders, and preparation thereof

INVENTOR(S): McMahon, Gerald; Tang, Peng Cho; Shawver, Laura Kay; Hirth, Klaus Peter

PATENT ASSIGNEE(S): Sugan, Inc., USA

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Present case

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852944	A1	19981126	WO 1998-US10174	19980518
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
JP 11116479	A2	19990427	JP 1998-10368	19980122
CA 2302438	AA	19981126	CA 1998-2302438	19980518
AU 9876879	A1	19981211	AU 1998-76879	19980518
EP 1012150	A1	20000628	EP 1998-924794	19980518
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
ZA 9804213	A	19991119	ZA 1998-4213	19980519
US 6316479	B1	20011113	US 1998-81917	19980519
US 2002065283	A1	20020530	US 2001-948090	20010907
US 6649635	B2	20031118		

10/713,201

US 2004102489	A1	20040527	US 2003-713201	20031117
PRIORITY APPLN. INFO.:			US 1997-46945P	P 19970519
			US 1997-47084P	P 19970519
			US 1997-56623P	P 19970820
			US 1997-61590P	P 19971010
			WO 1998-US10174	W 19980518
			US 1998-81917	A3 19980519
			US 2001-948090	A3 20010907

OTHER SOURCE(S): MARPAT 130:20604

AB Heteroarylcarboxamides are provided which modulate the activity of protein tyrosine kinases and are expected to be useful in the treatment of abnormal protein tyrosine kinase activity-driven disorders. Also provided are methods for the treatment of inappropriate FGFR activity related disorders with the heteroarylcarboxamide, N-(4-trifluoromethylphenyl)-5-methylisoxazole-4-carboxamide, as well as the treatment of solid tumor cancers, especially glioblastoma and astrocytoma, with a combination of a nitrosourea, preferably BCNU (carmustin), and N-(4-trifluoromethylphenyl)-5-methylisoxazole-4-carboxamide.

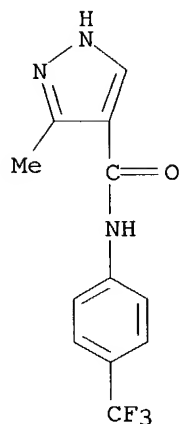
IT **216378-67-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heteroarylcarboxamides active against protein tyrosine kinase-related disorders, preparation thereof, and use with nitrosoureas)

RN 216378-67-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 60 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:710188 CAPLUS

DOCUMENT NUMBER: 130:52364

TITLE: Synthesis and immunosuppressant activity of pyrazolecarboxamides

AUTHOR(S): Wang, Alan X.; Xie, Qinghua; Lane, Ben; Mollison, Karl W.; Hsieh, Gin C.; Marsh, Kennan; Sheets, Michael P.; Luly, Jay R.; Coghlan, Michael J.

CORPORATE SOURCE: Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1998),

10/713,201

8(19), 2787-2792

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science Ltd.

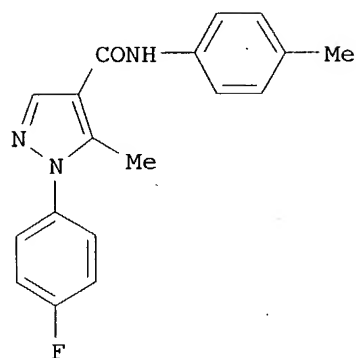
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



I

AB A series of novel pyrazolecarboxamides, e.g., I, is disclosed that demonstrate strong immunosuppressant activity in rodent and human mixed leukocyte response (MLR) assays ($IC_{50} < 1 \mu M$). The synthesis, biol. activity, mode of action, and pharmacokinetic properties of this new lead series are discussed.

IT 216378-67-5P 217073-77-3P 217073-78-4P
217073-79-5P 217073-80-8P 217073-81-9P
217073-82-0P 217073-83-1P 217073-84-2P
217073-85-3P 217073-86-4P 217073-91-1P
217073-92-2P 217073-93-3P 217073-94-4P
217073-95-5P 217073-96-6P 217073-97-7P
217073-98-8P 217073-99-9P 217074-00-5P
217074-01-6P 217074-02-7P 217074-03-8P
217074-04-9P 217074-05-0P 217074-06-1P
217074-07-2P 217074-08-3P

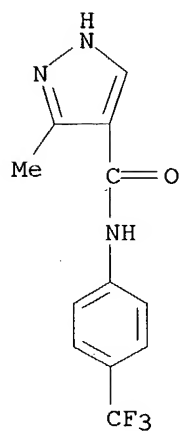
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(pyrazolecarboxamides as immunosuppressants)

RN 216378-67-5 CAPLUS

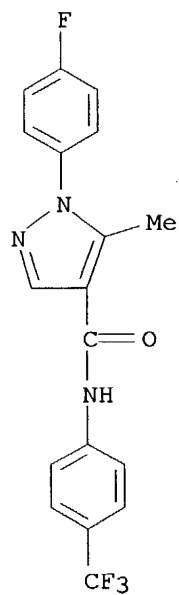
CN 1H-Pyrazole-4-carboxamide, 3-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

10/713,201



RN 217073-77-3 CAPLUS

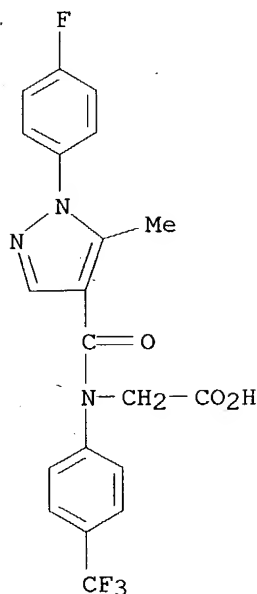
CN 1H-Pyrazole-4-carboxamide, 1-(4-fluorophenyl)-5-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 217073-78-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N,1-bis(4-fluorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

10/713,201



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 61 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:635747 CAPLUS

DOCUMENT NUMBER: 129:260352

TITLE: Preparation of substituted isoquinolines as anticonvulsants

INVENTOR(S): Thompson, Mervyn; Ward, Robert William; Edwards, Peter David

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

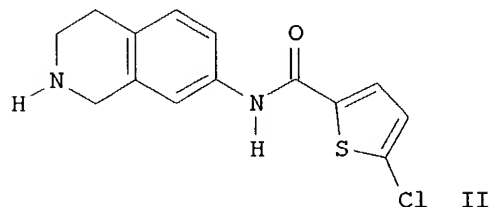
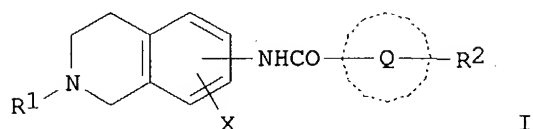
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9841508	A1	19980924	WO 1998-GB782	19980316
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2284218	AA	19980924	CA 1998-2284218	19980316
AU 9864128	A1	19981012	AU 1998-64128	19980316
AU 737955	B2	20010906		
ZA 9802185	A	19990916	ZA 1998-2185	19980316
EP 968190	A1	20000105	EP 1998-909647	19980316
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI			
BR 9809047	A	20000801	BR 1998-9047	19980316

10/713,201

JP 2001515504	T2	20010918	JP 1998-540248	19980316
TW 555694	B	20031001	TW 1998-87104135	19980320
NO 9904510	A	19990917	NO 1999-4510	19990917
US 2001016657	A1	20010823	US 2001-840786	20010424
US 2003144320	A1	20030731	US 2003-353498	20030129
US 2004209912	A1	20041021	US 2004-847903	20040518
PRIORITY APPLN. INFO.:			GB 1997-5619	A 19970318
			GB 1997-26695	A 19971217
			WO 1998-GB782	W 19980316
			US 1999-381408	B1 19990917
			US 2001-840786	B1 20010424
			US 2003-353498	A3 20030129

OTHER SOURCE(S): MARPAT 129:260352
GI



AB The title compds. [I; Q = monocyclic or bicyclic aryl or heteroaryl; R1 = H, (un)substituted C1-6 alkyl, C1-6alkenyl, etc.; R2 = H or up to three substituents selected from halo, NO2, CN, etc.; X = H, halo, C1-6 alkoxy, etc.] and their salts, useful inter alia in the treatment and prophylaxis of epilepsy, were prepared. Thus, treatment of N-(2-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinolin-7-yl)-5-chlorothiophene-2-carboxamide with TFA in CH2Cl2 afforded 92% II. TFA which showed pKi of > 7 against [3H]-trans-(+)-6-acetyl-4S-(4-fluorobenzoylamino)-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-3R-ol binding to a novel receptor obtainable from rat forebrain tissue.

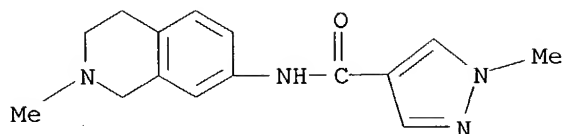
IT 213597-00-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted isoquinolines as anticonvulsants)

RN 213597-00-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-methyl-N-(1,2,3,4-tetrahydro-2-methyl-7-isoquinolinyl)- (9CI) (CA INDEX NAME)

10/713,201



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 62 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:479506 CAPLUS

DOCUMENT NUMBER: 129:109090

TITLE: Preparation of nitrogen-containing heteroaromatics as factor Xa inhibitors

INVENTOR(S): Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifen; Rossi, Karen Anita

PATENT ASSIGNEE(S): The Dupont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

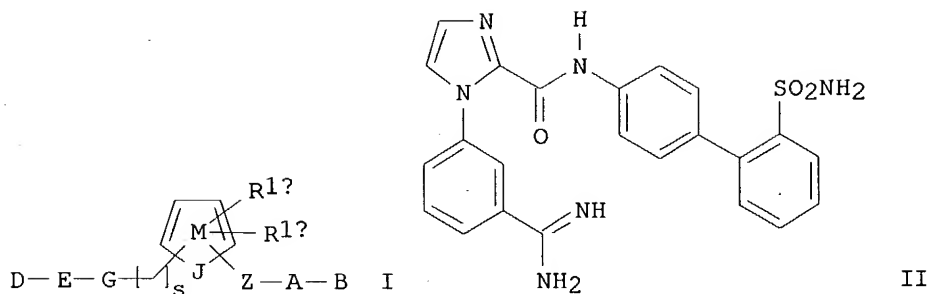
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828269	A1	19980702	WO 1997-US22895	19971215
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2275796	AA	19980702	CA 1997-2275796	19971215
AU 9856020	A1	19980717	AU 1998-56020	19971215
AU 730224	B2	20010301		
EP 946508	A1	19991006	EP 1997-952409	19971215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
EE 9900316	A	20000215	EE 1999-316	19971215
SI 20017	C	20000229	SI 1997-20082	19971215
CN 1246847	A	20000308	CN 1997-181852	19971215
BR 9714073	A	20000509	BR 1997-14073	19971215
JP 2001509145	T2	20010710	JP 1998-528845	19971215
ZA 9711586	A	19990701	ZA 1997-11586	19971223
TW 492971	B	20020701	TW 1997-86119637	19980203
NO 9902633	A	19990820	NO 1999-2633	19990601
MX 9905878	A	20000131	MX 1999-5878	19990622
LT 4673	B	20000725	LT 1999-76	19990622
LV 12430	B	20000720	LV 1999-99	19990730
PRIORITY APPLN. INFO.:			US 1996-769859	A 19961223
			US 1997-879944	A 19970620
			WO 1997-US22895	W 19971215

OTHER SOURCE(S): MARPAT 129:109090
GI



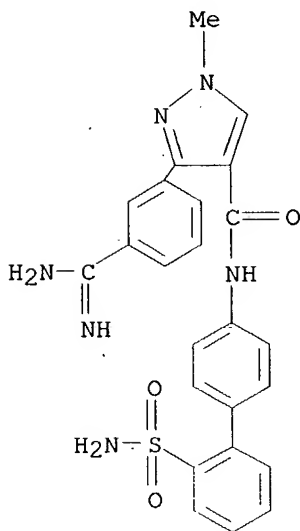
AB The title compds. [I; ring M contains, in addition to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF3, etc.; G = absent, NHCH2, OCH2, etc.; Z = C1-4 alkylene, (CH2)rO(CH2)r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic containing from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nPh; n = 0-3; r = 0-3; s = 0-2], useful as inhibitors of factor Xa, were prepared and formulated. Thus, treatment of 4-[o-(tert-BuSO2)phenyl]aniline with Me3Al/hexane in CH2Cl2 followed by the addition of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (preparation described), and the Pinner reaction of the resulting intermediate afforded the title compound II. A number of compds. I were found to exhibit a Ki of $\leq 10 \mu\text{M}$ against factor Xa. Some compds. I were evaluated and found to exhibit Ki of $< 10 \mu\text{M}$ against thrombin.

IT 209955-94-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen-containing heteroaroms. as factor Xa inhibitors)

RN 209955-94-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-[3-(aminoiminomethyl)phenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-methyl- (9CI) (CA INDEX NAME)



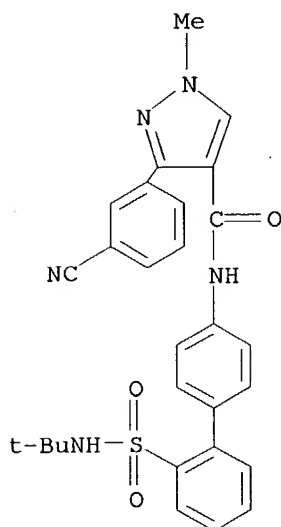
10/713,201

IT 209959-23-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nitrogen-containing heteroaroms. as factor Xa inhibitors)

RN 209959-23-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(3-cyanophenyl)-N-[2'-[[[1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 63 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:377001 CAPLUS

DOCUMENT NUMBER: 129:132472

TITLE: Structure-activity relationships of fungicidal N-substituted phenyl 1,3,5- trimethylpyrazole-4-carboxamides in the inhibition of succinate dehydrogenase (SDH) isolated from Rhizoctonia solani Kuhn

AUTHOR(S): Kim, Yong-Whan

CORPORATE SOURCE: R D Team, Agro Div., Oriental Chemical Industries, Seoul, 100-718, S. Korea

SOURCE: Han'guk Nonghwa Hakhoechi (1997), 40(5), 447-450
CODEN: JKACA7; ISSN: 0368-2897

PUBLISHER: Korean Society of Agricultural Chemistry and Biotechnology

DOCUMENT TYPE: Journal

LANGUAGE: Korean

AB Eighteen N-substituted Ph 1,3,5-trimethylpyrazole-4-carboxamides were synthesized to screen for their mycelial growth inhibition activity against Rhizoctonia solani Kuhn (pEC50) and to measure enzymic inhibition activity of these compds. (pI50) against succinate dehydrogenase (SDH) isolated from R. solani Kuhn. A structure-activity relationship formulated by regression anal. showed that 79% of the variance in mycelial growth inhibition activity can be explained with SDH inhibition activity and chromatog. capacity factor (k') as a hydrophobic parameter related to the penetration and transport processes in the biol. system.

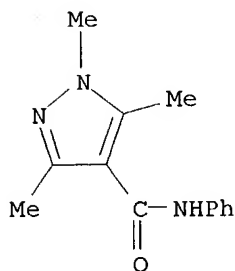
10/713,201

IT 61747-84-ODP, derivs. 61747-84-OP 61747-86-2P
61747-87-3P 61747-88-4P 61747-90-8P
61747-91-9P 98298-65-8P 161111-00-8P
161111-01-9P 161111-02-0P 210549-27-2P
210549-28-3P 210549-29-4P 210549-30-7P
210549-31-8P 210549-32-9P 210549-33-0P
210549-34-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (structure-activity relationships of fungicidal N-substituted Ph 1,3,5-trimethylpyrazole-4-carboxamides in inhibition of succinate dehydrogenase of *Rhizoctonia solani*)

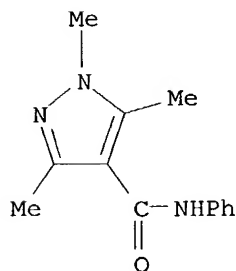
RN 61747-84-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 61747-84-0 CAPLUS

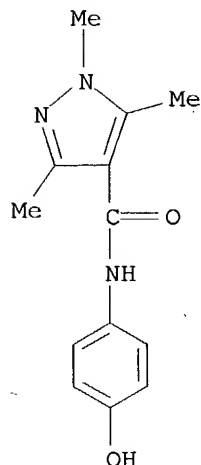
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 61747-86-2 CAPLUS

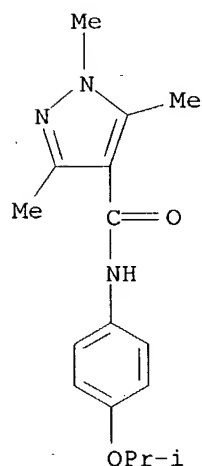
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

10/713,201



RN 210549-34-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[4-(1-methylethoxy)phenyl]-
(9CI) (CA INDEX NAME)



L3 ANSWER 64 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:79728 CAPLUS

DOCUMENT NUMBER: 128:140699

TITLE: Preparation of pyrazole-4-carboxanilides and analogs
as agrochemical microbicides and pesticides

INVENTOR(S): Elbe, Hans-Ludwig; Krueger, Bernd-Wieland; Markert,
Robert; Tiemann, Ralf; Kuhnt, Dietmar; Dutzmann,
Stefan; Stenzel, Klaus; Erdelen, Christoph; Kugler,
Martin; Buschhaus, Hans-Ulrich

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 72 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

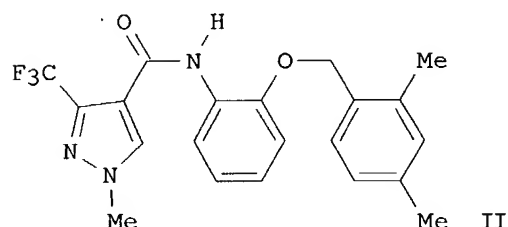
10/713,201

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WO 9803500	A1	19980129	WO 1997-EP3694	19970711
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9734441	A1	19980210	AU 1997-34441	19970711
EP 915868	A1	19990519	EP 1997-930522	19970711
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BR 9710400	A	19990817	BR 1997-10400	19970711
CN 1226244	A	19990818	CN 1997-196717	19970711
JP 2000516917	T2	20001219	JP 1998-506506	19970711
RU 2194704	C2	20021220	RU 1999-104181	19970711
EP 1443045	A1	20040804	EP 2004-9928	19970711
R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT				
US 6319940	B1	20011120	US 1999-230162	19990120
US 6534532	B1	20030318	US 2001-955783	20010918
US 2003078287	A1	20030424	US 2002-158602	20020530
US 6716881	B2	20040406		

PRIORITY APPLN. INFO.:

DE 1996-19629828	A	19960724
EP 1997-930522	A3	19970711
WO 1997-EP3694	W	19970711
US 1999-230162	A3	19990120
US 2001-955783	A3	20010918

OTHER SOURCE(S): MARPAT 128:140699
GI



AB R1C(:X)NHZ1ZR [I; R = (un)substituted (hetero)aryl; R1 = (hetero)aryl; X = O or S; Z = alk(en)ylene, CO, OCH2, CH2O, CH(OH), etc.; Z1 = (un)substituted 1,2-phenylene] were prepared Thus, 1-methyl-3-trifluoromethylpyrazole-4-carbonyl chloride was amidated by 2-(H2N)C6H4OH and the product etherified by 2,4-Me2C6H3CH2Cl to give title compound II. Data for biol. activity of I were given.

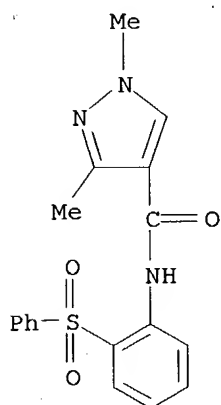
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10/713,201

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazole-4-carboxanilides and analogs as agrochem. microbicides and pesticides)

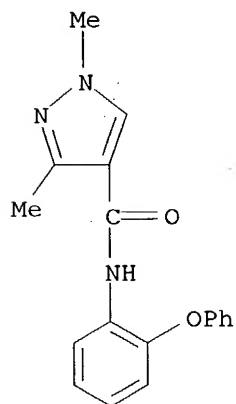
RN 202398-50-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-(phenylsulfonyl)phenyl]-
(9CI) (CA INDEX NAME)



RN 202398-52-5 CAPLUS

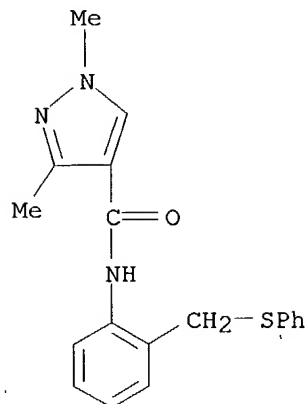
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-(2-phenoxyphenyl)- (9CI) (CA
INDEX NAME)



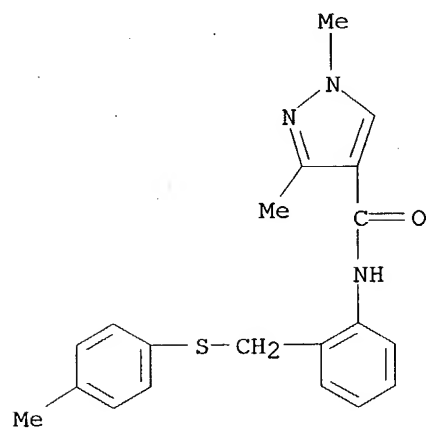
RN 202398-79-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-[(phenylthio)methyl]phenyl]-
(9CI) (CA INDEX NAME)

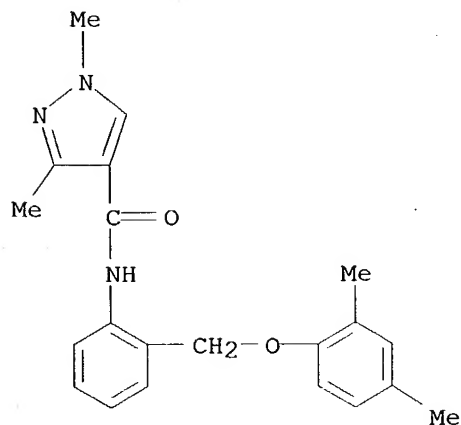
10/713,201



RN 202398-83-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-[(4-methylphenyl)thio]methyl]phenyl]- (9CI) (CA INDEX NAME)



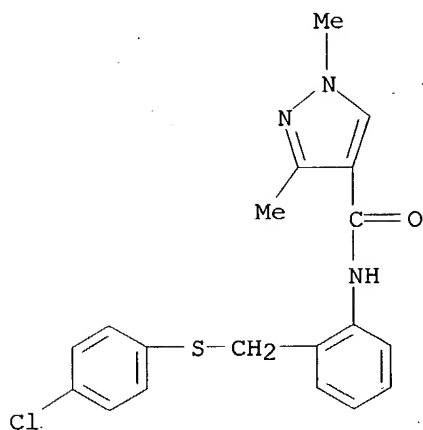
RN 202398-92-3 CAPLUS
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10/713,201

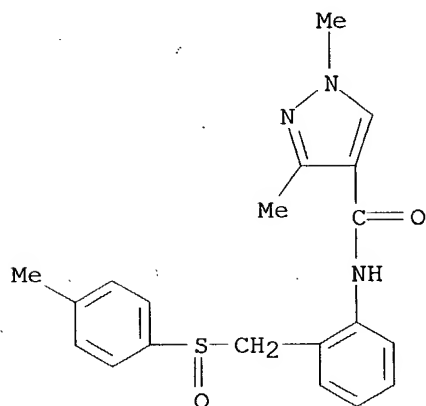
RN 202399-22-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[[(4-chlorophenyl)thio]methyl]phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 202399-33-5 CAPLUS

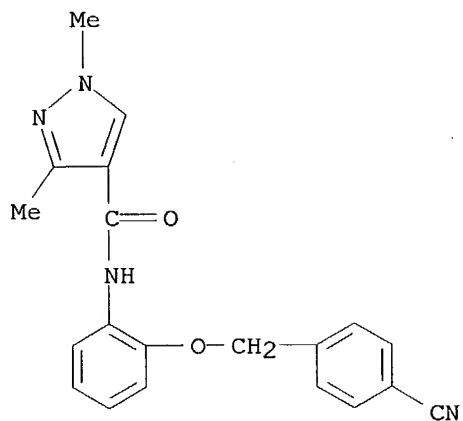
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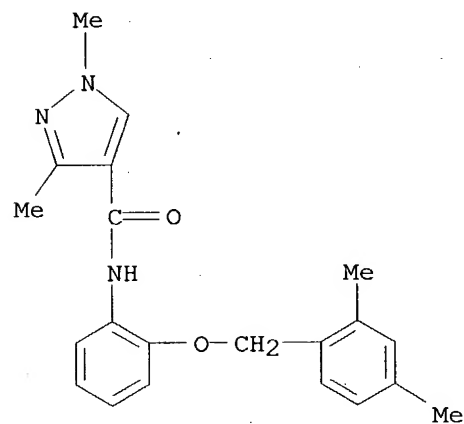
CN 1H-Pyrazole-4-carboxamide, N-[2-[[(4-cyanophenyl)methoxy]phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



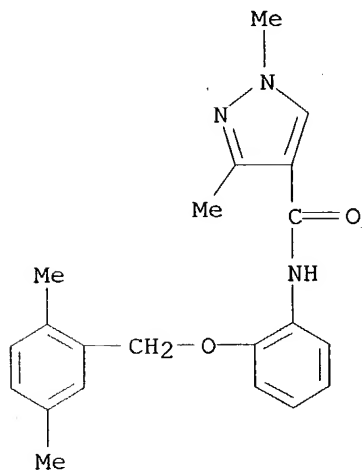
RN 202399-36-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[(2,4-dimethylphenyl)methoxy]phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 202399-37-9 CAPLUS

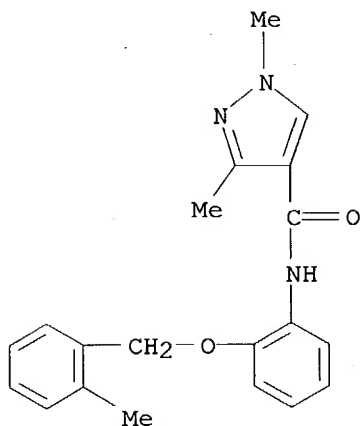
CN 1H-Pyrazole-4-carboxamide, N-[2-[(2,5-dimethylphenyl)methoxy]phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



10/713,201

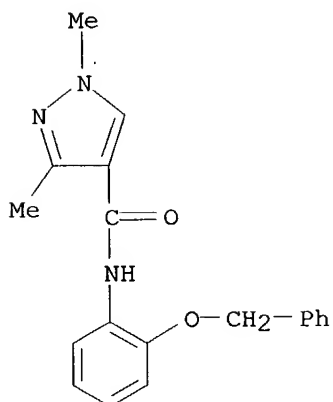
RN 202399-38-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-[(2-methylphenyl)methoxy]phenyl]- (9CI) (CA INDEX NAME)



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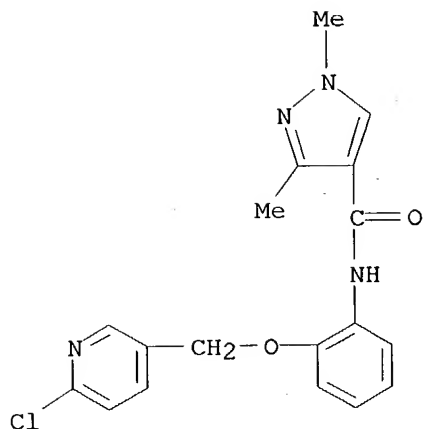
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-(phenylmethoxy)phenyl]- (9CI)
(CA INDEX NAME)



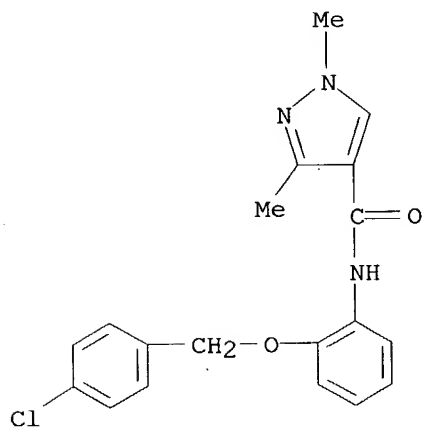
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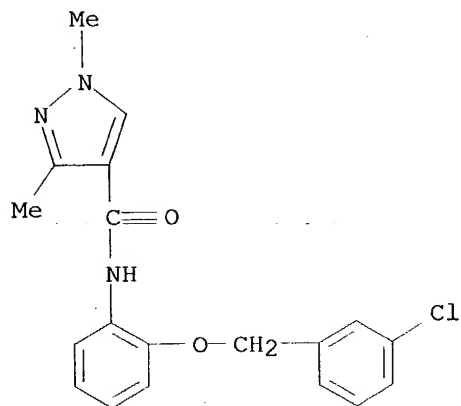
10/713,201



RN 202399-41-5 CAPLUS
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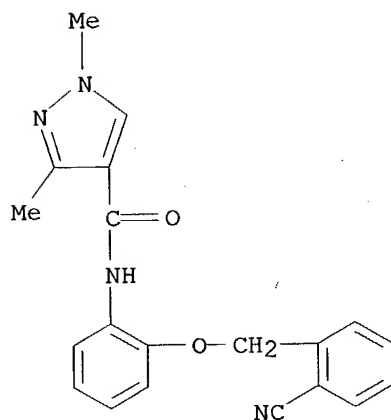
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10/713,201

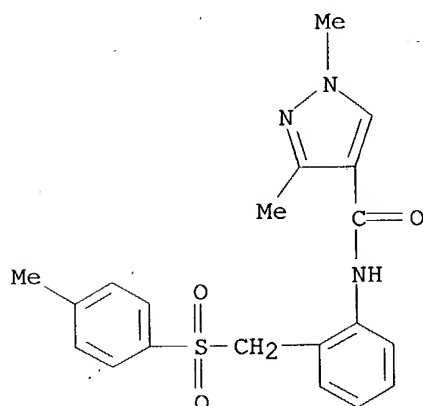
RN 202399-44-8 CAPLUS

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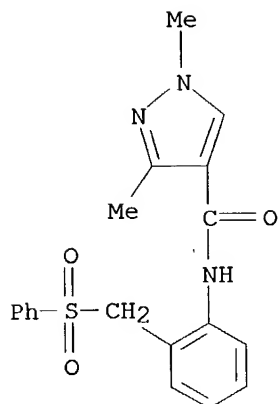
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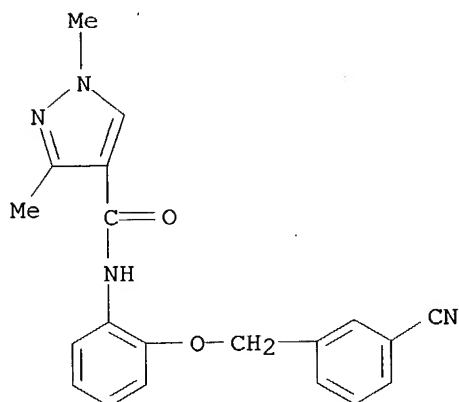
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-[(phenylsulfonyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

10/713,201



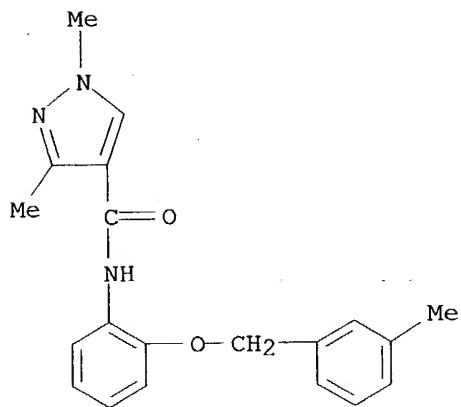
RN 202399-49-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[(3-cyanophenyl)methoxy]phenyl]-1,3-dimethyl- (9CI) (CA INDEX NAME)



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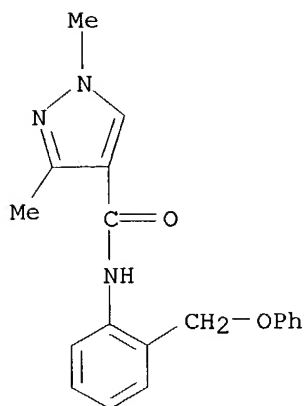


RN 202399-54-0 CAPLUS

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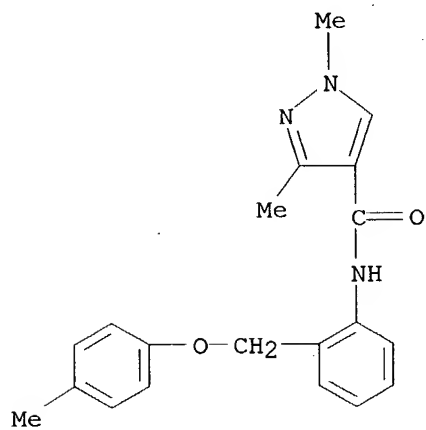
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(CA INDEX NAME)



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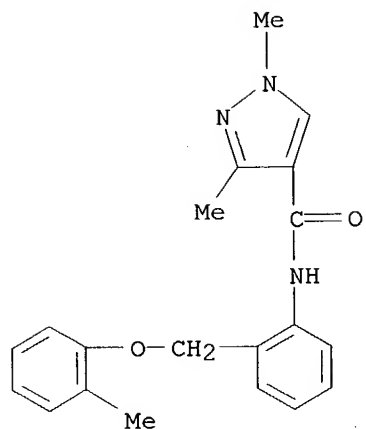
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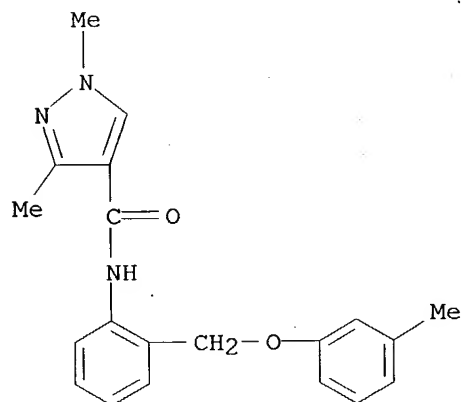
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10/713,201



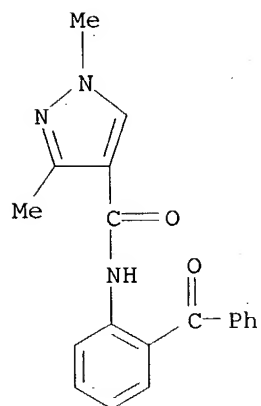
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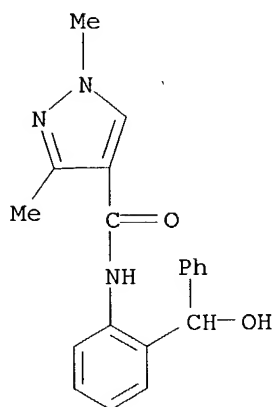
CN 1H-Pyrazole-4-carboxamide, N-(2-benzoylphenyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



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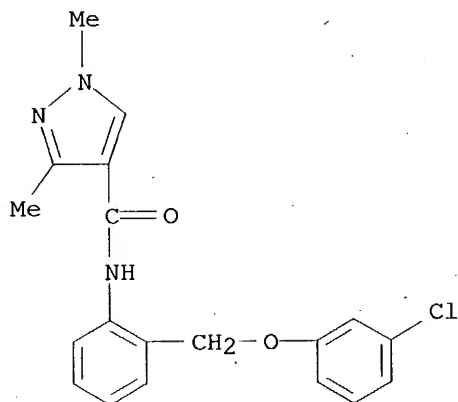
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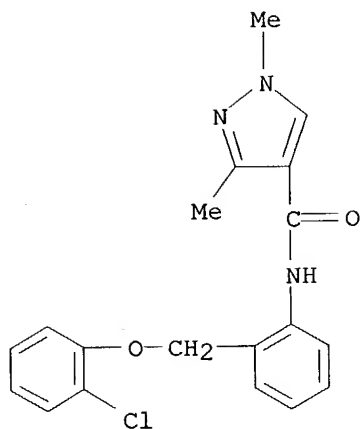
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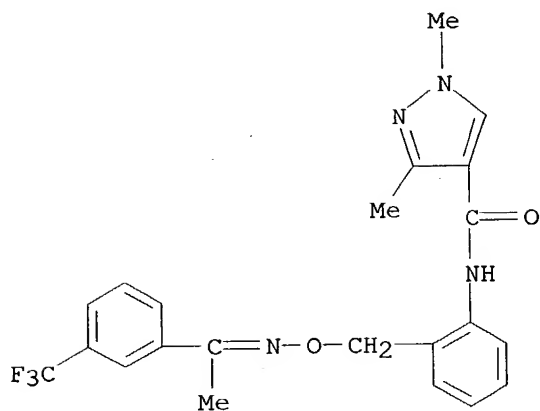
RN 202400-62-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[(2-chlorophenoxy)methyl]phenyl]-1,3-
dimethyl- (9CI) (CA INDEX NAME)

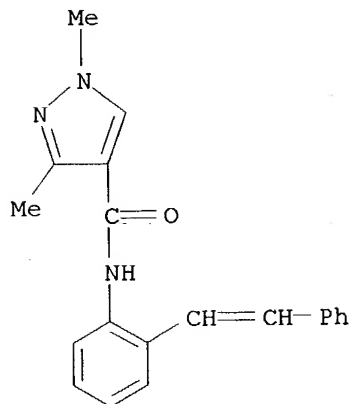
10/713,201



RN 202400-79-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-[[[1-(3-trifluoromethyl)phenyl]ethylidene]amino]oxy)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 202410-75-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-(2-phenylethenyl)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 65 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:13933 CAPLUS

DOCUMENT NUMBER: 128:75193

TITLE: Preparation of aminophthalic acid derivatives as pesticides.

INVENTOR(S): Elbe, Hans-Ludwig; Dutzmann, Stefan; Stenzel, Klaus

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

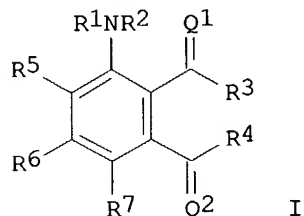
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9747589	A1	19971218	WO 1997-EP2845	19970602
W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, TR, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19623744	A1	19971218	DE 1996-19623744	19960614
AU 9730936	A1	19980107	AU 1997-30936	19970602
PRIORITY APPLN. INFO.:			DE 1996-19623744	A 19960614
			WO 1997-EP2845	W 19970602

OTHER SOURCE(S): MARPAT 128:75193
GI

AB Use of title compds. [I; Q1, Q2 = O, S; R1 = H, R11CO; R2 = R8R9NCO, R10OCO, R11CO, R12SO2; R8 = H, alkyl, cycloalkyl, (substituted) aryl, heteroaryl; R9 = H, alkyl; R8R9N = (substituted) heterocyclyl; R10 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl; R11 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocyclyl; R12 = alkyl, aryl, heterocyclyl; R1R2 = CR13R14; R1R2N = (substituted) heterocyclyl; R13 = H, alkyl, alkenyl, cycloalkyl, (substituted) aryl, heterocyclyl; R14 = H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, alkoxy, dialkylamino; R13R14 = cycloalkylidene; R3, R4 = OH, alkoxy, alkenyloxy, alkynyloxy, aralkoxy, cycloalkoxy, cycloalkenyloxy, aryloxy, heterocyclyloxy, aralkylthio, SH, arylthio, amino, etc.; R5-R7 = H, halo, cyano, NO2, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, haloalkylthio] for combating pests is claimed. Thus, 3-nitrophthalic anhydride was heated with BuOH to give 88.1% 3-nitrophthalic acid 2-Bu ester. The latter was refluxed with DMF di-Me acetal in PhMe to give 92% 3-nitrophthalic acid 1-Me ester 2-Bu ester. This in H2O/THF was treated with Zn and HCl to give 82.4% 3-aminophthalic acid 1-Me ester 2-Bu ester. I at 100 ppm gave 82-98% control of Botrytis cinerea on beans.

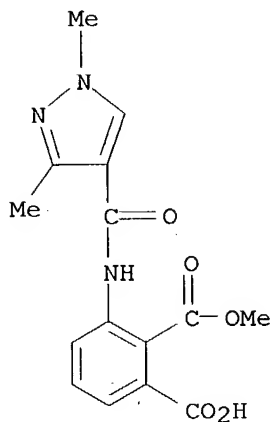
10/713,201

IT 200709-57-5P 200709-63-3P 200710-33-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminophthalic acid derivs. as pesticides)

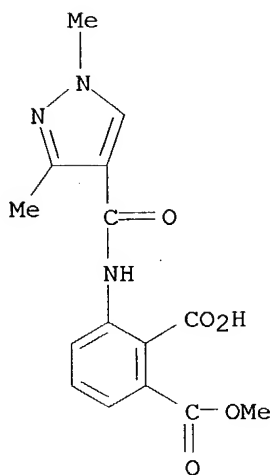
RN 200709-57-5 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 3-[[[1,3-dimethyl-1H-pyrazol-4-yl)carbonyl]amino]-, 2-methyl ester (9CI) (CA INDEX NAME)



RN 200709-63-3 CAPLUS

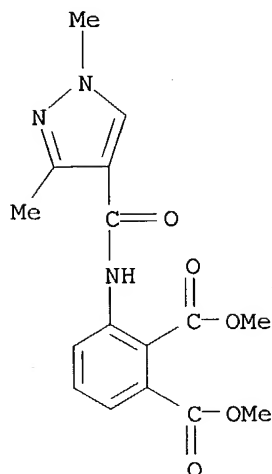
CN 1,2-Benzenedicarboxylic acid, 3-[[[1,3-dimethyl-1H-pyrazol-4-yl)carbonyl]amino]-, 1-methyl ester (9CI) (CA INDEX NAME)



RN 200710-33-4 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 3-[[[1,3-dimethyl-1H-pyrazol-4-yl)carbonyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)

10/713,201



L3 ANSWER 66 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:341871 CAPLUS

DOCUMENT NUMBER: 126:312254

TITLE: Inhibitors of global pathogenesis gene regulators for treatment of microbial infections, pharmaceutical compositions, and screening methods

INVENTOR(S): Bao, Ying; Boggs, Amy; Contag, Pamela R.; Federspiel, Nancy A.; Hebert, Alan; Hecker, Scott; Malouin, Francois

PATENT ASSIGNEE(S): Microcide Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711690	A2	19970403	WO 1996-US15435	19960925
W: AU, CA, CU, DE, IL, JP, MX, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6020121	A	20000201	US 1996-672215	19960625
AU 9671686	A1	19970417	AU 1996-71686	19960925
PRIORITY APPLN. INFO.:			US 1995-4626P	P 19950929
			US 1996-672215	A 19960625
			WO 1996-US15435	W 19960925

OTHER SOURCE(S): MARPAT 126:312254

AB Methods are provided for screening for potential inhibitors of bacterial, or other microbial, global pathogenesis gene regulators and other gene regulators. Methods are also provided for treating microbial (e.g., bacterial) infections using such inhibitors. Also included are pharmaceutical compns. containing such inhibitors. The screening methods involve detecting whether the activity of a global pathogenesis gene regulator is altered in the presence of a test compound

IT 189269-74-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

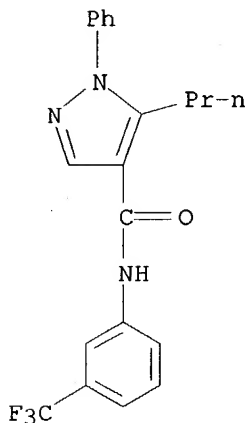
(global pathogenesis gene regulator inhibitors for treatment of

10/713,201

microbial infections, pharmaceutical compns., and screening methods)

RN 189269-74-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-phenyl-5-propyl-N-[3-(trifluoromethyl)phenyl]-
(9CI) (CA INDEX NAME)



L3 ANSWER 67 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:280947 CAPLUS

DOCUMENT NUMBER: 126:264007

TITLE: Preparation of heteroaroyl biphenylylamides as agrochemical and industrial fungicides.

INVENTOR(S): Eicken, Karl; Rang, Harald; Harreus, Albrecht; Goetz, Norbert; Ammermann, Eberhard; Lorenz, Gisela; Strathmann, Siegfried

PATENT ASSIGNEE(S): BASF A.-G., Germany

SOURCE: Ger. Offen., 21 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

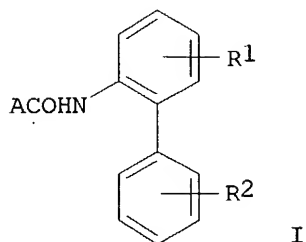
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19531813	A1	19970306	DE 1995-19531813	19950830
WO 9708148	A1	19970306	WO 1996-EP3753	19960826
W:	AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
AU 9669285	A1	19970319	AU 1996-69285	19960826
EP 847388	A1	19980617	EP 1996-930102	19960826
EP 847388	B1	20030625		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI			
JP 11511449	T2	19991005	JP 1996-509844	19960826
AT 243682	E	20030715	AT 1996-930102	19960826
PT 847388	T	20031031	PT 1996-930102	19960826
ES 2202463	T3	20040401	ES 1996-930102	19960826
ZA 9607315	A	19980302	ZA 1996-7315	19960829
US 5998450	A	19991207	US 1998-11717	19980217

PRIORITY APPLN. INFO.: DE 1995-19531813 A 19950830
WO 1996-EP3753 W 19960826

OTHER SOURCE(S): MARPAT 126:264007

10/713,201

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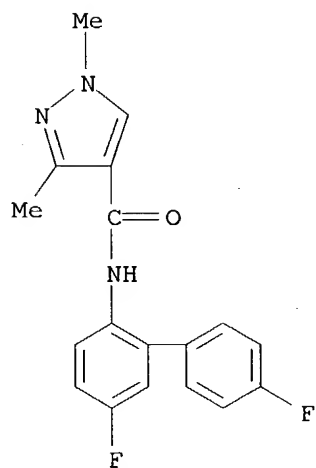


AB Title compds. (I; R1 = F; R2 = H, halo, alkyl, CF3, alkoxy, alkylthio; A = substituted pyridyl, thiazolyl, pyrazolyl), were prepared Thus, 2-amino-4'-chloro-5-fluorobiphenyl (preparation given) was stirred with 2-chloronicotinoyl chloride in THF containing Et3N at 5° to give 2-nicotinic acid 4-chloro-5-fluorobiphenyl-2-amide. Several I at 250 ppm gave 100% control of Botrytis cinerea on paprika.

IT **188731-31-9P 188731-32-0P 188731-33-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroyl biphenylamides as agrochem. and industrial fungicides)

RN 188731-31-9 CAPLUS

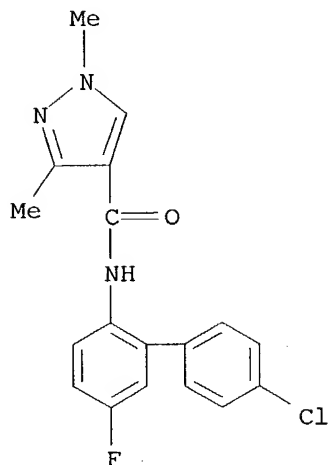
CN 1H-Pyrazole-4-carboxamide, N-(4',5-difluoro[1,1'-biphenyl]-2-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 188731-32-0 CAPLUS

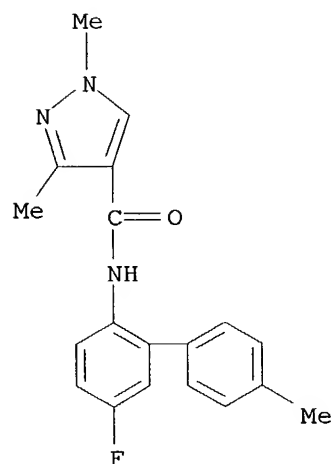
CN 1H-Pyrazole-4-carboxamide, N-(4'-chloro-5-fluoro[1,1'-biphenyl]-2-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201

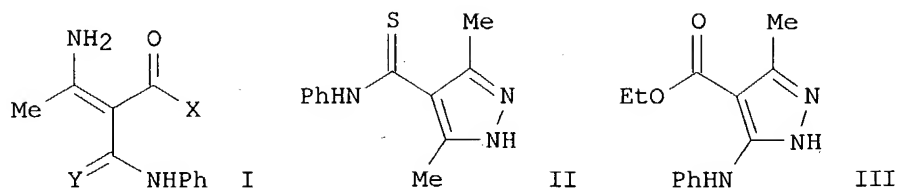


RN 188731-33-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(5-fluoro-4'-methyl[1,1'-biphenyl]-2-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 68 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:582761 CAPLUS
DOCUMENT NUMBER: 125:328587
TITLE: Reactivity of α -acylated β -enamino ketones
and esters: synthesis of pyrazoles
AUTHOR(S): Missio, Lauri J.; Braibante, Hugo S.; Braibante, Mara
E. F.
CORPORATE SOURCE: Dep. Quim., Univ. Fed. Santa Maria, Santa Maria,
97119-900, Brazil
SOURCE: Journal of Heterocyclic Chemistry (1996), 33(4),
1243-1245
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:328587
GI



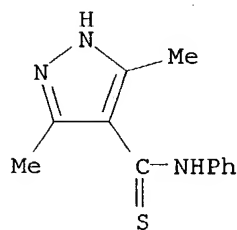
AB The reactivity of the enamino compds. 4-amino-3-phenylamino(thio)carbonyl-3-penten-2-one and Et 3-amino-2-phenylamino(thio)carbonyl-2-butenate [I; Y = O, S; X = Me, OEt] was studied, using the reaction with hydrazine hydrate and hydrazine hydrochloride to evaluate the 1,3-electrophilic center of the compds. by the formation of pyrazole rings. A variety of pyrazoles such as II and III were obtained, depending on the reaction conditions employed.

IT **50520-59-7P**, 3,5-Dimethyl-4-[(phenylamino)thiocarbonyl]-1H-pyrazole **61747-76-0P**, 3,5-Dimethyl-4-[(phenylamino)carbonyl]-1H-pyrazole

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazole derivs. from α -acylated β -enamino ketones or esters and hydrazine)

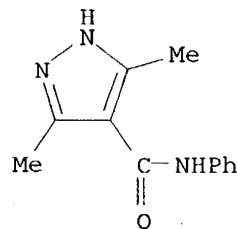
RN 50520-59-7 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 61747-76-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 69 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:485772 CAPLUS

DOCUMENT NUMBER: 125:142732

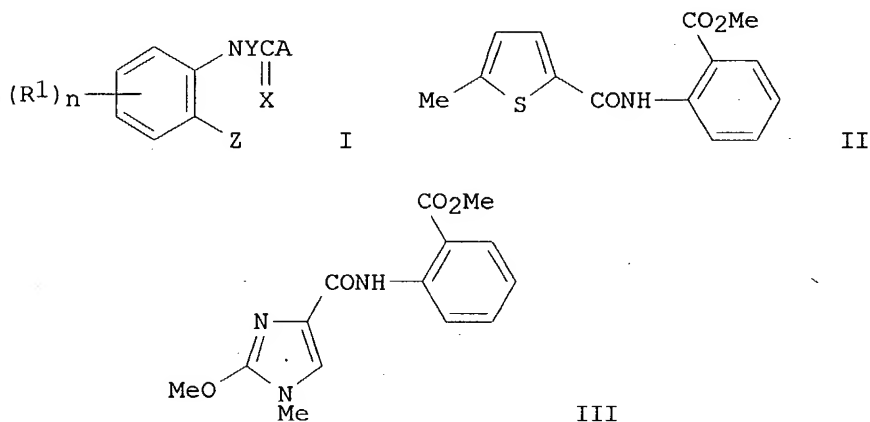
TITLE: Preparation of heterocyclylcarbonylanthranilic acid derivatives as agrochemical fungicides

INVENTOR(S): Riordan, Peter Dominic; West, Peter John; Boddy, Ian

10/713,201

PATENT ASSIGNEE(S): Kenneth
SOURCE: Agrevo Uk Limited, UK
PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616954	A1	19960606	WO 1995-EP4800	19951201
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, KZ, MX, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9643028	A1	19960619	AU 1996-43028	19951201
ZA 9510223	A	19960729	ZA 1995-10223	19951201
EP 794950	A1	19970917	EP 1995-941681	19951201
R: AT, BE, DE, DK, ES, FR, GB, GR, IT, NL, PT				
PRIORITY APPLN. INFO.:			GB 1994-24379	A 19941202
			WO 1995-EP4800	W 19951201
OTHER SOURCE(S):		MARPAT 125:142732		
GI				



AB Claimed are the title compds. I wherein A is a 5-membered optionally substituted, heteroaryl group comprising at least one hetero atom selected from nitrogen, sulfur and oxygen, which is optionally substituted by one or more of the group R2; R1 is alkyl, cycloalkyl, cycloalkenyl, alkenyl, alkynyl, or amino (each of which is optionally substituted), Y1X, halogen, cyano, nitro, acyl, acyloxy, optionally substituted heterocyclyl or optionally substituted phenyl; or two adjacent groups together with the carbon atoms to which they are attached can form an optionally substituted benzo ring. R2 has the same meaning as R1 or two adjacent groups together with the carbon atoms to which they are attached can form an optionally substituted heterocyclic ring. Y is alkyl, cycloalkyl, cycloalkenyl, alkenyl or alkynyl, each of which is optionally substituted, hydrogen or acyl. Y1 has the same meaning as Y or is optionally substituted Ph or

10/713,201

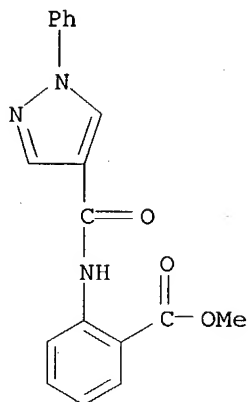
optionally substituted heterocyclcyl. Z is (C:X1)X2R3, cyano, nitro, amino, acyl, optionally substituted heterocyclcyl, C(R5):NOR6 or C(R5):NNR6R7; R3 is alkyl, cycloalkyl, cycloalkenyl, alkenyl, alkynyl, Ph or heterocyclcyl, each of which is optionally substituted, hydrogen or an inorg. or organic cationic group. X1 and X2, which may be the same or different, are O or S; R5, R6 and R7 which may be the same or different, are alkyl, cycloalkyl, cycloalkenyl, alkenyl, alkynyl, Ph or heterocyclcyl, each of which is optionally substituted or hydrogen or R6 and R7 together with the atom(s) to which they are attached can form a ring; and n is 0 to 4. The title compound II (m.p. 91 - 93°) showed activity against *Phytophthora infestans*. The title compound III showed activity against *Plasmopara viticola*. (Compds. were considered active if they gave greater than 50% control of the disease at a concentration of 500 ppm (w/v) or less).

IT 179757-14-3P 179757-15-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclcylcarbonylanthranilic acid derivs. as agrochem. fungicides)

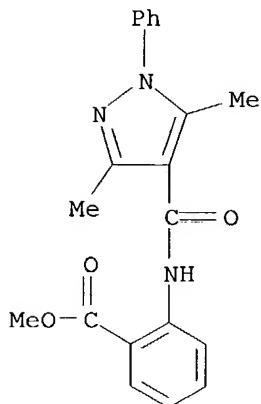
RN 179757-14-3 CAPLUS

CN Benzoic acid, 2-[[[1-phenyl-1H-pyrazol-4-yl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 179757-15-4 CAPLUS

CN Benzoic acid, 2-[[[3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 70 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:231193 CAPLUS

DOCUMENT NUMBER: 124:281838

TITLE: Application of quantitative structure-retention relationships for reversed-phase liquid chromatographic separation of pesticides

AUTHOR(S): Kim, Ho Seob; Lee, Dai Woon

CORPORATE SOURCE: Dep. of Chemistry, Yonsei Univ., Seoul, 120-749, S. Korea

SOURCE: Analytical Sciences (1996), 12(2), 349-53

CODEN: ANSCEN; ISSN: 0910-6340

PUBLISHER: Japan Society for Analytical Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Quant. structure-retention relationships models that predict the reversed-phase liquid chromatog. retention behavior of carboxamides and oxadiazoles are proposed. The intermol. interaction, isomeric effect and substituent effect was explained by the descriptors determined from calcns. with MM+ (Allinger, N.L., 1977) and AM1 (Dewar, M.J.S, et al., 1985) methods. The retention of carboxamides was elucidated by using solvent-accessible surface area and x component of dipole moment. For oxadiazoles, 1-octanol/water partition coefficient (log P) and dipole moment were useful descriptors.

IT 61747-88-4 161110-99-2 161111-00-8

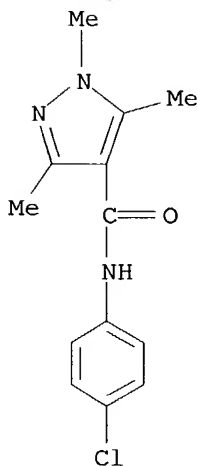
161111-01-9 161111-02-0

RL: ANT (Analyte); ANST (Analytical study)

(structure-retention relationships for reversed-phase liquid chromatog. separation of pesticides)

RN 61747-88-4 CAPLUS

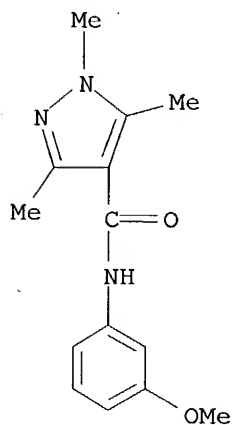
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



RN 161110-99-2 CAPLUS

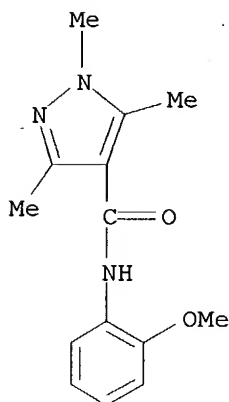
CN 1H-Pyrazole-4-carboxamide, N-(3-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)

10/713,201



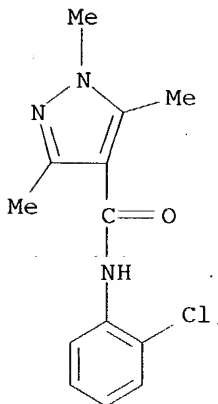
RN 161111-00-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



RN 161111-01-9 CAPLUS

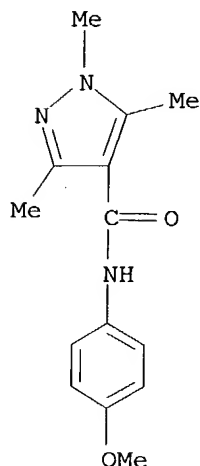
CN 1H-Pyrazole-4-carboxamide, N-(2-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



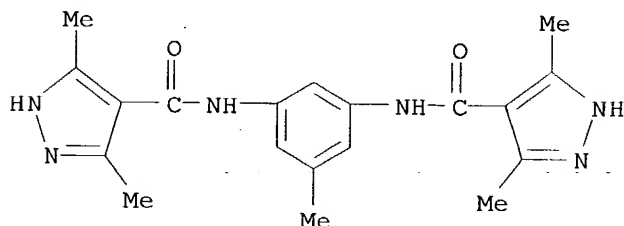
RN 161111-02-0 CAPLUS

10/713,201

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 71 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:830218 CAPLUS
DOCUMENT NUMBER: 124:86949
TITLE: Behavior of toluene diisocyanate towards nucleophiles:
Synthesis of some new azoles and azines
AUTHOR(S): Moustafa, Hamed Y.
CORPORATE SOURCE: Faculty Science, Zagazig University, Egypt
SOURCE: Zagazig Journal of Pharmaceutical Sciences (1994),
3(3A), 142-6
CODEN: ZJPSEV; ISSN: 1110-5089
PUBLISHER: University of Zagazig, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Toluene diisocyanate was treated with active methylenes to give anilides.
The reaction of these anilides with hydrazine gave pyrazoles.
IT 172361-88-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 172361-88-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N,N'-(5-methyl-1,3-phenylene)bis[3,5-dimethyl-
(9CI) (CA INDEX NAME)



L3 ANSWER 72 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:826500 CAPLUS
DOCUMENT NUMBER: 123:231478

10/713,201

TITLE: Isocyanate-crosslinked coatings having reduced yellowing
INVENTOR(S): O'Connor, James, M.; Noe, Stephen P.; Barnowski, Henry J.; Wojcik, Ronald T.
PATENT ASSIGNEE(S): Olin Corp., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506674	A1	19950309	WO 1994-US9212	19940815
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9475660	A1	19950322	AU 1994-75660	19940815
EP 749448	A1	19961227	EP 1994-925889	19940815
EP 749448	B1	20010530		
R: BE, DE, FR, GB, IT, NL				
US 5521272	A	19960528	US 1995-427504	19950424
PRIORITY APPLN. INFO.:				
			US 1993-116945	A 19930903
			WO 1994-US9212	W 19940815

OTHER SOURCE(S): MARPAT 123:231478

AB This invention relates to a clear or colorless for isocyanate-crosslinked coating that is free of yellow discoloration, and a method for the production thereof, utilizing a pyrazole compound as a blocking agent for the blocked polyisocyanate employed in the coating compns. A typical composition contained an acrylic polyol 100, 10% flow-control agent solution 0.68, 10% dibutyltin dilaurate catalyst solution 4, solvent 70, and 3,5-dimethylpyrazole-blocked HDI trimer 56.43 parts.

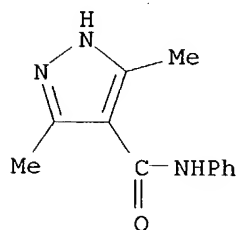
IT **61747-76-0**, 3,5-Dimethylpyrazole-4-carboxanilide

RL: NUU (Other use, unclassified); USES (Uses)

(isocyanate-crosslinked clear coatings having reduced yellowing)

RN 61747-76-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 73 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:781781 CAPLUS

DOCUMENT NUMBER: 123:169622

TITLE: Preparation of benzimidazoles as dopaminergic or $\alpha 1$ receptor antagonists or serotonergic agonists

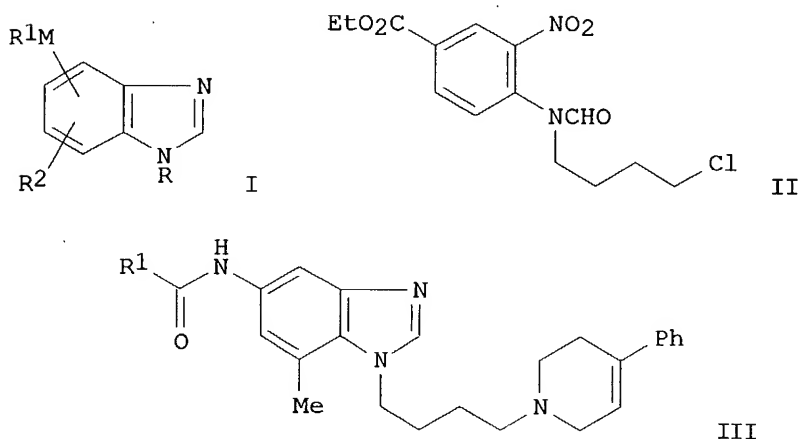
INVENTOR(S): Sawada, Kozo; Yatabe, Takumi; Nomura, Chie; Oku,

10/713,201

PATENT ASSIGNEE(S): Teruo; Tanaka, Hirokazu
SOURCE: Fujisawa Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9503298	A1	19950202	WO 1994-JP1182	19940719
W: AU, CA, CN, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9471956	A1	19950220	AU 1994-71956	19940719
JP 09505029	T2	19970520	JP 1994-505055	19940719
PRIORITY APPLN. INFO.:			GB 1993-14908	A 19930719
			GB 1993-17508	A 19930823
			GB 1994-8003	A 19940422
			WO 1994-JP1182	W 19940719

OTHER SOURCE(S): MARPAT 123:169622
GI



AB Title compds. [I; M = NHCO, CONH, CH₂, CO, etc.; R = Z₁Z₂R₃; R₁ = (cyclo)alkyl, alkoxy, (di)alkylamino, etc.; R₁M = NH₂; R₂ = H, alkyl; R₃ = (un)substituted aryl; Z₁ = alkylene; Z₂ = N-attached heterocyclylene] were prepared. Thus, 3,4-Me(H₂N)C₆H₃CO₂Et was converted in 3 steps to formamidonitrobenzoate II which was reductively cyclized and the saponified product converted in 3 addnl. steps to title compound III (R₁ = Et). III (R₁ = Me) had IC₅₀ of 4.8x10⁻⁹M against ligand binding at rat striatum D₂ receptors in vitro.

IT 167487-41-4P

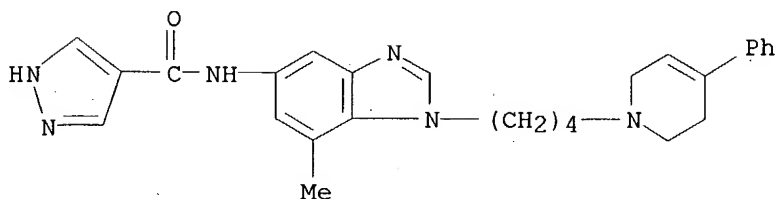
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as dopaminergic or α₁ receptor antagonists or serotonergic agonists)

RN 167487-41-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[1-[4-(3,6-dihydro-4-phenyl-1(2H)-

pyridinyl)butyl]-7-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



L3 ANSWER 74 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:433708 CAPLUS

DOCUMENT NUMBER: 122:186893

TITLE: Hydrogen Bond Donor Properties of the Difluoromethyl Group

AUTHOR(S): Erickson, Jon A.; McLoughlin, Jim I.

CORPORATE SOURCE: Monsanto Agricultural Group, St. Louis, MO, 63167, USA

SOURCE: Journal of Organic Chemistry (1995), 60(6), 1626-31

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A theor. and exptl. study of the existence and the properties of the difluoromethyl group acting as a hydrogen bond donor has been carried out. An intramol. CF₂H...O:C interaction was examined using semiempirical MO calcns. of both a non-hydrogen-bonded and hydrogen-bonded conformation of a CF₂H-substituted pyrazolecarboxamide fungicide. Results revealed a short H...O contact, a significant energy stabilization, and a lowering in the IR spectrum of 22 cm⁻¹. The exptl. IR spectrum of this mol. gave two carbonyl stretching frequencies, one lower by 18 cm⁻¹, very similar to the calculated number. Low-temperature NMR results are also consistent with a geometry

having the possibility of an intramol. CF₂H...O:C hydrogen bond. The hydrogen bond in this system may be related to the enhanced biol. activity of the CF₂H compound over its CF₃ counterpart. In addition, ab initio MO methods were employed to examine inter- and intramol. hydrogen-bonding models of the difluoromethyl group. The results showed that the CF₂H...O:C interaction has a binding energy of .apprx.1.0 kcal mol⁻¹ and a H...O distance of .apprx.2.4 Å.

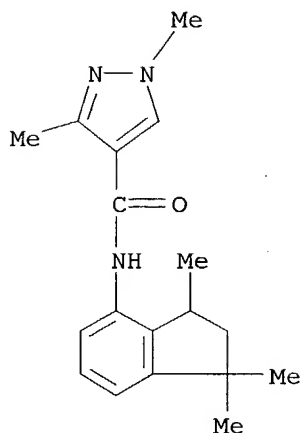
IT 105113-56-2

RL: PRP (Properties)
(IR spectra of)

RN 105113-56-2 CAPLUS

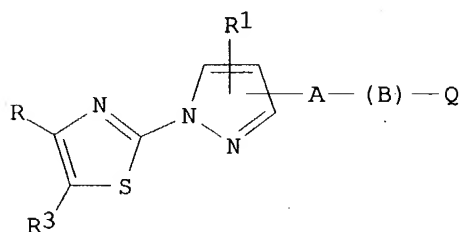
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



L3 ANSWER 75 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:264625 CAPLUS
DOCUMENT NUMBER: 122:56039
TITLE: Substituted thiazole derivatives useful as platelet aggregation inhibitors
INVENTOR(S): Sanfilippo, Pauline J.; Urbanski, Maud; Carson, John R.; Carmosin, Richard J.
PATENT ASSIGNEE(S): McNeil-PPC, Inc., USA
SOURCE: U.S., 22 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5342851	A	19940830	US 1992-958193	19921007
PRIORITY APPLN. INFO.:			US 1992-958193	19921007
OTHER SOURCE(S):	MARPAT	122:56039		
GI				



I

AB This invention relates to substituted thiazole derivs. I [R and R3 are the same or different and are selected from H, OH, CO2H, C1-4-alkylcarboxy, C1-8-alkyl, CF3, halo, (un)substituted Ph, etc.; R1 is selected from H, halo, OH, CO2H, C1-4-alkylcarboxy, C1-5-alkyl, CF3, (un)substituted Ph; R2 = H, C1-5-alkyl; A is selected from carbonyl, carboxyl, carboxamido, amido, oxymethyl, aminomethyl, methylene; B is selected from C1-9-alkyl, C1-9 branched alkyl, Ph, C1-5-aralkyl; Q is selected from OH, C1-5-alkoxy, halo, cyano, CO2H, C1-5-alkoxycarbonyl, NR4R5, where R4 and R5 are

independently H, C1-5-alkyl, C3-8-cycloalkyl, or NR4R5 = heterocycle or guanidine, urea, thiourea, hydrazine, (un)substituted amidine]. These compds. are useful as inhibitors of platelet aggregation and inhibitors of adhesion mols. and may be provided in pharmaceutical compns. and in methods of treating reperfusion thrombosis injury in patients. ICx values (the concentration of the compound in μM at which the increase in light transmission = x% in drug-treated platelet concentrate vs. control) were as

high

as x = 90 at 20 μM . Formulations were given.

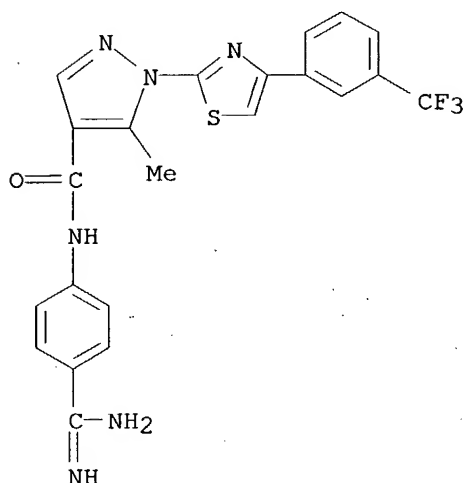
IT **159886-94-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(substituted thiazole derivs. useful as platelet aggregation inhibitors)

RN 159886-94-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[4-(aminoiminomethyl)phenyl]-5-methyl-1-[4-[3-(trifluoromethyl)phenyl]-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 76 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:41479 CAPLUS

DOCUMENT NUMBER: 122:150545

TITLE: Prediction of high-performance liquid chromatographic retention data of carboxamides and oxadiazoles

AUTHOR(S): Kim, Ho Seob; Kim, Tai Ki; Lee, Dai Woon

CORPORATE SOURCE: Dep. Chem., Yonsei Univ., Seoul, 120-749, S. Korea

SOURCE: Journal of Liquid Chromatography (1994), 17(12), 2615-23

CODEN: JLCHD8; ISSN: 0148-3919

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Regression models that predict the HPLC retention behavior of carboxamides and oxadiazoles were proposed. A new intermol. interaction parameter was developed that combines dispersion interaction and total H2O solvation

10/713,201

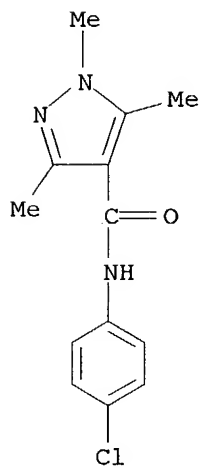
shell surface energy ratio of structural (o, m, p) isomers to form nonpolar bonding constant descriptor. Also resonance effect constant and field effect constant were used as electronic descriptor. A three-variable model indicated high multiple correlation ($R > 0.996$) between the observed and the calculated values.

IT 61747-88-4 161110-99-2 161111-00-8
161111-01-9 161111-02-0

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(prediction of high-performance liquid chromatog. retention data of)

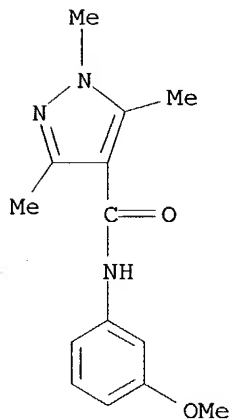
RN 61747-88-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



RN 161110-99-2 CAPLUS

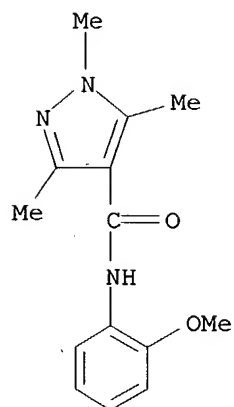
CN 1H-Pyrazole-4-carboxamide, N-(3-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



RN 161111-00-8 CAPLUS

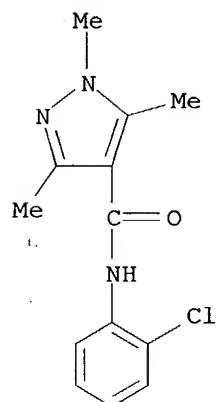
CN 1H-Pyrazole-4-carboxamide, N-(2-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)

10/713,201



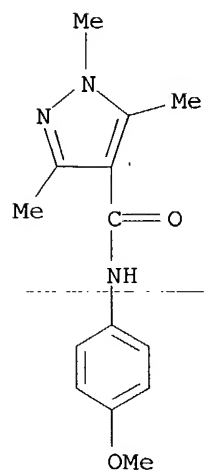
RN 161111-01-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



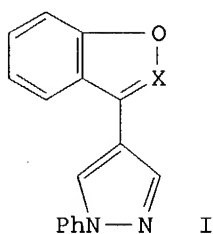
RN 161111-02-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)

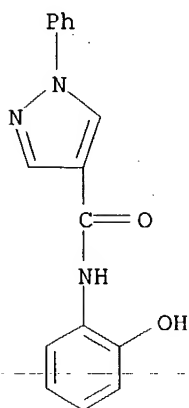


10/713,201

L3 ANSWER 77 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:244814 CAPLUS
DOCUMENT NUMBER: 120:244814
TITLE: Synthesis of heterocycles from 4-(2-hydroxybenzoyl)-1-phenylpyrazole
AUTHOR(S): Coutinho, Dionysia L. M.; Fernandes, Peter S.
CORPORATE SOURCE: N. S. R. Lab., St. Xavier's Coll., Bombay, 400 001, India
SOURCE: Journal of the Indian Chemical Society (1993), 70(1), 51-2
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB 4-(2-Hydroxybenzoyl)-1-phenylpyrazole has been used in the synthesis of benzofuran I (X = CBz), coumarin I (X = CHCO), and benzisoxazole I (X = N). I were evaluated for antimicrobial activity.
IT 154405-51-3P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 154405-51-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(2-hydroxyphenyl)-1-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 78 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:216381 CAPLUS
DOCUMENT NUMBER: 120:216381
TITLE: Relationships between structure and kinetics of

cyclization of 2-aminoaryl amides: potential prodrugs
 of cyclization-activated aromatic mustards
 AUTHOR(S): Atwell, Graham J.; Sykes, Bridget M.; O'Connor,
 Charmian J.; Denny, William A.
 CORPORATE SOURCE: Sch. Med., Univ. Auckland, Auckland, N. Z.
 SOURCE: Journal of Medicinal Chemistry (1994), 37(3), 371-80
 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

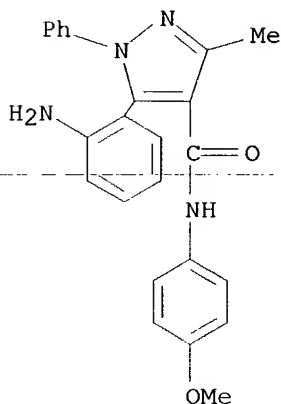
AB 2-Nitroaryl amides, e.g., 2-O₂NC₆H₄CH₂CONHC₆H₄OMe-4, are proposed as
 bio-reducible prodrugs, capable of releasing cytotoxic aminoaniline
 mustards on bioactivation by spontaneous cyclization of the resulting
 2-aminoaryl amides via a tetrahedral intermediate. This concept allows
 sep. optimization of the substituent effects influencing nitro-group reduction
 and mustard reactivity. A series of model 2-aminoaryl amides has been
 synthesized, and their rates of cyclization have been studied; these
 varied by a factor of >50,000-fold (k_{obs} from 0.00040 to 21 min⁻¹) at pH
 2.4. For three compds. studied in detail, the rates were linearly
 dependent on pH, indicating that no change in the mechanism of the
 rate-determining step occurs over the pH range studied. The nucleophilicity of
 the amino group had a modest influence on the kinetics of cyclization,
 with electron-withdrawing groups slowing the rate. The geometry of the
 compound was also important, with structure-activity relationships
 indicating that the rate of cyclization is greatly enhanced by the
 preorganization of the mol. In contrast, 4-substitution on the leaving
 aniline by a variety of groups had little effect on the cyclization
 reaction. These results are consistent with the rate-determining step being
 formation of the tetrahedral intermediate. These model studies suggest
 that the phenyldimethylacetamide system could be developed as a prodrug
 system for the bio-reductively-triggered release of amines. Further
 substantial rate enhancements appear possible by alterations in the
 geometry of the system, whereas substitution of electron-withdrawing
 groups (required to raise the nitro-group reduction potential into the
 appropriate range) has only relatively modest retardation effects on rates
 of cyclization. More rigid systems may also be useful; a
 nitronaphthaleneacetamide analog cyclized spontaneously during nitro-group
 reduction, suggesting a very short half-life for the reduced intermediate
 (amine or hydroxylamine).

IT 154078-67-8P

RL: PRP (Properties); PREP (Preparation)
 (formation and cyclization kinetics of)

RN 154078-67-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-(2-aminophenyl)-N-(4-methoxyphenyl)-3-methyl-
 1-phenyl- (9CI) (CA INDEX NAME)



10/713,201

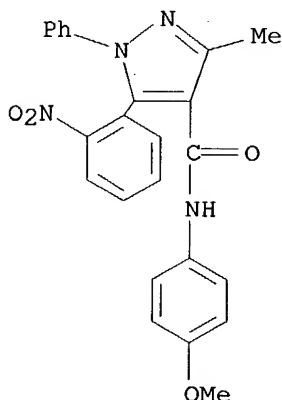
IT 154078-49-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reduction of)

RN 154078-49-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-3-methyl-5-(2-nitrophenyl)-1-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 79 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:185415 CAPLUS

DOCUMENT NUMBER: 120:185415

TITLE: Wood preservatives containing pyrazolecarboxamides.

INVENTOR(S): Hayashi, Yoko; Ito, Takaaki

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

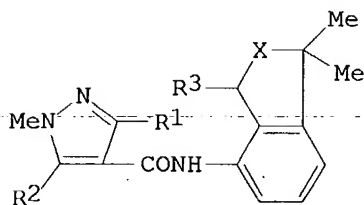
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05310512	A2	19931122	JP 1992-121746	19920514
JP 3077381	B2	20000814		
PRIORITY APPLN. INFO.:			JP 1992-121746	19920514
OTHER SOURCE(S):	MARPAT	120:185415		
GI				



I

AB Wood preservatives contain pyrazolecarboxamides I (R1 = Me, Et, CF3; R2 = Me, Et, halo, H; R3 = Me, Et; X = CH2, O) as active ingredients. I are

10/713,201

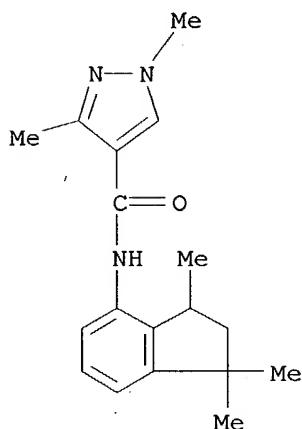
light- and heat-resistant. I (R1 = R3 = Me, R2 = Cl, X = O) (II) 1, 3-methyl-3-methoxybutanol 10, and kerosine 89 parts were mixed to give an oily composition, which, applied to a filter paper and exposed to light showed no discoloration, vs. severe discoloration, for 3-bromo-2,3-diiodo-2-propenylethyl carbonate. II, at 4 ppm, showed 100% control of *Coriolus versicolor*.

IT 105113-56-2

RL: BIOL (Biological study)
(wood preservative, light- and heat-resistant)

RN 105113-56-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 80 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:106998 CAPLUS

DOCUMENT NUMBER: 120:106998

TITLE: Pyrazolecarboxanilide agrochemical fungicides

INVENTOR(S): McLoughlin, Jim I.; Metz, Suzanne

PATENT ASSIGNEE(S): Monsanto Co., USA

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

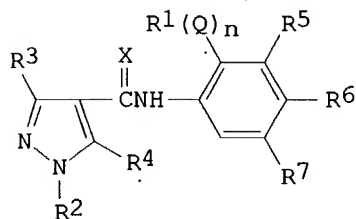
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9311117	A1	19930610	WO 1992-US10509	19921204
W:	AU, BB, BG, BR, CA, CS, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG			
US 5223526	A	19930629	US 1992-967417	19921105
AU 9332407	A1	19930628	AU 1993-32407	19921204
AU 657598	B2	19950316		
ZA 9209441	A	19930825	ZA 1992-9441	19921204
EP 623113	A1	19941109	EP 1993-900895	19921204
EP 623113	B1	19970305		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
JP 07501549	T2	19950216	JP 1992-510373	19921204

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HU 67795	A2	19950428	HU 1994-1693	19921204
BR 9206869	A	19951128	BR 1992-6869	19921204
AT 149490	E	19970315	AT 1993-900895	19921204
CN 1078234	A	19931110	CN 1993-100017	19930102
PRIORITY APPLN. INFO.:			US 1991-802978	A 19911206
			US 1992-877907	A 19920501
			US 1992-967417	A 19921105
			US 1992-936717	B2 19920831
			WO 1992-US10509	A 19921204

OTHER SOURCE(S): MARPAT 120:106998
GI



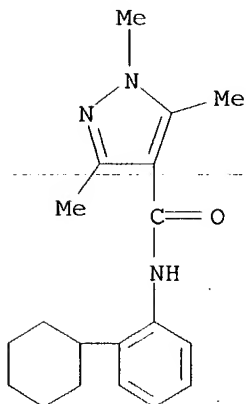
AB The title fungicides I [Q = C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, (CH₂)_mCH₂-, (CH₂)_mX(CH₂)_m; X = O, S; m = 0-3; R₁ = C3-12 cycloalkyl, C3-12 cycloalkenyl, C6-12 bicycloalkyl, C3-12 oxacycloalkyl, etc.; R₂ = H, fluorinated Me, Me, Et, C2-6 alkenyl, C3-6 cycloalkyl, Ph, etc.; R₃ = halomethyl, halomethoxy, Me, Et, halogen, CN, MeS, etc.; R₄ = H, halogen, Me; R₅-R₇ = H, halogen, CN, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-4 alkoxy, C1-4 alkylthio, etc.; n = 0, 1], which have a high level of succinate dehydrogenase inhibitory activity in ascomycetes, are prepared and crop-testing data presented. Thus, 1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxylic acid chloride was condensed with 2-cyclohexylaniline, producing N-(2-cyclohexylphenyl)-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide.

IT 151189-29-6P 151189-40-1P 151189-65-0P
151189-70-7P 151734-07-5P 151734-10-0P
151734-16-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and agrochem. fungicidal activity of)

RN 151189-29-6 CAPLUS

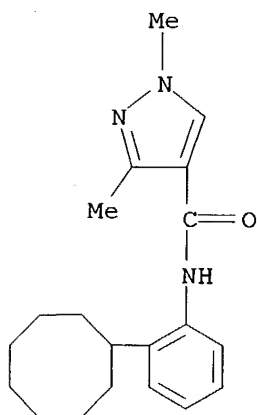
CN 1H-Pyrazole-4-carboxamide, N-(2-cyclohexylphenyl)-1,3,5-trimethyl- (9CI)
(CA INDEX NAME)



10/713,201

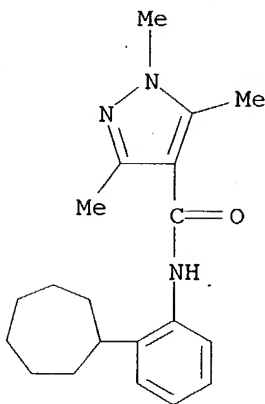
RN 151189-40-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-cyclooctylphenyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 151189-65-0 CAPLUS

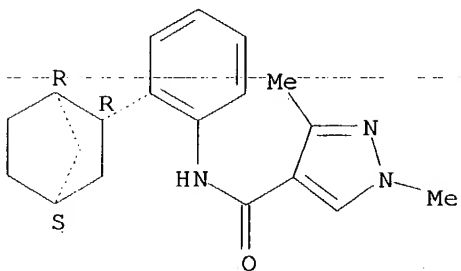
CN 1H-Pyrazole-4-carboxamide, N-(2-cycloheptylphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



RN 151189-70-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-bicyclo[2.2.1]hept-2-ylphenyl)-1,3-dimethyl-, exo- (9CI) (CA INDEX NAME)

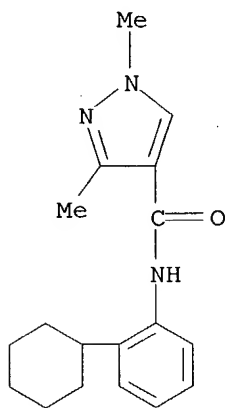
Relative stereochemistry.



10/713,201

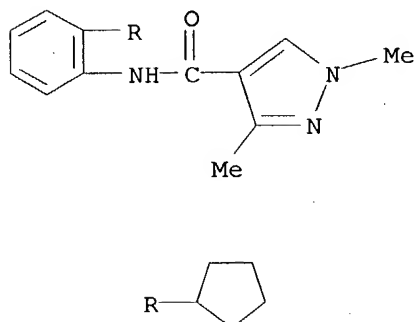
RN 151734-07-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-cyclohexylphenyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



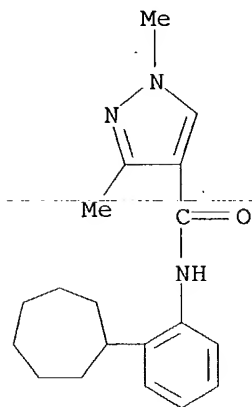
RN 151734-10-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-cyclopentylphenyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 151734-16-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2-cycloheptylphenyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



10/713,201

L3 ANSWER 81 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:49926 CAPLUS
DOCUMENT NUMBER: 120:49926
TITLE: Structure-activity relationships of
N-(1,1,3-trimethylindan-4-yl)carboxamide fungicides
AUTHOR(S): Oda, Masatsugu; Sakaki, Toshiro; Sasaki, Naoko;
Nonaka, Nobuyuki; Yamagishi, Kenji; Tomita, Hirofumi
CORPORATE SOURCE: Res. Cent., Mitsubishi Kasei Corp., Yokohama, 227,
Japan
SOURCE: Journal of Pesticide Science (International Edition)
(1993), 18(3), 245-51
CODEN: JPSEEC; ISSN: 0916-9962
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A number of N-(1,1,3-trimethylindan-4-yl)aryl- or heteroaryl-carboxamides were synthesized and their structure-activity relations studied. A series of compds. showed potent fungicidal activity against gray mold caused by *Botrytis cinerea*, in addition to rice sheath blight caused by *Rhizoctonia solani*. Pyridine-3-carboxamides substituted by Cl, Br, CH₃, or CF₃ at 2-position exhibited high activity against both diseases. Monosubstituted pyrazine-3-carboxamides, furan-3-carboxamides, pyrazole-4-carboxamides, and thiazole-5-carboxamides gave as high activity against both diseases in pot tests and SDC of *Botrytis cinerea* in an enzyme test as the 2-substituted pyridine-3-carboxamides. 2,5-Dimethylfuran-3-carboxamide gave activity against both diseases and SDC as high as 2-methylfuran-3-carboxamide, whereas the activities of 2,4-di-Me and 2,4,5-trimethylfuran derivs. were extremely low against gray mold in a pot test. Pyrazole-4-carboxamides and thiazole-5-carboxamides showed the same substituent effects as the furan derivs. Among the compds. of this series, 4-methylthiazole-5-carboxamide (BC340) and 2-chloropyridine-3-carboxamide (BC723) were most potent against both diseases.

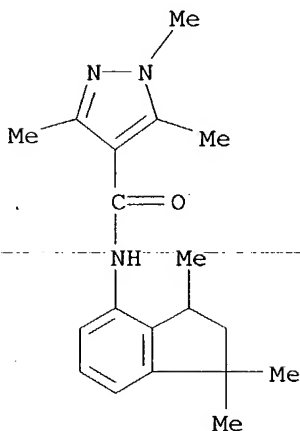
IT 105113-55-1P 105113-56-2P 105113-57-3P
151723-41-0P 151723-42-1P 151723-43-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and fungicidal activity of)

RN 105113-55-1 CAPLUS

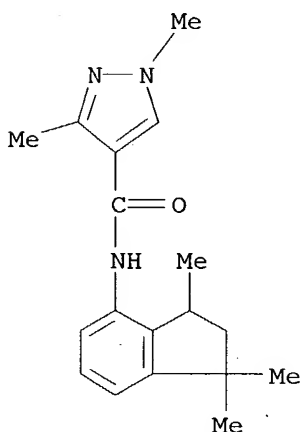
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,3,5-trimethyl- (9CI) (CA INDEX NAME)



10/713,201

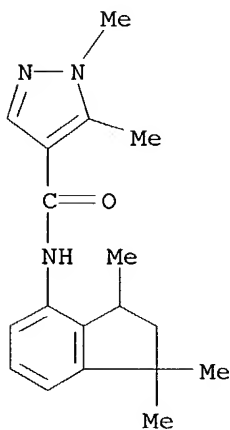
RN 105113-56-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 105113-57-3 CAPLUS

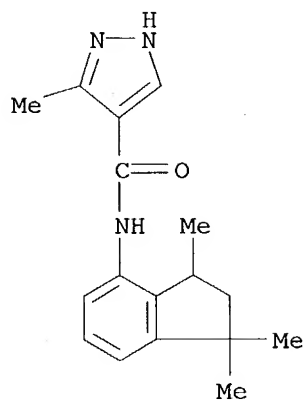
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,5-dimethyl- (9CI) (CA INDEX NAME)



RN 151723-41-0 CAPLUS

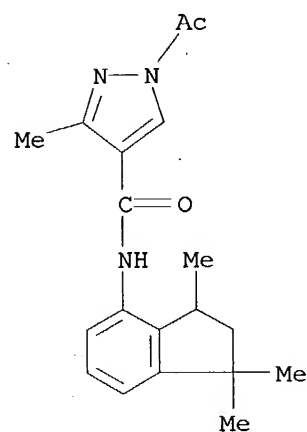
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-
methyl- (9CI) (CA INDEX NAME)

10/713,201



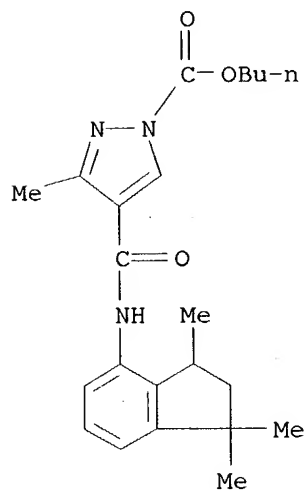
RN 151723-42-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-acetyl-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-methyl- (9CI) (CA INDEX NAME)



RN 151723-43-2 CAPLUS

CN 1H-Pyrazole-1-carboxylic acid, 4-[[(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)amino]carbonyl]-3-methyl-, butyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 82 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:25508 CAPLUS

DOCUMENT NUMBER: 120:25508

TITLE: Structure-activity relationships of
N-(1,1,3-trimethylindan-4-yl)carboxamide fungicides
AUTHOR(S): Oda, Masatsugu; Sakaki, Toshiro; Sasaki, Naoko;
Nonaka, Nobuyuki; Yamagishi, Kenji; Tomita, Hirofumi
CORPORATE SOURCE: Res. Cent., Mitsubishi Kasei Corp., Yokohama, 227,
Japan

SOURCE: Nippon Noyaku Gakkaishi (1993), 18(3), 245-51

CODEN: NNGADV; ISSN: 0385-1559

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A number of N-(1,1,3-trimethylindan-4-yl)aryl- or heteroaryl-carboxamides were synthesized and their structure-activity relationships were studied. A series of compds. showed potent fungicidal activity against gray mold caused by Botrytis cinerea, in addition to rice sheath blight caused by Rhizoctonia solani. Pyridine-3-carboxamides substituted by Cl, Br, Me, or CF₃ at 2-position exhibited high activity against both diseases. Monosubstituted pyrazine-3-carboxamides, furan-3-carboxamides, pyrazole-4-carboxamides, and thiazole-5-carboxamides gave as high activity against both diseases in pot tests and succinate dehydrogenase complex (SDC) of Botrytis cinerea in an enzyme test as the 2-substituted pyridine-3-carboxamides. 2,5-Dimethylfuran-3-carboxamide gave activity against both diseases and SDC as high as 2-methylfuran-3-carboxamide, whereas the activities of 2,4-di-Me and 2,4,5-trimethylfuran derivs. were extremely low against gray mold in a pot test. Pyrazole-4-carboxamides and thiazole-5-carboxamides showed the same substituent effects as the furan derivs. Among the compds. of this series, 4-methylthiazole-5-carboxamide (BC340) and 2-chloropyridine-3-carboxamide (BC723) were most potent against both diseases.

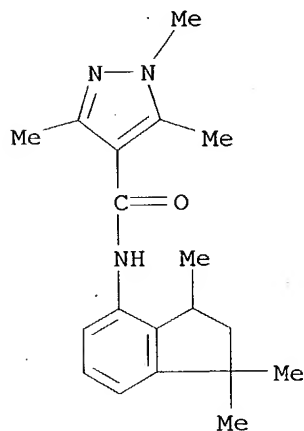
IT 105113-55-1P 105113-56-2P 105113-57-3P

151723-41-0P 151723-42-1P 151723-43-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and fungicidal activity of, structure in relation to)

RN 105113-55-1 CAPLUS

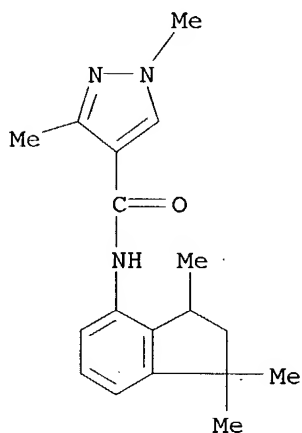
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,3,5-trimethyl- (9CI) (CA INDEX NAME)



10/713,201

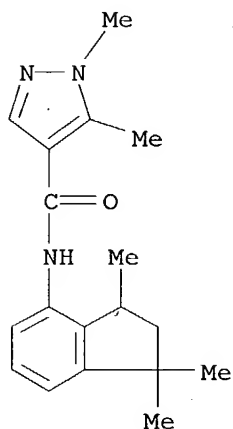
RN 105113-56-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 105113-57-3 CAPLUS

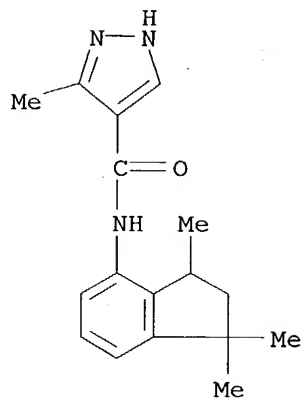
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,5-dimethyl- (9CI) (CA INDEX NAME)



RN 151723-41-0 CAPLUS

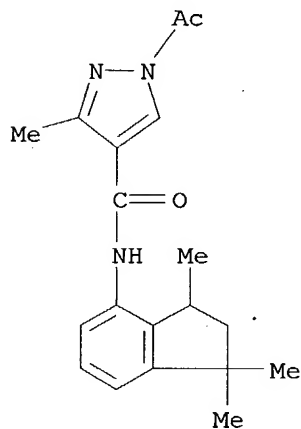
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-methyl- (9CI) (CA INDEX NAME)

10/713,201



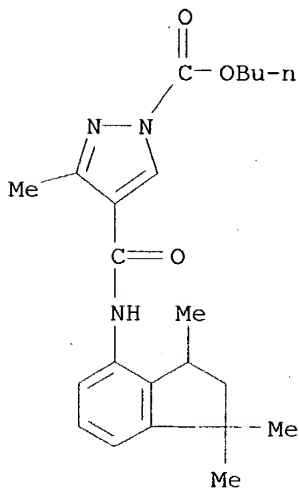
RN 151723-42-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-acetyl-N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-3-methyl- (9CI) (CA INDEX NAME)



RN 151723-43-2 CAPLUS

CN 1H-Pyrazole-1-carboxylic acid, 4-[[2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl]amino]carbonyl]-3-methyl-, butyl ester (9CI) (CA INDEX NAME)



10/713,201

L3 ANSWER 83 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:682077 CAPLUS
DOCUMENT NUMBER: 119:282077
TITLE: Silver halide photographic material
INVENTOR(S): Arai, Kazumi; Kato, Kazunobu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05011384	A2	19930122	JP 1991-191148	19910705
JP 2779712	B2	19980723		

PRIORITY APPLN. INFO.: JP 1991-191148 19910705

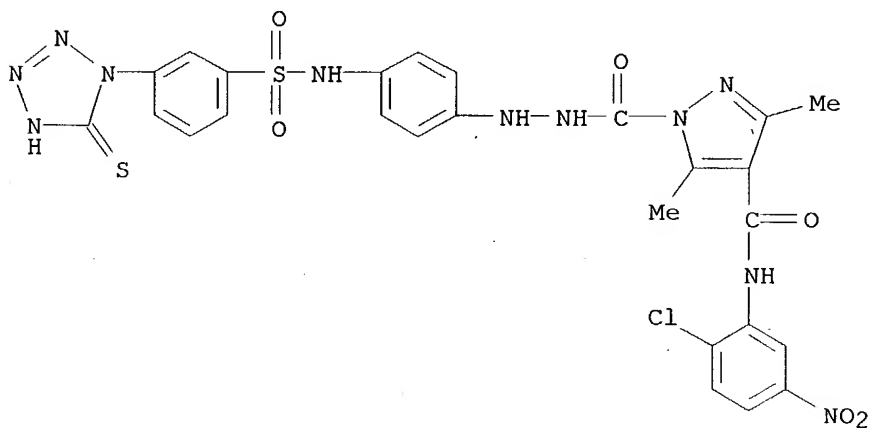
AB The title material contains a compound represented by ED(Time)tYZ [ED = moiety releasing (Time)tYZ upon reaction with an oxidized developing agent; Time = divalent linking group; t = 0 or 1; Y = divalent group linked to ED(Time)t through a heteroatom; Z = Z1(X)NO₂; Z1 = (substituted) aromatic or heterocyclic aromatic moiety which may consist of a single ring or fused rings; X = electron-attracting group]. The title material provides high contrast.

IT 151565-56-9

RL: USES (Uses)
(redox compound, in photog. material)

RN 151565-56-9 CAPLUS

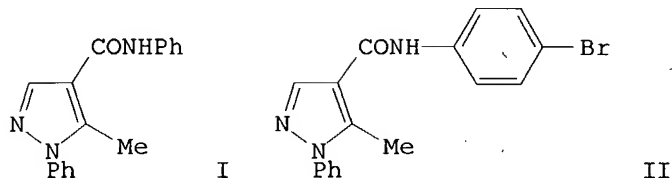
CN 1H-Pyrazole-1-carboxylic acid, 4-[[[(2-chloro-5-nitrophenyl)amino]carbonyl]-3,5-dimethyl-, 2-[4-[[[3-(2,5-dihydro-5-thioxo-1H-tetrazol-1-yl)phenyl]sulfonyl]amino]phenyl]hydrazide (9CI) (CA INDEX NAME)



L3 ANSWER 84 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:118842 CAPLUS
DOCUMENT NUMBER: 118:118842
TITLE: Growth-regulating properties of substituted pyrazole-4-(thio)carboxylic acids and their analogs
AUTHOR(S): Reidalova, L. I.; Borisevich, A. N.; Mozgovaya, G. P.; Samoilenko, L. S.; Rodionov, A. P.

10/713,201

CORPORATE SOURCE: Inst. Org. Khim., Kiev, Ukraine
SOURCE: Fiziologicheski Aktivnye Veshchestva (1991), 23, 82-7
CODEN: FAVUAI; ISSN: 0533-1153
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

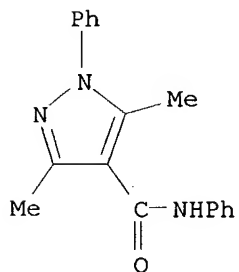


AB Of 15 title compds., (I) most effectively inhibited lettuce and oat aerial part growth, and in general 4-oxocarbamoylsubstituted pyrazoles were more active inhibitors than their thiocarbamoyl analogs. I strongly stimulated root growth. II showed cytokinin activity. Synthesis is given.

IT 61747-92-0P 109466-29-7P 109466-30-0P
109466-34-4P 109466-35-5P 109466-36-6P
109466-38-8P 109466-44-6P 145978-02-5P
145978-03-6P 145978-04-7P 145978-05-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and plant growth-regulating activity of)

RN 61747-92-0 CAPLUS

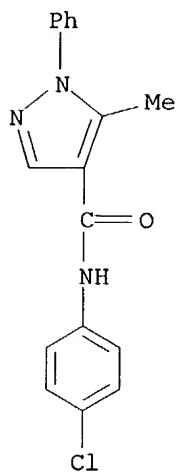
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



RN 109466-29-7 CAPLUS

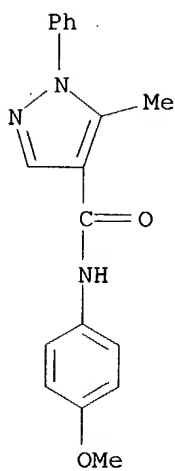
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-5-methyl-1-phenyl- (9CI) (CA INDEX NAME)

10/713,201



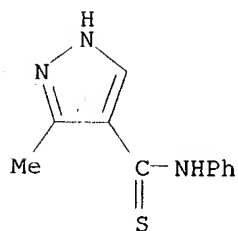
RN 109466-30-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 109466-34-4 CAPLUS

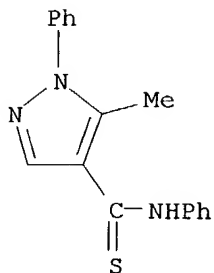
CN 1H-Pyrazole-4-carbothioamide, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 109466-35-5 CAPLUS

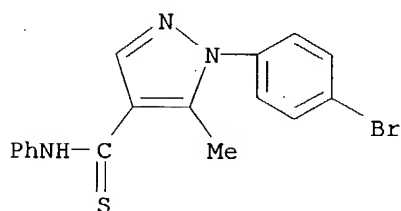
CN 1H-Pyrazole-4-carbothioamide, 5-methyl-N,1-diphenyl- (9CI) (CA INDEX
NAME)

10/713,201



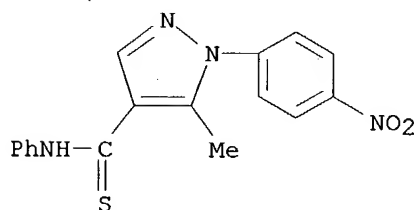
RN 109466-36-6 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, 1-(4-bromophenyl)-5-methyl-N-phenyl- (9CI)
(CA INDEX NAME)



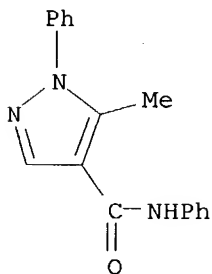
RN 109466-38-8 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, 5-methyl-1-(4-nitrophenyl)-N-phenyl- (9CI)
(CA INDEX NAME)



RN 109466-44-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)

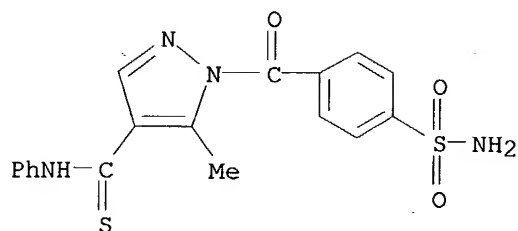


RN 145978-02-5 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, 1-[4-(aminosulfonyl)benzoyl]-5-methyl-N-

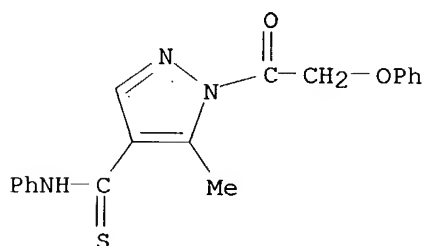
10/713,201

phenyl- (9CI) (CA INDEX NAME)



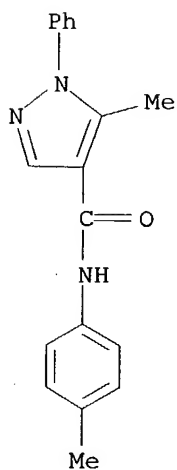
RN 145978-03-6 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, 5-methyl-1-(phenoxycarbonyl)-N-phenyl- (9CI)
(CA INDEX NAME)



RN 145978-04-7 CAPLUS

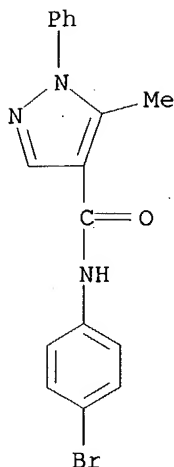
CN 1H-Pyrazole-4-carboxamide, 5-methyl-N-(4-methylphenyl)-1-phenyl- (9CI)
(CA INDEX NAME)



RN 145978-05-8 CAPLUS

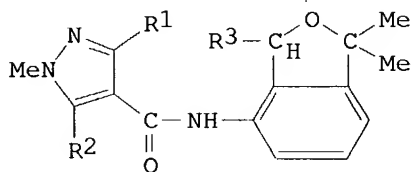
CN 1H-Pyrazole-4-carboxamide, N-(4-bromophenyl)-5-methyl-1-phenyl- (9CI) (CA
INDEX NAME)

10/713,201



L3 ANSWER 85 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:250502 CAPLUS
DOCUMENT NUMBER: 116:250502
TITLE: Synergistic antimicrobial compositions containing
pyrazole derivatives for agricultural and
horticultural use
INVENTOR(S): Takano, Jinko; Mizuguchi, Atsuo
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03284601	A2	19911216	JP 1990-84529	19900329
JP 2814678	B2	19981027		
PRIORITY APPLN. INFO.:			JP 1990-84529	19900329
OTHER SOURCE(S):	MARPAT	116:250502		
GI				



I

AB The title antimicrobial compns. contain pyrazole derivs. I [R1 = Me, CF3; R2 = H, Me, Cl; R3 = Me, Et] and probenazole, isoprothiolane, kasugamycin-HCl, pyroquilon, iprobenfos, tricyclazole, phthalide, ediphenphos, and/or (Z)-o-methylacetophenone-4,6-dimethyl-2-pyrimidinyl hydrazone. Thus, granules containing I [R1= R3 = Me, R2 = Cl] and probenazole (1.5 % + 3%) synergistically controlled Pyricularia oryzae in rice.

IT 139662-87-6 139662-88-7 139662-89-8
139662-90-1 139662-91-2 139662-92-3

10/713,201

139662-93-4 139662-94-5 139662-95-6
139662-96-7 139662-97-8 139662-98-9
139662-99-0 139663-00-6 139663-01-7
139663-06-2 139663-15-3 139663-16-4
139663-17-5 139663-18-6 139663-19-7
139663-20-0 139663-21-1 139663-22-2
139692-19-6 139692-20-9 139711-14-1

RL: BIOL (Biological study)

(synergistic antimicrobial composition, agricultural)

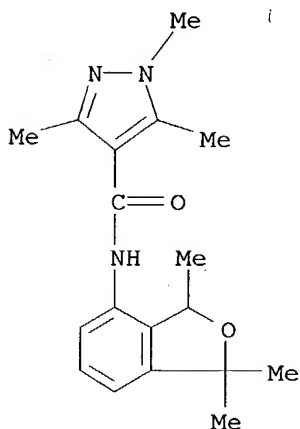
RN 139662-87-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 3-(2-propenyloxy)-1,2-benzisothiazole 1,1-dioxide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

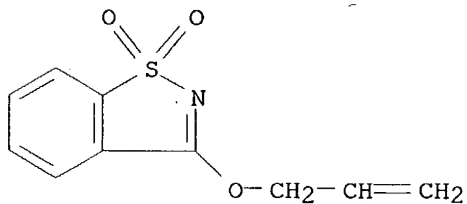
CMF C18 H23 N3 O2



CM 2

CRN 27605-76-1

CMF C10 H9 N O3 S



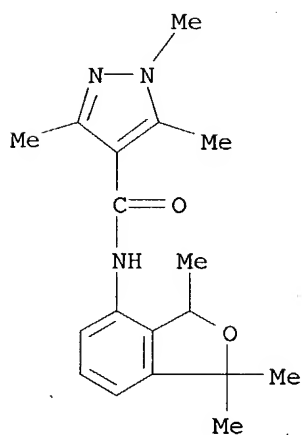
RN 139662-88-7 CAPLUS

CN Propanedioic acid, 1,3-dithiolan-2-ylidene-, bis(1-methylethyl) ester, mixt. with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

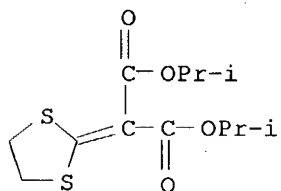
10/713,201

CRN 123572-91-8
CMF C18 H23 N3 O2



CM 2

CRN 50512-35-1
CMF C12 H18 O4 S2

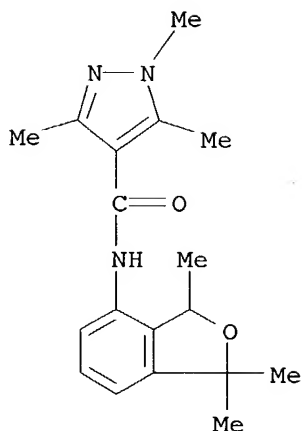


RN 139662-89-8 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8
CMF C18 H23 N3 O2

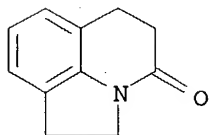
10/713,201



CM 2

CRN 57369-32-1

CMF C11 H11 N O



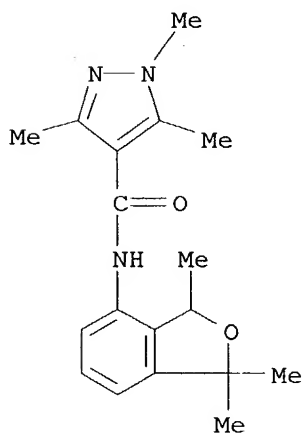
RN 139662-90-1 CAPLUS

CN Phosphorothioic acid, O,O-bis(1-methylethyl) S-(phenylmethyl) ester, mixt. with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

CMF C18 H23 N3 O2

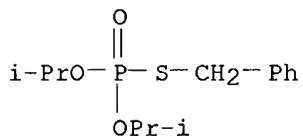


10/713,201

CM 2

CRN 26087-47-8

CMF C13 H21 O3 P S



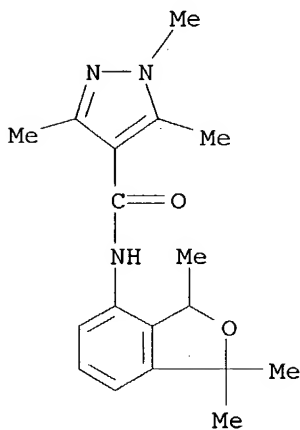
RN 139662-91-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 5-methyl-1,2,4-triazolo[3,4-b]benzothiazole (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

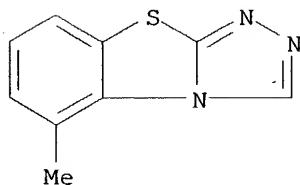
CMF C18 H23 N3 O2



CM 2

CRN 41814-78-2

CMF C9 H7 N3 S



RN 139662-92-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 1(3H)-isobenzofuranone (9CI)

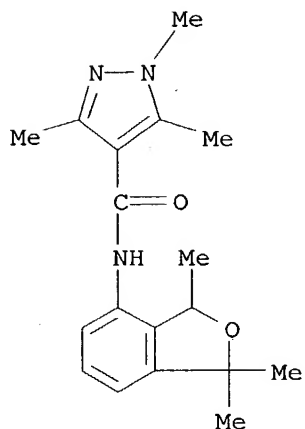
10/713,201

(CA INDEX NAME)

CM 1

CRN 123572-91-8

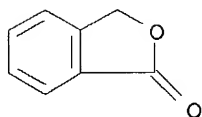
CMF C18 H23 N3 O2



CM 2

CRN 87-41-2

CMF C8 H6 O2



RN 139662-93-4 CAPLUS

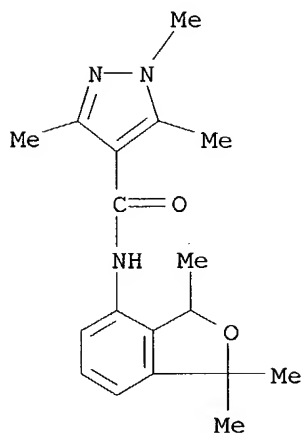
CN D-chiro-Inositol, 3-O-[2-amino-4-[(carboxyiminomethyl)amino]-2,3,4,6-tetradecoxy- α -D-arabino-hexopyranosyl]-, monohydrochloride, mixt. with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

CMF C18 H23 N3 O2

10/713,201

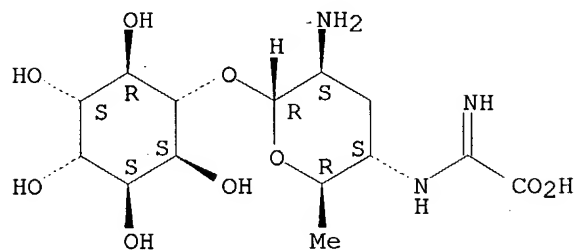


CM 2

CRN 19408-46-9

CMF C14 H25 N3 O9 . Cl H

Absolute stereochemistry.



● HCl

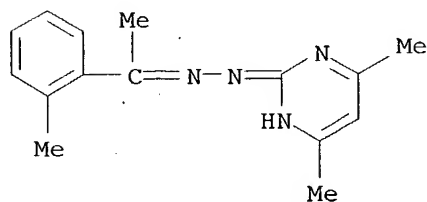
RN 139662-94-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 4,6-dimethyl-2(1H)-pyrimidinone [1-(2-methylphenyl)ethylidene]hydrazone (9CI) (CA INDEX NAME)

CM 1

CRN 124550-28-3

CMF C15 H18 N4

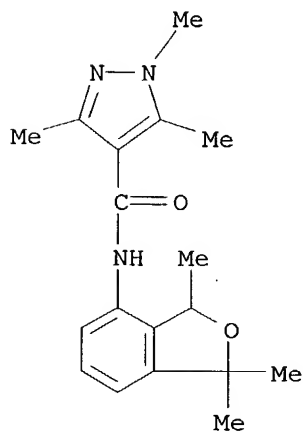


10/713,201

CM 2

CRN 123572-91-8

CMF C18 H23 N3 O2



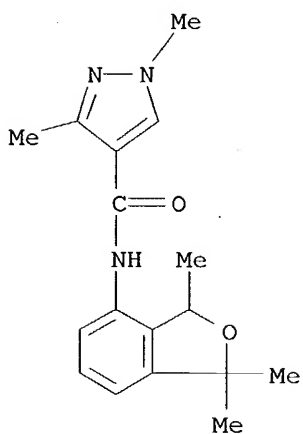
RN 139662-95-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 3-(2-propenyloxy)-1,2-benzisothiazole 1,1-dioxide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

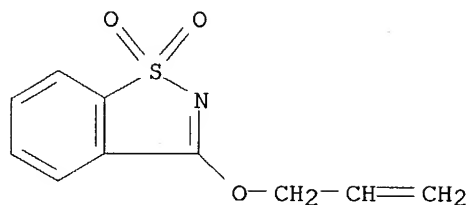


CM 2

CRN 27605-76-1

CMF C10 H9 N O3 S

10/713,201



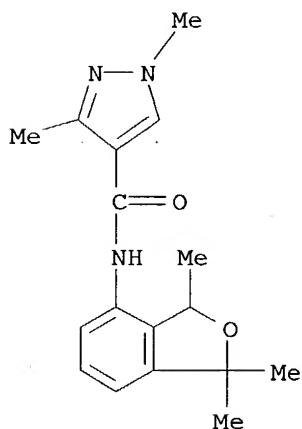
RN 139662-96-7 CAPLUS

CN Propanedioic acid, 1,3-dithiolan-2-ylidene-, bis(1-methylethyl) ester, mixt. with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

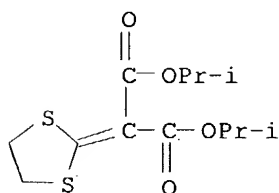
CMF C17 H21 N3 O2



CM 2

CRN 50512-35-1

CMF C12 H18 O4 S2



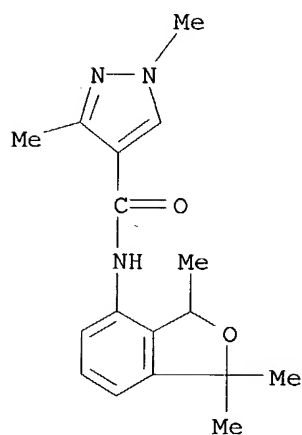
RN 139662-97-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (9CI) (CA INDEX NAME)

CM 1

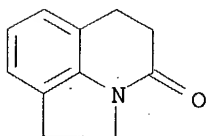
10/713,201

CRN 123572-93-0
CMF C17 H21 N3 O2



CM 2

CRN 57369-32-1
CMF C11 H11 N O

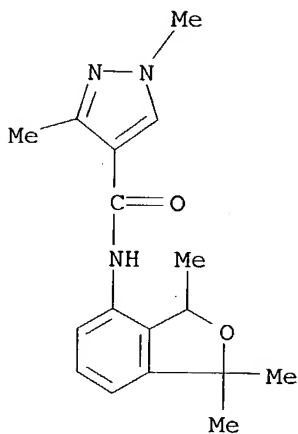


RN 139662-98-9 CAPLUS
CN Phosphorothioic acid, O,O-bis(1-methylethyl) S-(phenylmethyl) ester, mixt.
with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-1H-
pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

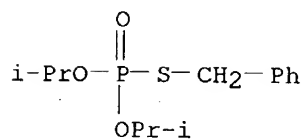
CRN 123572-93-0
CMF C17 H21 N3 O2

10/713,201



CM 2

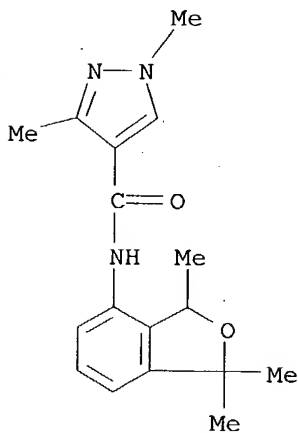
CRN 26087-47-8
CMF C13 H21 O3 P S



RN 139662-99-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 5-methyl-1,2,4-triazolo[3,4-b]benzothiazole (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0
CMF C17 H21 N3 O2

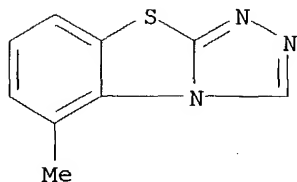


10/713,201

CM 2

CRN 41814-78-2

CMF C9 H7 N3 S



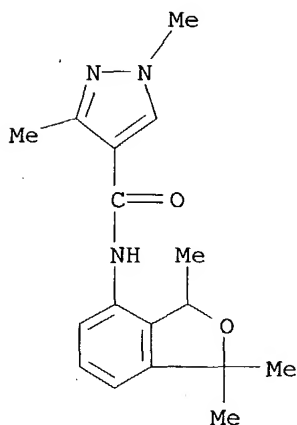
RN 139663-00-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 1(3H)-isobenzofuranone (9CI)
(CA INDEX NAME)

CM 1

CRN 123572-93-0

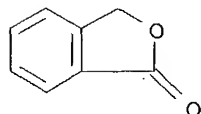
CMF C17 H21 N3 O2



CM 2

CRN 87-41-2

CMF C8 H6 O2



RN 139663-01-7 CAPLUS

CN D-chiro-Inositol, 3-O-[2-amino-4-[(carboxyiminomethyl)amino]-2,3,4,6-tetradeoxy- α -D-arabino-hexopyranosyl]-, monohydrochloride, mixt. with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-1H-

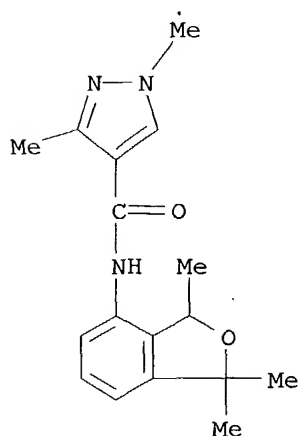
10/713,201

pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

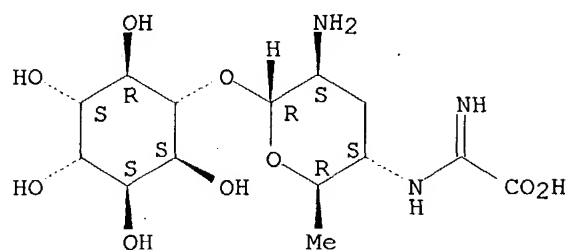


CM 2

CRN 19408-46-9

CMF C14 H25 N3 O9 . Cl H

Absolute stereochemistry.



● HCl

RN 139663-06-2 CAPLUS

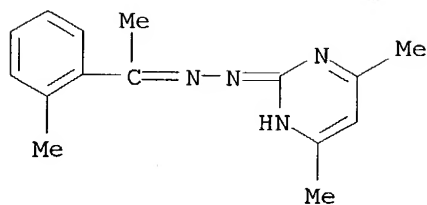
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 4,6-dimethyl-2(1H)-pyrimidinone [1-(2-methylphenyl)ethylidene]hydrazone (9CI) (CA INDEX NAME)

CM 1

CRN 124550-28-3

CMF C15 H18 N4

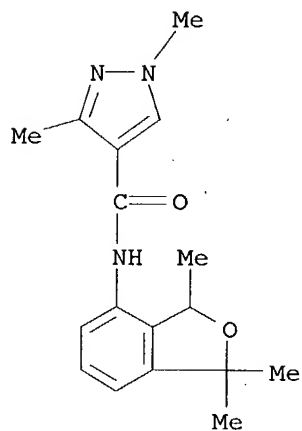
10/713,201



CM 2

CRN 123572-93-0

CMF C17 H21 N3 O2



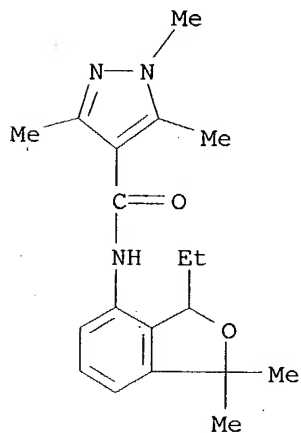
RN 139663-15-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 3-(2-propenyloxy)-1,2-benzisothiazole 1,1-dioxide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

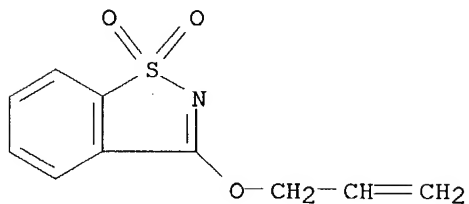


10/713,201

CM 2

CRN 27605-76-1

CMF C10 H9 N O3 S



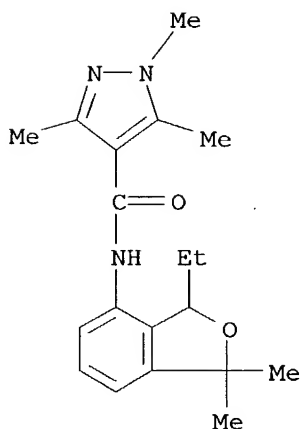
RN 139663-16-4 CAPLUS

CN Propanedioic acid, 1,3-dithiolan-2-ylidene-, bis(1-methylethyl) ester, mixt. with N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

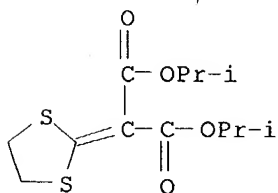
CMF C19 H25 N3 O2



CM 2

CRN 50512-35-1

CMF C12 H18 O4 S2



10/713,201

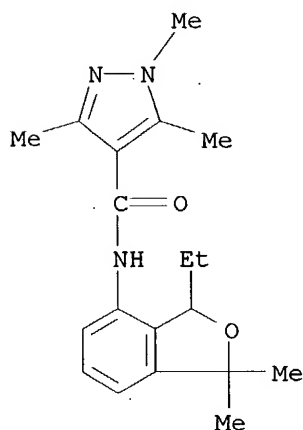
RN 139663-17-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

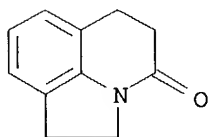
CMF C19 H25 N3 O2



CM 2

CRN 57369-32-1

CMF C11 H11 N O



RN 139663-18-6 CAPLUS

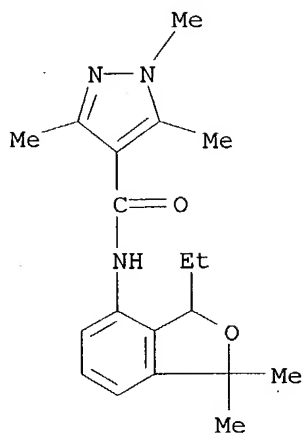
CN Phosphorothioic acid, O,O-bis(1-methylethyl) S-(phenylmethyl) ester, mixt. with N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

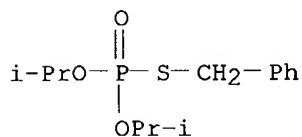
10/713,201



CM 2

CRN 26087-47-8

CMF C13 H21 O3 P S



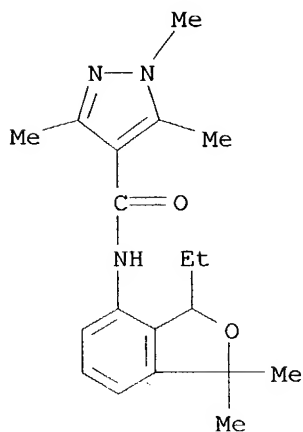
RN 139663-19-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 5-methyl-1,2,4-triazolo[3,4-b]benzothiazole (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

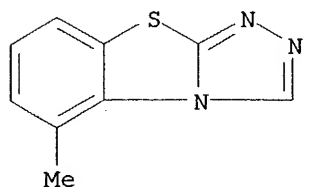


10/713,201

CM 2

CRN 41814-78-2

CMF C9 H7 N3 S



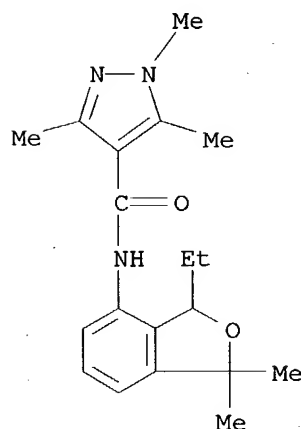
RN 139663-20-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 1(3H)-isobenzofuranone (9CI)
(CA INDEX NAME)

CM 1

CRN 123572-96-3

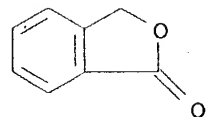
CMF C19 H25 N3 O2



CM 2

CRN 87-41-2

CMF C8 H6 O2



RN 139663-21-1 CAPLUS

CN D-chiro-Inositol, 3-O-[2-amino-4-[(carboxyiminomethyl)amino]-2,3,4,6-tetradecoxy- α -D-arabino-hexopyranosyl]-, monohydrochloride, mixt. with N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-

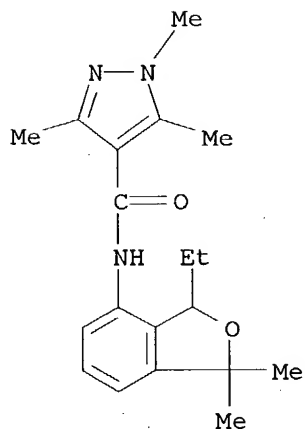
10/713,201

trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

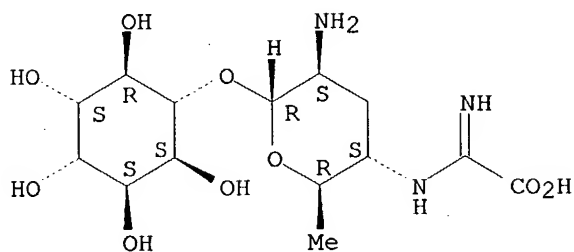


CM 2

CRN 19408-46-9

CMF C14 H25 N3 O9 . Cl H

Absolute stereochemistry.



● HCl

RN 139663-22-2 CAPLUS

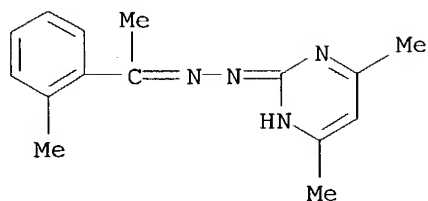
CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 4,6-dimethyl-2(1H)-pyrimidinone [1-(2-methylphenyl)ethylidene]hydrazone (9CI) (CA INDEX NAME)

CM 1

CRN 124550-28-3

CMF C15 H18 N4

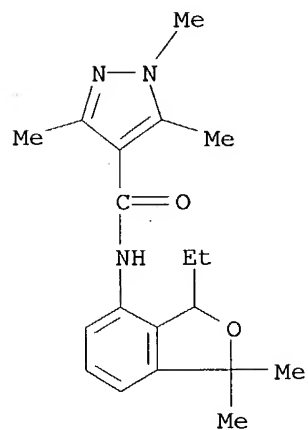
10/713,201



CM 2

CRN 123572-96-3

CMF C19 H25 N3 O2



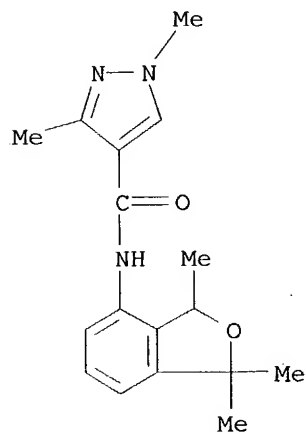
RN 139692-19-6 CAPLUS

CN Phosphorodithioic acid, O-ethyl S,S-diphenyl ester, mixt. with
N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-1H-pyrazole-
4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

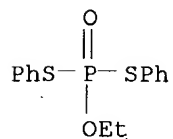


10/713,201

CM 2

CRN 17109-49-8

CMF C14 H15 O2 P S2



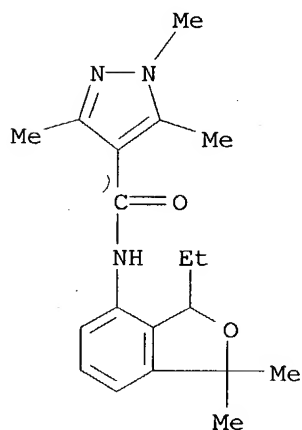
RN 139692-20-9 CAPLUS

CN Phosphorodithioic acid, O-ethyl S,S-diphenyl ester, mixt. with
N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-
pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

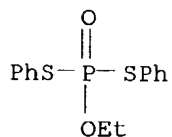
CMF C19 H25 N3 O2



CM 2

CRN 17109-49-8

CMF C14 H15 O2 P S2



RN 139711-14-1 CAPLUS

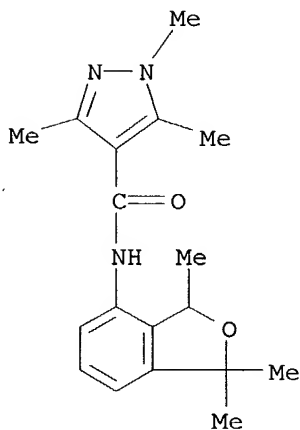
CN Phosphorodithioic acid, O-ethyl S,S-diphenyl ester, mixt. with
N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-
pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

10/713,201

CM 1

CRN 123572-91-8

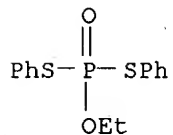
CMF C18 H23 N3 O2



CM 2

CRN 17109-49-8

CMF C14 H15 O2 P S2



IT 139679-16-6D, derivs., mixts. contg

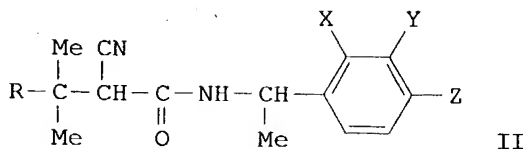
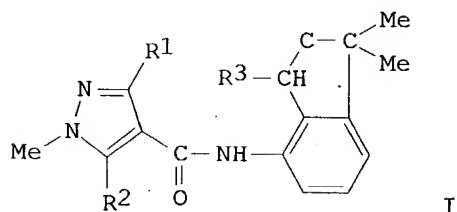
RL: BIOL (Biological study)

(synergistic antimicrobial compns.)

RN 139679-16-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-
1-methyl- (9CI) (CA INDEX NAME)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03284603	A2	19911216	JP 1990-84531	19900329
JP 2814680	B2	19981027		
PRIORITY APPLN. INFO.:			JP 1990-84531	19900329
OTHER SOURCE(S):	MARPAT	116:168341		
GI				



AB The title compns. consist of pyrazole derivs. I (R1 = Me, CF3; R2 = H, Me, Et, Cl; R3 = Me, Et) and amides II (X, Y = H, F, Cl, lower alkoxy; Z = Cl,

10/713,201

Br, CF₃, fluoroalkoxy; R = Me or vinyl). Thus, granules containing I (R₁ = R₃ = Me, R₂ = Cl) and II (R = Me, X = Y = H, Z = Cl) (10% + 0.5%; 40 + 20 g/are) synergistically controlled *Pyricularia oryzae* in rice.

IT 139920-37-9 139920-38-0 139920-39-1
139920-40-4 139920-41-5 139920-42-6
139920-43-7 139920-44-8 139920-45-9
139920-46-0 139920-47-1 139920-48-2
139920-49-3 139920-50-6 139952-37-7
139952-38-8 139952-39-9 139952-40-2
139952-41-3 139952-42-4 139952-43-5
139952-45-7 139979-51-4

RL: BIOL (Biological study)

(synergistic antimicrobial composition, agricultural)

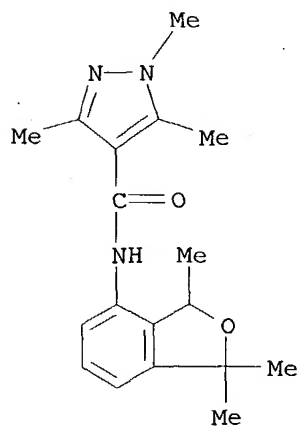
RN 139920-37-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-chlorophenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

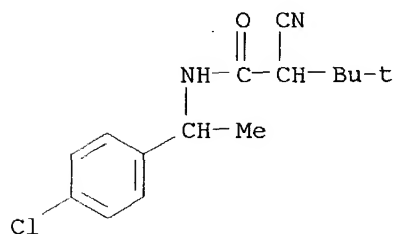
CMF C18 H23 N3 O2



CM 2

CRN 123194-66-1

CMF C15 H19 Cl N2 O



RN 139920-38-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-

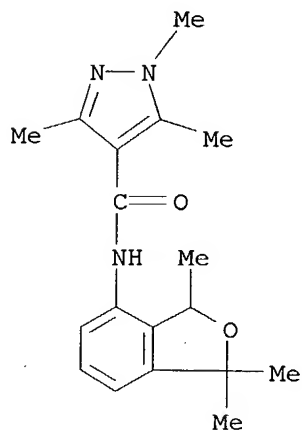
10/713,201

isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-bromophenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

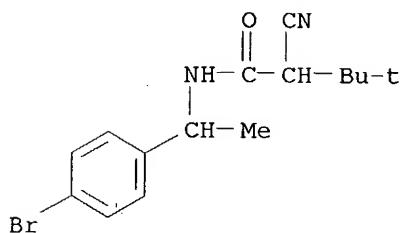
CMF C18 H23 N3 O2



CM 2

CRN 123194-67-2

CMF C15 H19 Br N2 O



RN 139920-39-1 CAPLUS

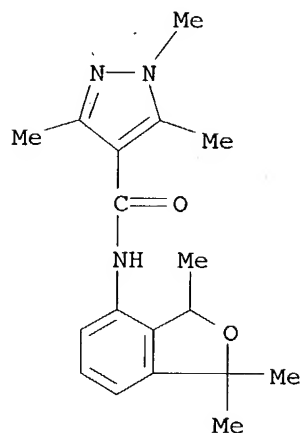
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-cyano-3,3-dimethyl-N-[1-[4-(trifluoromethoxy)phenyl]ethyl]butanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

CMF C18 H23 N3 O2

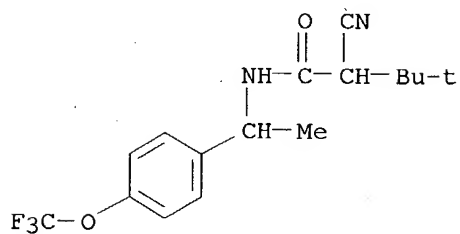
10/713,201



CM 2

CRN 123194-94-5

CMF C16 H19 F3 N2 O2



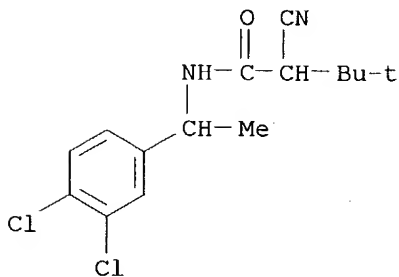
RN 139920-40-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-cyano-N-[1-(3,4-dichlorophenyl)ethyl]-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 139920-30-2

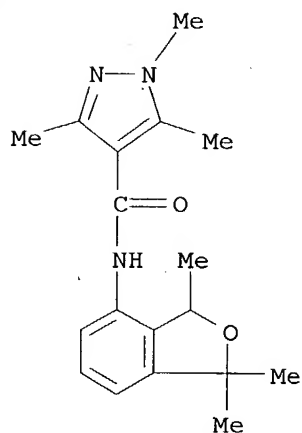
CMF C15 H18 Cl2 N2 O



CM 2

10/713,201

CRN 123572-91-8
CMF C18 H23 N3 O2

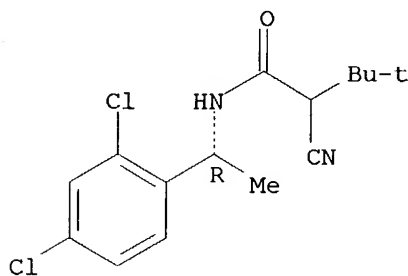


RN 139920-41-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-cyano-N-[1-(2,4-dichlorophenyl)ethyl]-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 139920-32-4
CMF C15 H18 Cl2 N2 O

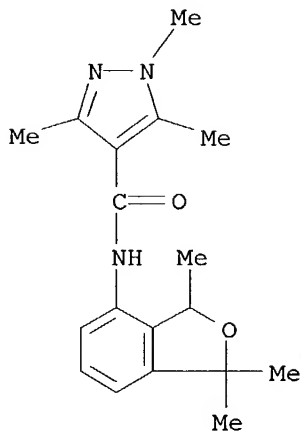
Absolute stereochemistry.



CM 2

CRN 123572-91-8
CMF C18 H23 N3 O2

10/713,201



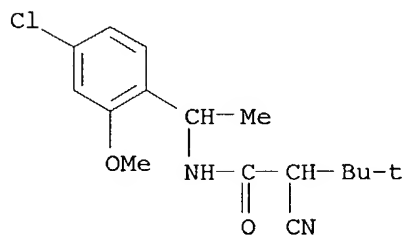
RN 139920-42-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-chloro-2-methoxyphenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 139920-34-6

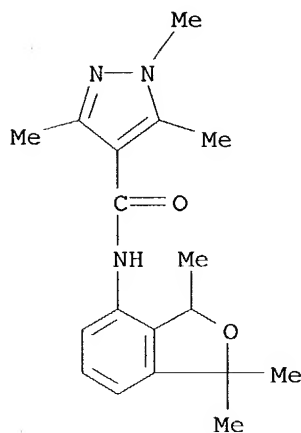
CMF C16 H21 Cl N2 O2



CM 2

CRN 123572-91-8

CMF C18 H23 N3 O2



10/713,201

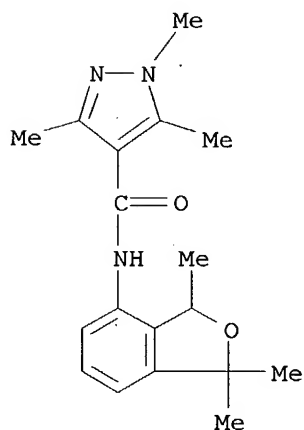
RN 139920-43-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-chlorophenyl)ethyl]-2-cyano-3,3-dimethyl-4-pentenamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

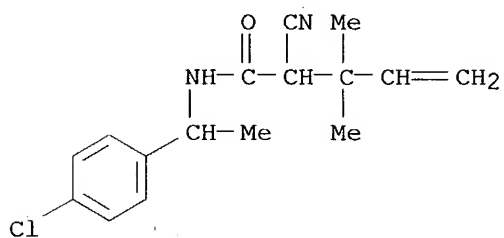
CMF C18 H23 N3 O2



CM 2

CRN 123194-87-6

CMF C16 H19 Cl N2 O



RN 139920-44-8 CAPLUS

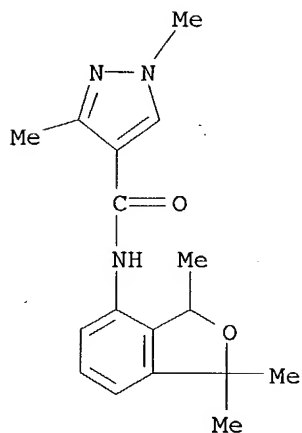
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with N-[1-(4-chlorophenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

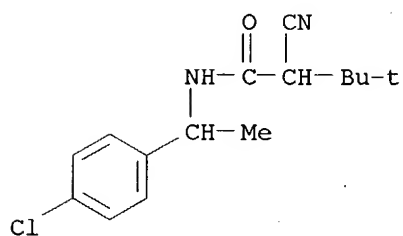
10/713,201



CM 2

CRN 123194-66-1

CMF C15 H19 Cl N2 O



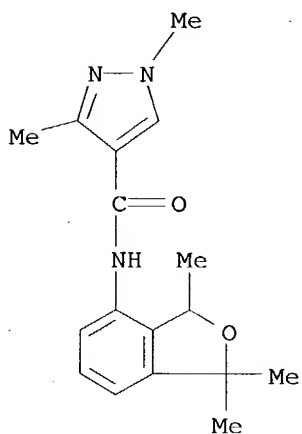
RN 139920-45-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuran-2-yl)-1,3-dimethyl-, mixt. with N-[1-(4-bromophenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

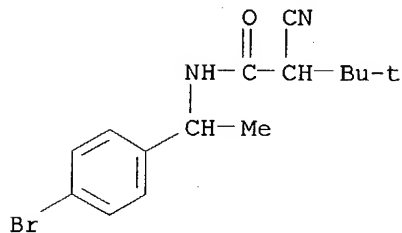


10/713,201

CM 2

CRN 123194-67-2

CMF C15 H19 Br N2 O



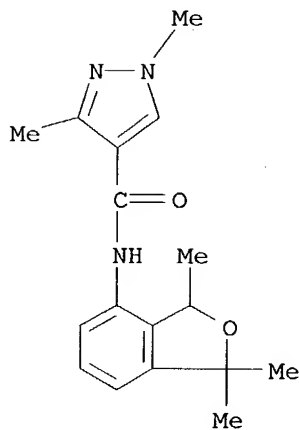
RN 139920-46-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 2-cyano-3,3-dimethyl-N-[1-[4-(trifluoromethoxy)phenyl]ethyl]butanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

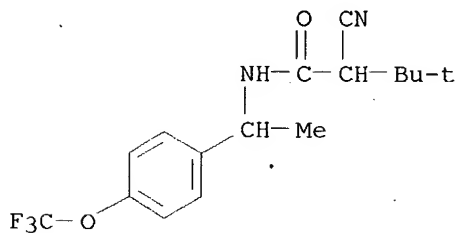
CMF C17 H21 N3 O2



CM 2

CRN 123194-94-5

CMF C16 H19 F3 N2 O2



10/713,201

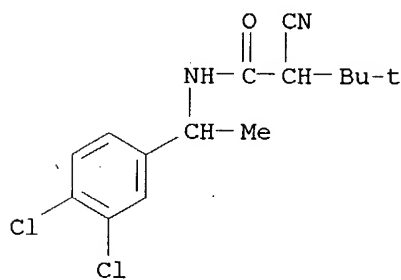
RN 139920-47-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 2-cyano-N-[1-(3,4-dichlorophenyl)ethyl]-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 139920-30-2

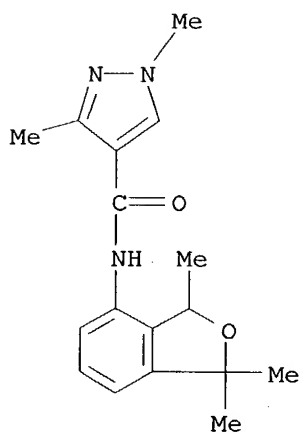
CMF C15 H18 Cl2 N2 O



CM 2

CRN 123572-93-0

CMF C17 H21 N3 O2



RN 139920-48-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 2-cyano-N-[1-(2,4-dichlorophenyl)ethyl]-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

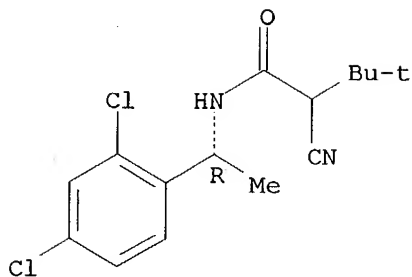
CM 1

CRN 139920-32-4

CMF C15 H18 Cl2 N2 O

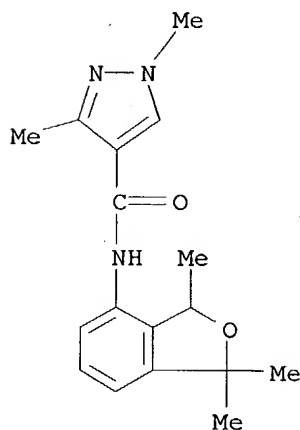
Absolute stereochemistry.

10/713,201



CM 2

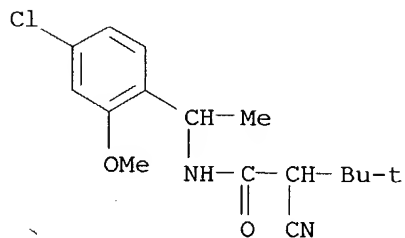
CRN 123572-93-0
CMF C17 H21 N3 O2



RN 139920-49-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with N-[1-(4-chloro-2-methoxyphenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

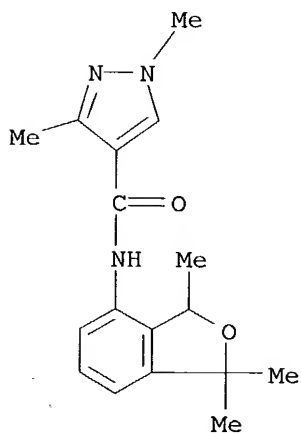
CRN 139920-34-6
CMF C16 H21 Cl N2 O2



CM 2

10/713,201

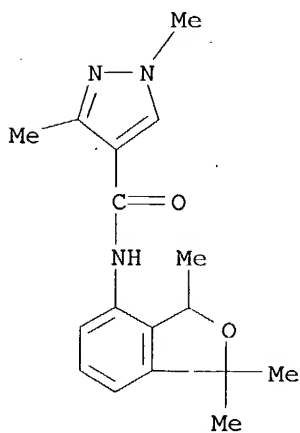
CRN 123572-93-0
CMF C17 H21 N3 O2



RN 139920-50-6 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with N-[1-(4-chlorophenyl)ethyl]-2-cyano-3,3-dimethyl-4-pentenamide (9CI) (CA INDEX NAME)

CM 1

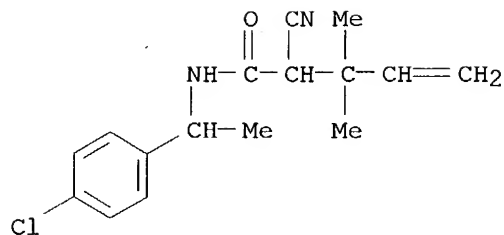
CRN 123572-93-0
CMF C17 H21 N3 O2



CM 2

CRN 123194-87-6
CMF C16 H19 Cl N2 O

10/713,201



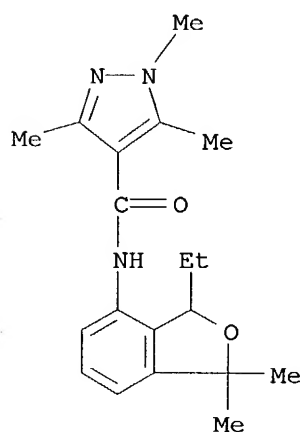
RN 139952-37-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-chlorophenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

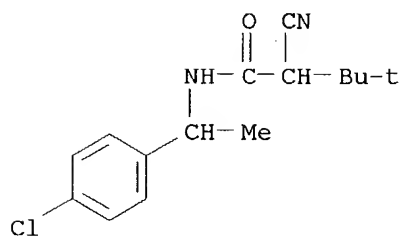
CMF C19 H25 N3 O2



CM 2

CRN 123194-66-1

CMF C15 H19 Cl N2 O



RN 139952-38-8 CAPLUS

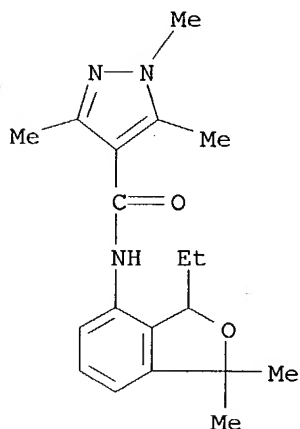
CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-bromophenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

10/713,201

CM 1

CRN 123572-96-3

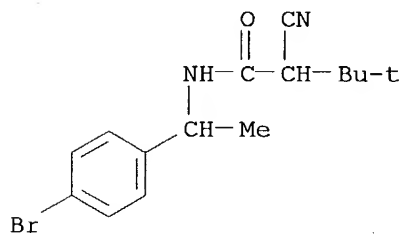
CMF C19 H25 N3 O2



CM 2

CRN 123194-67-2

CMF C15 H19 Br N2 O



RN 139952-39-9 CAPLUS

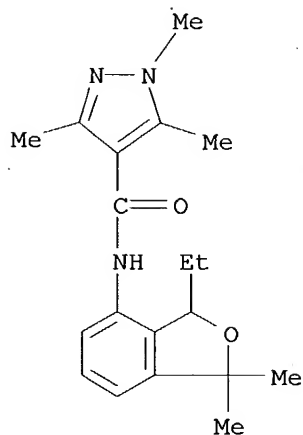
CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-cyano-3,3-dimethyl-N-[1-[4-(trifluoromethyl)phenyl]ethyl]butanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

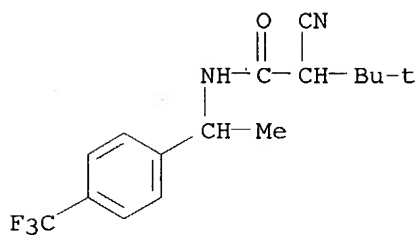
10/713,201



CM 2

CRN 123194-68-3

CMF C16 H19 F3 N2 O



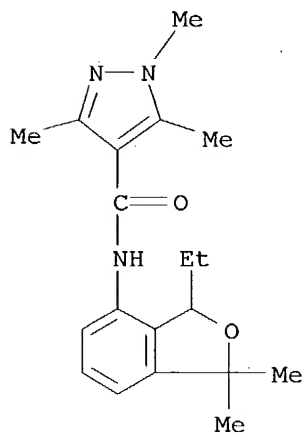
RN 139952-40-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-cyano-3,3-dimethyl-N-[1-[4-(trifluoromethoxy)phenyl]ethyl]butanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

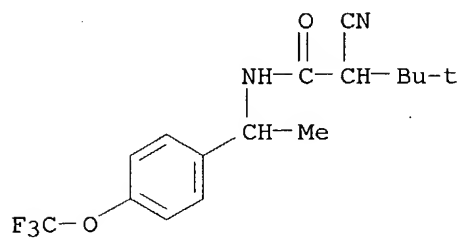


10/713,201

CM 2

CRN 123194-94-5

CMF C16 H19 F3 N2 O2



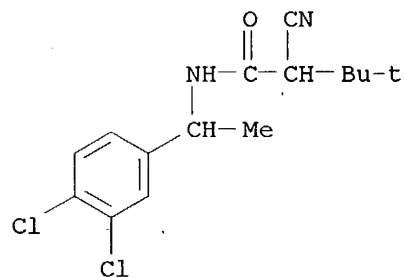
RN 139952-41-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-cyano-N-[1-(3,4-dichlorophenyl)ethyl]-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 139920-30-2

CMF C15 H18 Cl2 N2 O

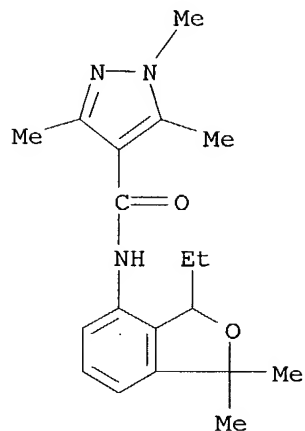


CM 2

CRN 123572-96-3

CMF C19 H25 N3 O2

10/713,201



RN 139952-42-4 CAPLUS

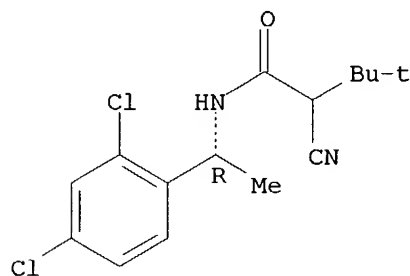
CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-cyano-N-[1-(2,4-dichlorophenyl)ethyl]-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 139920-32-4

CMF C15 H18 C12 N2 O

Absolute stereochemistry.

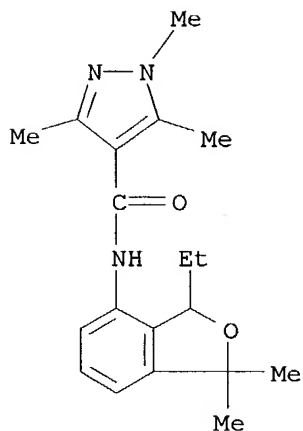


CM 2

CRN 123572-96-3

CMF C19 H25 N3 O2

10/713,201



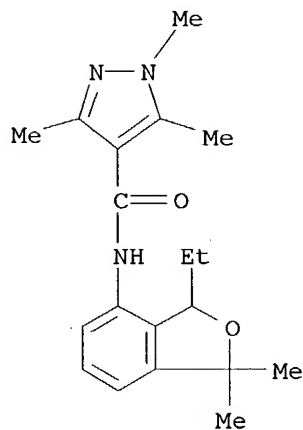
RN 139952-43-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-chlorophenyl)ethyl]-2-cyano-3,3-dimethyl-4-pentenamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

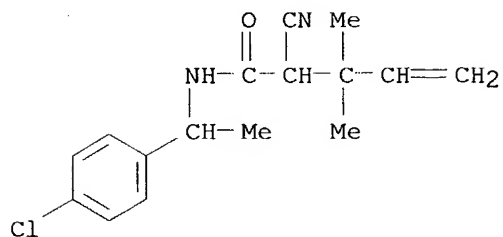
CMF C19 H25 N3 O2



CM 2

CRN 123194-87-6

CMF C16 H19 Cl N2 O



10/713,201

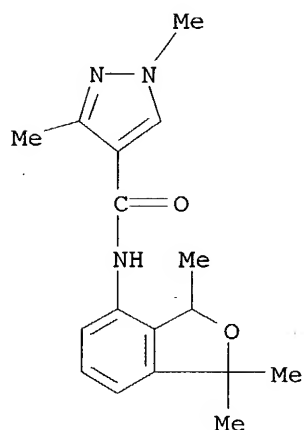
RN 139952-45-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 2-cyano-3,3-dimethyl-N-[1-[4-(trifluoromethyl)phenyl]ethyl]butanamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

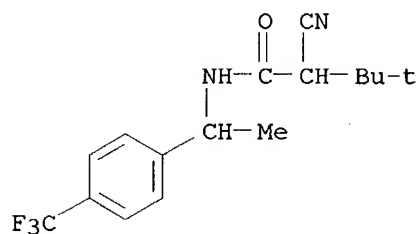
CMF C17 H21 N3 O2



CM 2

CRN 123194-68-3

CMF C16 H19 F3 N2 O



RN 139979-51-4 CAPLUS

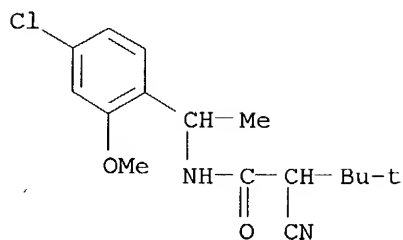
CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[1-(4-chloro-2-methoxyphenyl)ethyl]-2-cyano-3,3-dimethylbutanamide (9CI) (CA INDEX NAME)

CM 1

CRN 139920-34-6

CMF C16 H21 Cl N2 O2

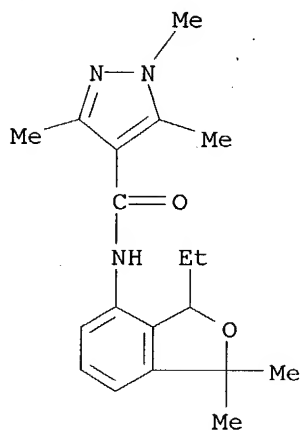
10/713,201



CM 2

CRN 123572-96-3

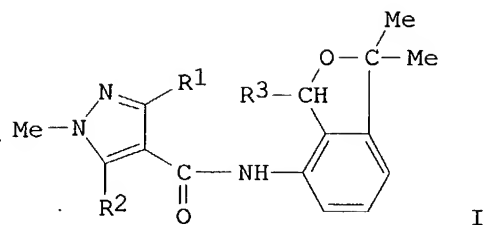
CMF C19 H25 N3 O2



L3 ANSWER 87 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:168340 CAPLUS
DOCUMENT NUMBER: 116:168340
TITLE: Synergistic antimicrobial compositions containing pyrazole derivatives for agricultural and horticultural uses.
INVENTOR(S): Takano, Jinko; Mizuguchi, Atsuo
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03284602	A2	19911216	JP 1990-84530	19900329
JP 2814679	B2	19981027		
PRIORITY APPLN. INFO.:			JP 1990-84530	19900329
OTHER SOURCE(S):		MARPAT 116:168340		
GI				

10/713,201



AB The title antimicrobial compns. contain pyrazole derivs. I (R1 = Me or CF3; R2 = H, Me, Cl; R3 = Me or Et) and pencycuron, flutolanil, validamycin A, diclomezine and/or mepronil. A powder containing I (R2 = R3 = Me, R2 = Cl) and pencycuron (0.1% + 0.5%) synergistically controlled *Rhizoctonia solani* in rice. The effectiveness was 98%, vs. 40 and 25% for the individual components, resp.

IT 140118-42-9 140118-43-0 140118-44-1
140118-45-2 140118-46-3 140118-47-4
140118-48-5 140118-49-6 140118-50-9
140118-51-0 140118-57-6 140118-58-7
140118-59-8 140118-60-1 140118-61-2

RL: BIOL (Biological study)
(synergistic agrochem. microbicide)

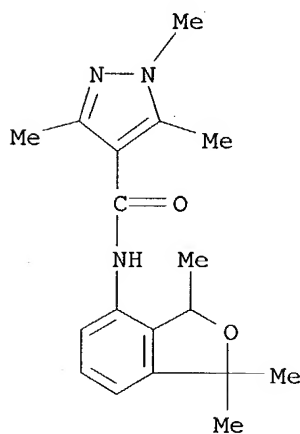
RN 140118-42-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[(4-chlorophenyl)methyl]-N-cyclopentyl-N'-phenylurea (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

CMF C18 H23 N3 O2

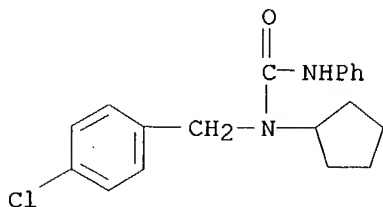


CM 2

CRN 66063-05-6

CMF C19 H21 Cl N2 O

10/713,201



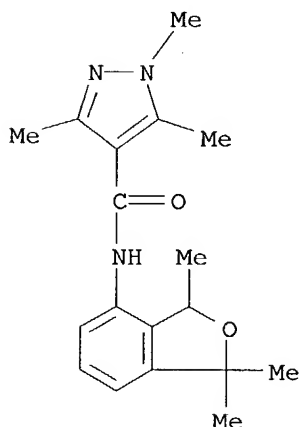
RN 140118-43-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[3-(1-methylethoxy)phenyl]-2-(trifluoromethyl)benzamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

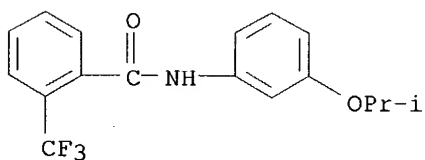
CMF C18 H23 N3 O2



CM 2

CRN 66332-96-5

CMF C17 H16 F3 N O2



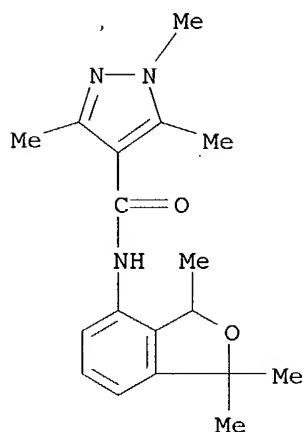
RN 140118-44-1 CAPLUS

CN D-chiro-Inositol, 1,5,6-trideoxy-4-O-β-D-glucopyranosyl-5-(hydroxymethyl)-1-[[4,5,6-trihydroxy-3-(hydroxymethyl)-2-cyclohexen-1-yl]amino]-, [1S-(1α,4α,5β,6α)]-, mixt. with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

10/713,201

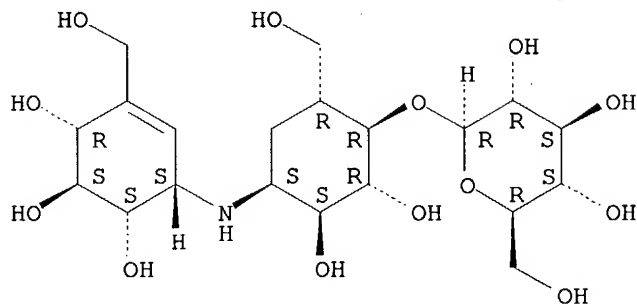
CRN 123572-91-8
CMF C18 H23 N3 O2



CM 2

CRN 37248-47-8
CMF C20 H35 N O13

Absolute stereochemistry.

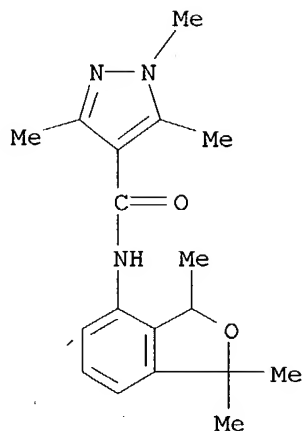


RN 140118-45-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 6-(3,5-dichloro-4-methylphenyl)-3(2H)-pyridazinone (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8
CMF C18 H23 N3 O2

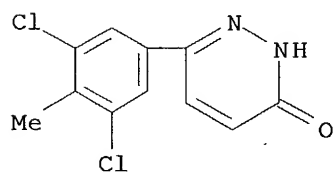
10/713,201



CM 2

CRN 62865-36-5

CMF C11 H8 C12 N2 O



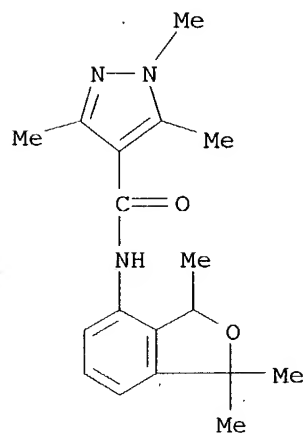
RN 140118-46-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-methyl-N-[3-(1-methylethoxy)phenyl]benzamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-91-8

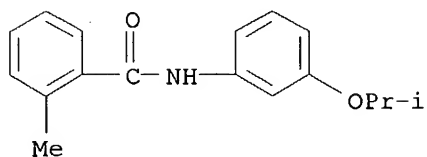
CMF C18 H23 N3 O2



10/713,201

CM 2

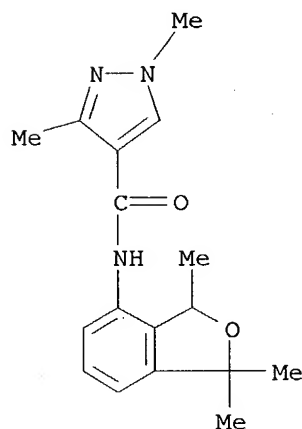
CRN 55814-41-0
CMF C17 H19 N O2



RN 140118-47-4 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with N-[(4-chlorophenyl)methyl]-N-cyclopentyl-N'-phenylurea (9CI) (CA INDEX NAME)

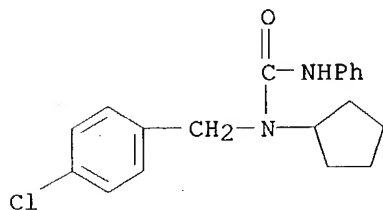
CM 1

CRN 123572-93-0
CMF C17 H21 N3 O2



CM 2

CRN 66063-05-6
CMF C19 H21 Cl N2 O



RN 140118-48-5 CAPLUS

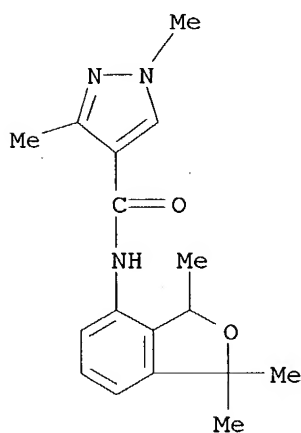
10/713,201

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with N-[3-(1-methylethoxy)phenyl]-2-(trifluoromethyl)benzamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

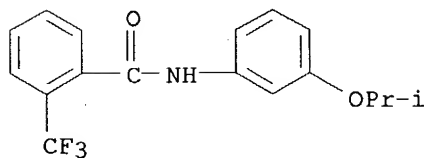
CMF C17 H21 N3 O2



CM 2

CRN 66332-96-5

CMF C17 H16 F3 N O2



RN 140118-49-6 CAPLUS

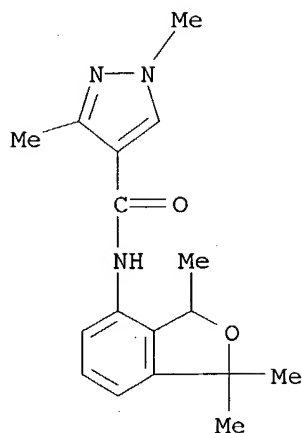
CN D-chiro-Inositol, 1,5,6-trideoxy-4-O-β-D-glucopyranosyl-5-(hydroxymethyl)-1-[[4,5,6-trihydroxy-3-(hydroxymethyl)-2-cyclohexen-1-yl]amino]-, [1S-(1α,4α,5β,6α)]-, mixt. with N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

10/713,201

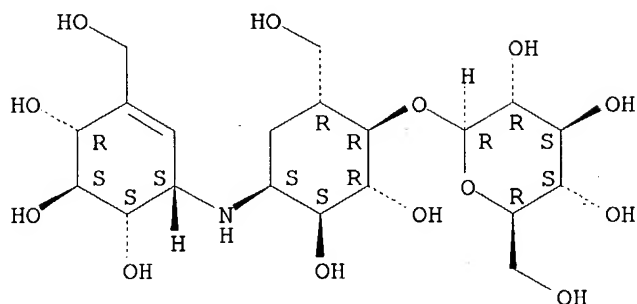


CM 2

CRN 37248-47-8

CMF C20 H35 N O13

Absolute stereochemistry.



RN 140118-50-9 CAPLUS

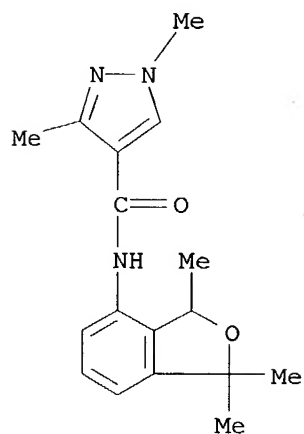
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 6-(3,5-dichloro-4-methylphenyl)-3(2H)-pyridazinone (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

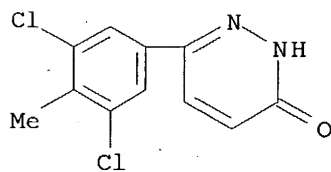
10/713,201



CM 2

CRN 62865-36-5

CMF C11 H8 C12 N2 O



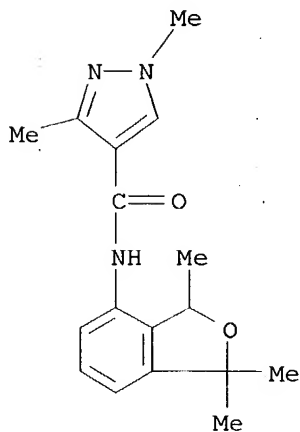
RN 140118-51-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl-, mixt. with 2-methyl-N-[3-(1-methylethoxy)phenyl]benzamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-93-0

CMF C17 H21 N3 O2

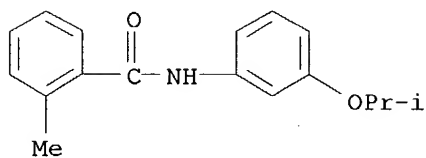


10/713,201

CM 2

CRN 55814-41-0

CMF C17 H19 N O2



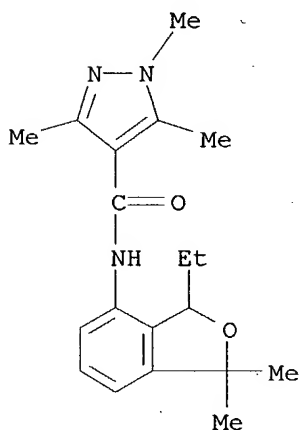
RN 140118-57-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[(4-chlorophenyl)methyl]-N-cyclopentyl-N'-phenylurea (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

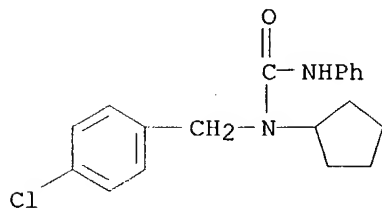
CMF C19 H25 N3 O2



CM 2

CRN 66063-05-6

CMF C19 H21 Cl N2 O



RN 140118-58-7 CAPLUS

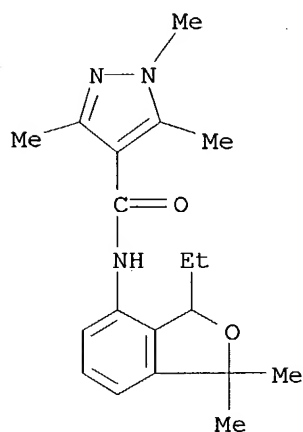
10/713,201

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with N-[3-(1-methylethoxy)phenyl]-2-(trifluoromethyl)benzamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

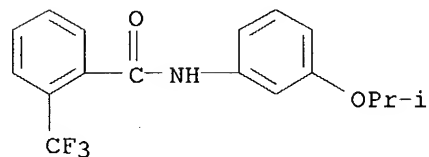
CMF C19 H25 N3 O2



CM 2

CRN 66332-96-5

CMF C17 H16 F3 N O2



RN 140118-59-8 CAPLUS

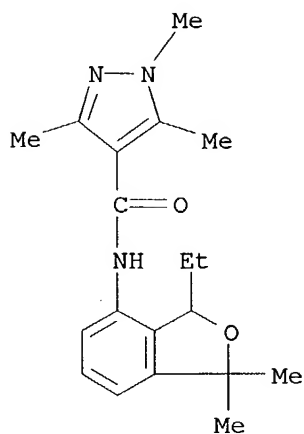
CN D-chiro-Inositol, 1,5,6-trideoxy-4-O- β -D-glucopyranosyl-5-(hydroxymethyl)-1-[[4,5,6-trihydroxy-3-(hydroxymethyl)-2-cyclohexen-1-yl]amino]-, [1S-(1 α ,4 α ,5 β ,6 α)]-, mixt. with N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-1H-pyrazole-4-carboxamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

10/713,201

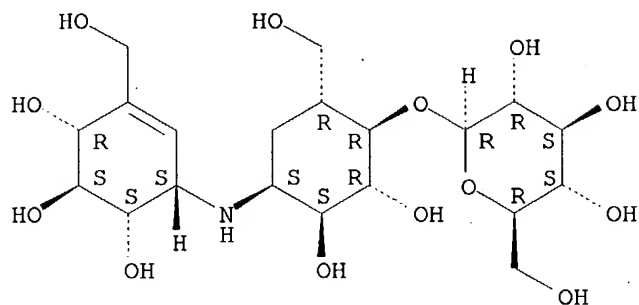


CM 2

CRN 37248-47-8

CMF C20 H35 N O13

Absolute stereochemistry.



RN 140118-60-1 CAPLUS

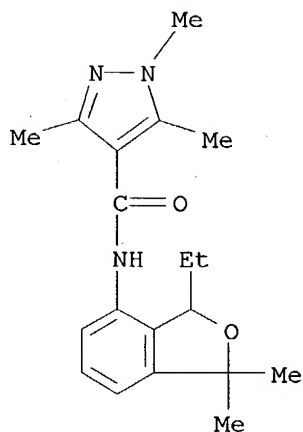
CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 6-(3,5-dichloro-4-methylphenyl)-3(2H)-pyridazinone (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

CMF C19 H25 N3 O2

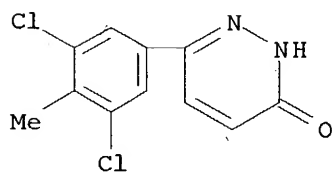
10/713,201



CM 2

CRN 62865-36-5

CMF C11 H8 C12 N2 O



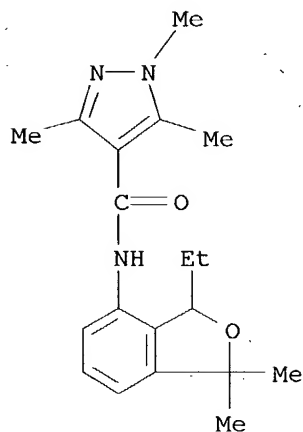
RN 140118-61-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl-, mixt. with 2-methyl-N-[3-(1-methylethoxy)phenyl]benzamide (9CI) (CA INDEX NAME)

CM 1

CRN 123572-96-3

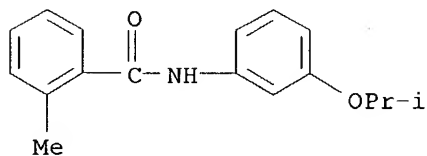
CMF C19 H25 N3 O2



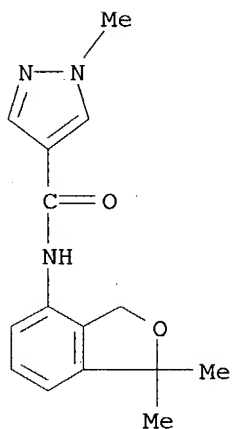
10/713,201

CM 2

CRN 55814-41-0
CMF C17 H19 N O2



IT 139679-16-6D, derivs., mixts. containing
RL: BIOL (Biological study)
(synergistic agrochem. microbicides) .
RN 139679-16-6 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-
1-methyl- (9CI) (CA INDEX NAME)



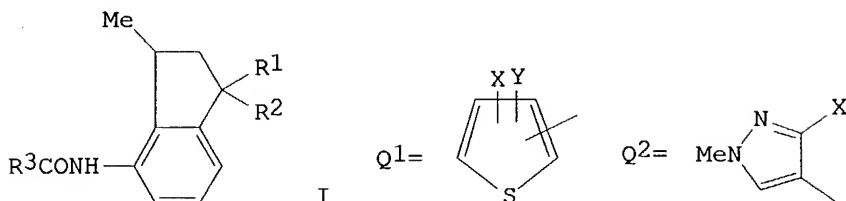
L3 ANSWER 88 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:419445 CAPLUS
DOCUMENT NUMBER: 113:19445
TITLE: Gray mold-controlling agents containing
N-indanylcarboxylic acid amides as active ingredients
INVENTOR(S): Oda, Masaji; Nakajima, Tetsuo
PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01313402	A2	19891218	JP 1988-145032	19880613
JP 2582863	B2	19970219		
PRIORITY APPLN. INFO.:			JP 1988-145032	19880613

10/713,201

OTHER SOURCE(S):
GI

MARPAT 113:19445



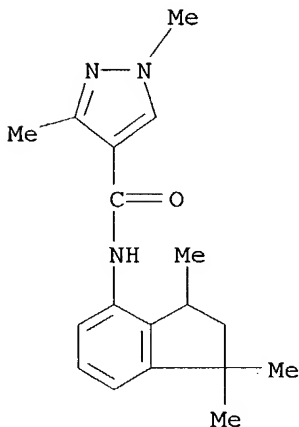
AB Gray mold-controlling agents contain N-indanylcboxylic acid amides I (R1, R2= H, lower alkyl; R3= Q1, Q2; X = halo, Me, CF3; Y = H, Me, halo) as active ingredients. N-(3-Methylthiophene-2-carbonyl)-2,2,4-trimethyl-1,2,3,4-tetrahydroquinoline in 85% H2SO4 was heated at 60° for 3 h to give 87% 3-methyl-N-(1,1,3-trimethylindan-4-yl)thiophene-2-carboxamide (II). A wettable powder comprising II 20, diatomaceous earth 75, and surfactants 5 weight parts was diluted with H2O to 200 ppm (as II) and applied to stems and leaves of cucumber in a pot to totally control Botrytis cinerea after 4 days.

IT 105113-56-2P 127697-89-6P 127697-90-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as gray mold-controlling agent)

RN 105113-56-2 CAPLUS

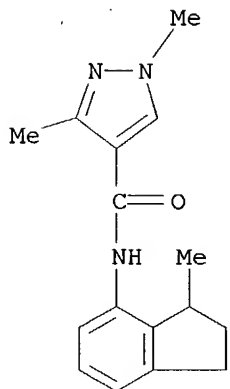
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



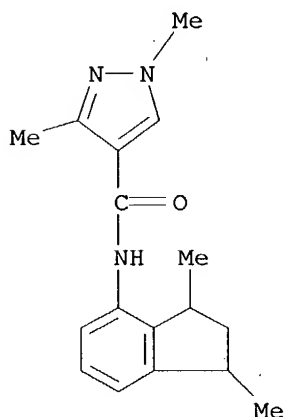
RN 127697-89-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-3-methyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



RN 127697-90-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,3-dimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 89 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:614478 CAPLUS
DOCUMENT NUMBER: 111:214478
TITLE: Preparation of 4-(pyrazole-, thiazole-,
pyridinecarboxamido or benzamido)-1,3-
dihydroisobenzofurans as agrochemical fungicides
INVENTOR(S): Mori, Tatsuya; Ohsumi, Tadashi; Nakamura, Shigeo;
Maeda, Kiyoto; Nishida, Sumio; Takano, Hiroataka
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 315502	A1	19890510	EP 1988-402637	19881019
EP 315502	B1	19930602		

R: BE, CH, DE, ES, FR, GB, IT, LI, LU, NL

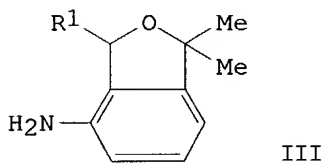
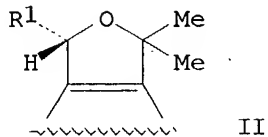
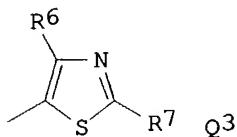
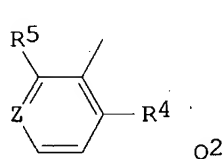
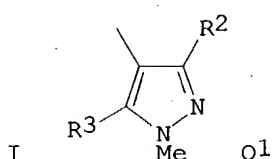
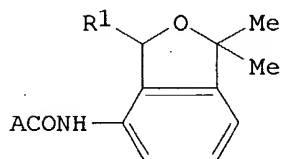
10/713,201

JP 01230579	A2	19890914	JP 1988-191919	19880729
JP 2638966	B2	19970806		
JP 01230569	A2	19890914	JP 1988-191920	19880729
JP 02131481	A2	19900521	JP 1988-193598	19880802
JP 2638968	B2	19970806		
US 4877441	A	19891031	US 1988-259283	19881018
ES 2054846	T3	19940816	ES 1988-402637	19881019
CA 1327583	A1	19940308	CA 1988-581249	19881025
DK 8806173	A	19890507	DK 1988-6173	19881104
AU 8824700	A1	19890511	AU 1988-24700	19881104
AU 607274	B2	19910228		
BR 8805744	A	19890718	BR 1988-5744	19881104
KR 9711303	B1	19970709	KR 1988-14526	19881105
US 5004816	A	19910402	US 1989-382854	19890720

PRIORITY APPLN. INFO.:

JP 1987-281563	A	19871106
JP 1987-281564	A	19871106
JP 1987-281565	A	19871106
JP 1988-177751	A	19880715
JP 1988-191919	A	19880729
JP 1988-191920	A	19880729
JP 1988-193598	A	19880802
US 1988-259283	A3	19881018

OTHER SOURCE(S): CASREACT 111:214478; MARPAT 111:214478
GI



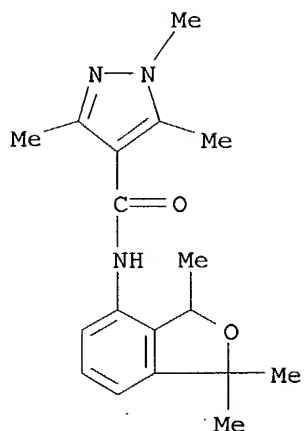
AB The title compds (I, A = Q1, Q2, Q3; R1 = Me, Et; R2, R6 = Me, Et, CF3; R3 = H, Me, halo; R4 = H, F; R5 = Me, NO2, CF3, halo; R7 = Me, NH2, Cl; Z = CH, N) and II (A = Q1) are prepared, e.g., from aminoisobenzofurans III. Treatment of III (R1 = Me) with Q1COCl (R2 = Me, R3 = Cl) in THF in the presence of Et3N gave I (A = Q1, R1 = R2 = Me, R3 = Cl), which, at 25 ppm, gave 100% control of Rhizoctonia solani compared to little or no control for mepronil at 25 ppm. A wettable powder was formulated containing I 50, Ca lignosulfonate 3, Na lauryl sulfate 2, and synthetic hydrated SiO2 45 weight parts.

IT 123572-91-8P 123572-93-0P 123572-96-3P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agrochem. fungicide)

RN 123572-91-8 CAPLUS

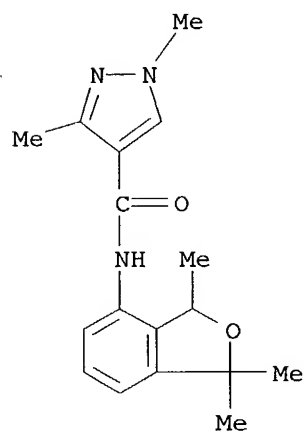
CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)

10/713,201



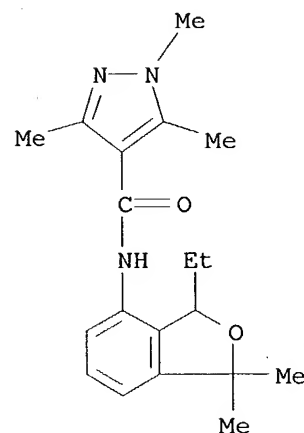
RN 123572-93-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(1,3-dihydro-1,1,3-trimethyl-4-isobenzofuranyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



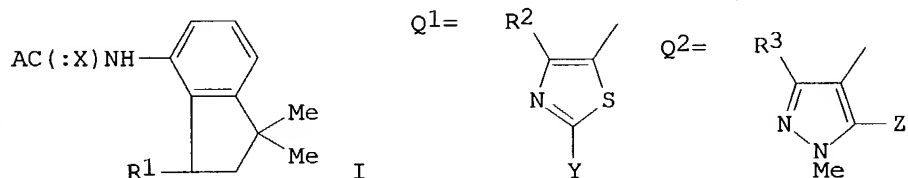
RN 123572-96-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-ethyl-1,3-dihydro-1,1-dimethyl-4-isobenzofuranyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 90 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1989:8205 CAPLUS
 DOCUMENT NUMBER: 110:8205
 TITLE: Preparation and testing of thiazolyl- and
 pyrazolylacylindoles as agrochemical fungicides
 INVENTOR(S): Ohsumi, Tadashi; Tsushima, Kazunori; Nishida, Sumio;
 Maeda, Kiyoto; Ooishi, Tadashi; Matsuo, Noritada
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 33 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 276177	A1	19880727	EP 1988-400030	19880107
EP 276177	B1	19920129		
R: DE, FR, GB, IT, NL				
JP 63307865	A2	19881215	JP 1987-28574	19870210
JP 2552846	B2	19961113		
US 4837242	A	19890606	US 1987-139607	19871230
JP 63307859	A2	19881215	JP 1988-9218	19880118
AU 8810373	A1	19880721	AU 1988-10373	19880119
AU 598624	B2	19900628		
PRIORITY APPLN. INFO.:			JP 1987-11666	A 19870120
			JP 1987-11667	A 19870120
			JP 1987-28574	A 19870210
OTHER SOURCE(S):			CASREACT 110:8205; MARPAT 110:8205	
GI				



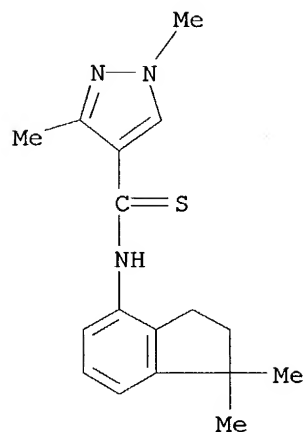
AB The title compds. (I; R1 = H, Me; R2 = Me, Et, CF3; R3 = Me, CF3; A = Q1, Q2; Y = amino, Me, Cl; Z = H, halo, Me) useful as agrochem. fungicides, were prepared 1,1-Dimethyl-4-aminoindane and pyridine in THF were treated with 2-chloro-5-methylthiazole-5-carbonyl chloride in THF at 5° to give N-(1,1-dimethyl-4-indanyl)--2-chloro-4-methylthiazole-5-carboxamide. The latter at 12.5 ppm on rice gave 100% control of Rhizoctonia solani.

IT 117724-51-3P 117724-52-4P 117724-55-7P
 117724-56-8P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agrochem. fungicide)

RN 117724-51-3 CAPLUS

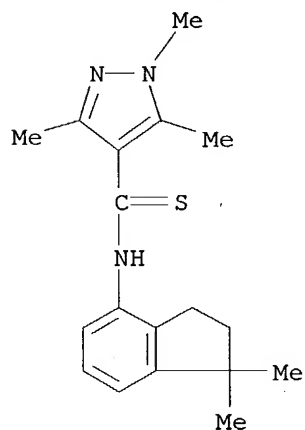
CN 1H-Pyrazole-4-carbothioamide, N-(2,3-dihydro-1,1-dimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



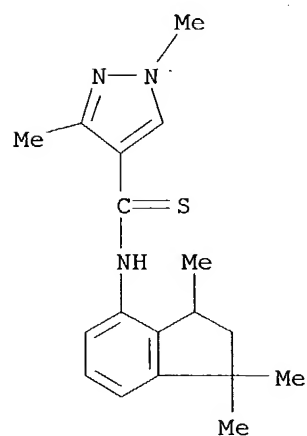
RN 117724-52-4 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, N-(2,3-dihydro-1,1-dimethyl-1H-inden-4-yl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



RN 117724-55-7 CAPLUS

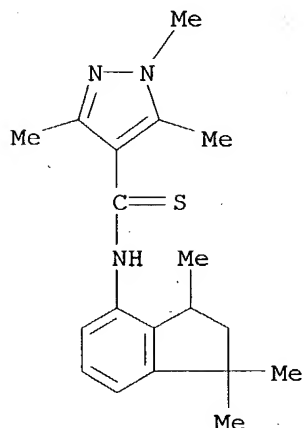
CN 1H-Pyrazole-4-carbothioamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



10/713,201

RN 117724-56-8 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)

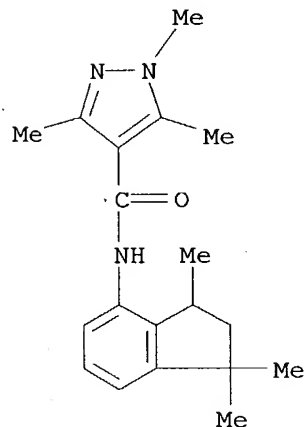


IT 105113-55-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfuration of, in preparation of agrochem. fungicide)

RN 105113-55-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 91 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:570168 CAPLUS

DOCUMENT NUMBER: 109:170168

TITLE: Chemistry of C-heteroarylhydrazidoyl halides.
Synthesis and reactions of N-(p-nitrophenyl)-C-(2-thienyl)formohydrazidoyl halides

AUTHOR(S): Hassaneen, Hamdi M.; Mousa, Hiyam A. H.; Abed, Nosrat M.; Shawali, Ahmad S.

CORPORATE SOURCE: Sci. Dep., Girls Coll., Riyadh, Saudi Arabia

SOURCE: Heterocycles (1988), 27(3), 695-706

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

10/713,201

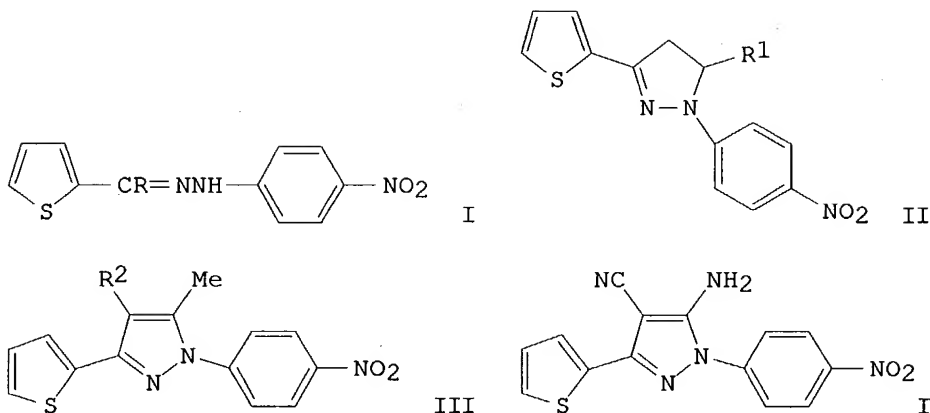
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 109:170168

GI



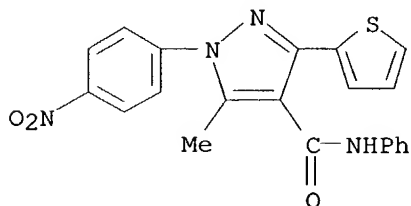
AB Substitution reaction of the title compds. I (R = Br, Cl) with nucleophiles, e.g. NaCN, NaSPh, PhNHNH₂ gave I (R = CN, SPh, NHNHPh), resp. I (R = Br, Cl) were treated with Et₃N-CHCl₃ to generate an intermediate nitrilimine in situ which underwent cycloaddn. with, e.g. CH₂:CHR₁ (R₁ = CONH₂, COMe, cyano) to regioselectively give pyrazolines II. Similarly, cycloaddn. of I (R = Cl) with active methylene compds., e.g. MeCOCH₂R₂ (R₂ = MeCO, EtO₂C) or NCCH₂CN in the presence of NaOEt gave pyrazoles III and IV, resp. The cycloaddn. regioselectivity is discussed in terms of frontier MOs.

IT **116922-48-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 116922-48-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-(4-nitrophenyl)-N-phenyl-3-(2-thienyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 92 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:549412 CAPLUS

DOCUMENT NUMBER: 109:149412

TITLE: Chemistry of C-heteroarylnitrilimines. Synthesis and cycloaddition reactions of N-phenyl-C-(2-thienyl)nitrilimine

AUTHOR(S): Hassaneen, Hamdi M.; Mousa, Hiyam A. H.; Shawali, Ahmad S.

CORPORATE SOURCE: Sci. Dep., Girls Coll., Riyadh, Saudi Arabia

SOURCE: Journal of Heterocyclic Chemistry (1987), 24(6),

10/713,201

1665-8

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE:

Journal

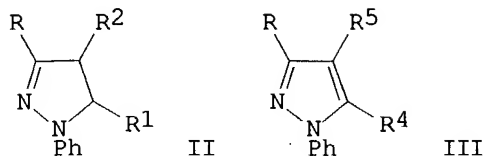
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 109:149412

GI



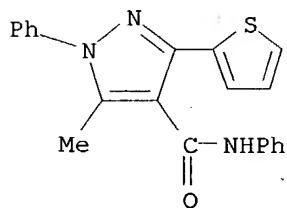
AB The title nitrilimine, $RC^+::NN-Ph$ (I, $R = 2$ -thienyl), generated in situ from N -phenyl- C -(2-thienyl)formohydrazidoyl chloride in the presence of Et_3N , reacts with acrylonitrile, acrylamide and arylideneacetophenones to give exclusively the 5-substituted 2-pyrazoline derivs., II ($R_1 = CN$, $CONH_2$, $R_2 = H$; $R_1 = Bz$, $R_2 = 4-R_3C_6H_4$, $R_3 = H, Me, MeO, Cl, NO_2$). Cycloaddn. of I to coumarin, benzalmalononitrile and the enolate anions of active methylene compds. yield the pyrazole derivs. e.g. III ($R_4 = Ac$, CO_2Et , $CONHPh$, $R_5 = Me$; $R_4 = Bz$, CN , $R_5 = Ph$). The structures of the cycloadducts prepared were characterized on the basis of spectroscopic and chemical evidence. The regioselectivity is discussed in terms of the frontier orbital theory.

IT **116709-44-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 116709-44-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl- N ,1-diphenyl-3-(2-thienyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 93 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:524408 CAPLUS

DOCUMENT NUMBER: 109:124408

TITLE: Preparation of 3'-isopropoxy-2'-methylanilides as fungicides

INVENTOR(S): Nishida, Sumio; Matsuo, Noritada; Maeda, Kyoto; Inoue, Satoru

PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

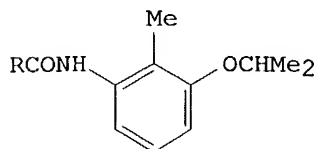
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/713,201

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62249975	A2	19871030	JP 1986-92592	19860422
PRIORITY APPLN. INFO.:			JP 1986-92592	19860422
GI				



I

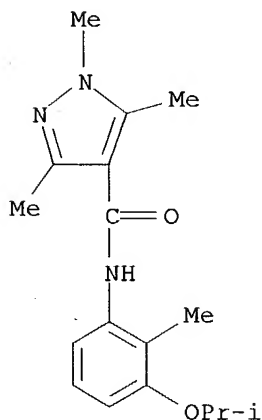
AB The title compds. [I; R = (substituted) heterocyclyl], useful as agrochem. fungicides, are prepared As a model synthetic example, 2-methyl-3-isopropoxyaniline was stirred with 2-CF₃C₆H₄COCl in toluene containing pyridine at room temperature for 12 h to give 3'-isopropoxy-2'-methyl-2-(trifluoromethyl)benzanilide. At 50 ppm I (R = 1,3,5-trimethyl-1H-pyrazol-4-yl) is 100% effective against Rhizoctonia oryzae. An aqueous formulation containing I 50, Ca ligninsulfonate 3, Na laurylsulfate 2, and synthetic water-containing silicon hydroxide 45 parts was prepared

IT 116340-06-8P 116340-15-9P 116340-16-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agrochem. fungicide)

RN 116340-06-8 CAPLUS

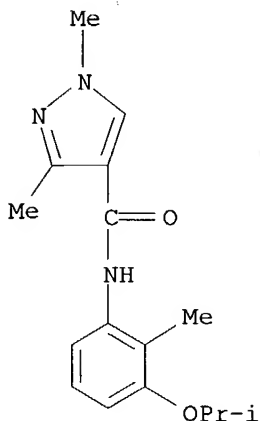
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[2-methyl-3-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)



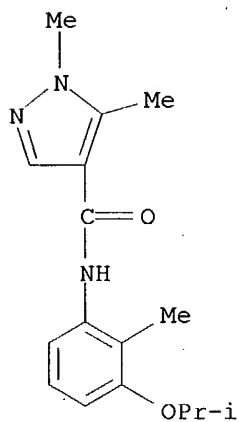
RN 116340-15-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-[2-methyl-3-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)

10/713,201



RN. 116340-16-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,5-dimethyl-N-[2-methyl-3-(1-methylethoxy)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 94 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:496715 CAPLUS
DOCUMENT NUMBER: 107:96715
TITLE: Preparation of N-methyl-(1,1,3,trimethylindan-4-yl)pyrazole-4-carboxamide derivatives as agricultural fungicides
INVENTOR(S): Nishida, Sumio; Tsushima, Kazuhiro; Matsuo, Noritada; Osumi, Tadashi; Maeda, Kyoto; Inoue, Satoru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

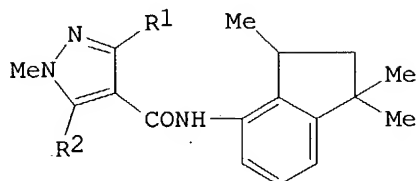
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62096472	A2	19870502	JP 1985-236198	19851022
JP 06004594	B4	19940119		

10/713,201

PRIORITY APPLN. INFO.:
GI

JP 1985-236198

19851022



I

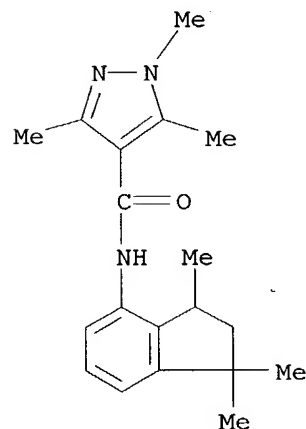
AB The title compds. (I; R1, R2 = H, halo, Me, CF3) and agricultural fungicides containing I were prepared 5-Chloro-1,3-dimethylpyrazole-4-carbonyl chloride (1.93 g) in toluene was added dropwise to a solution of 1.75 g 1,1,3-trimethyl-4-aminoindan in pyridine and toluene, and the mixture was stirred for 12 h at room temperature to give 2.72 g I (R1 = Me, R2 = Cl). Spraying an emulsion containing 6.3-50 ppm I completely inhibited the growth of *Puccinia vecondita* in wheat.

IT 105113-55-1P 105113-56-2P 105113-57-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as agricultural fungicide)

RN 105113-55-1 CAPLUS

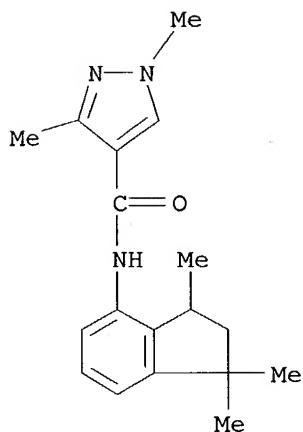
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



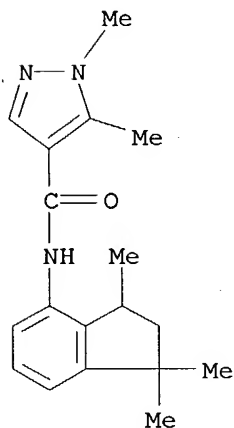
RN 105113-56-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)

10/713,201

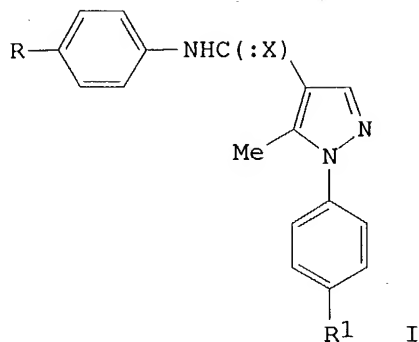


RN 105113-57-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,5-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 95 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:458919 CAPLUS
DOCUMENT NUMBER: 107:58919
TITLE: Reaction of arylamides of α -
[(phenylamino)methylidene]- β -oxo(thiono)butyric
acid with hydroxylamine and substituted hydrazines
AUTHOR(S): Borisevich, A. N.; Romanenko, E. A.; Lozinskii, M. O.;
Samoilenko, L. S.
CORPORATE SOURCE: Inst. Org. Khim., Kiev, USSR
SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition)
(1986), 52(6), 641-7
CODEN: UKZHAU; ISSN: 0041-6045
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 107:58919
GI

10/713,201



AB Cyclocondensation of $\text{MeCOC}(:\text{CHNHPH})\text{C}(:\text{X})\text{NHC}_6\text{H}_4\text{R}-4$ with $4\text{-R}_1\text{C}_6\text{H}_4\text{NHNH}_2$ gave pyrazoles I (R, R₁, X, and % yield = Cl, H, O, 80; MeO, H, O, 77; Cl., NO₂, O, 35; H, NO₂, O, 24; H, SO₂NH₂, O, 70; H, H, S, 68; H, Br, S, 54; H, SO₂NH₂, S, 70; H, NO₂, S, 70).

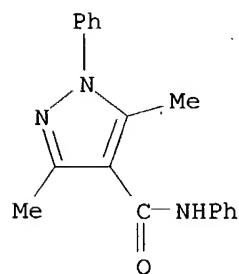
IT **61747-92-0 109466-44-6**

RL: PRP (Properties)

(NMR of, solvent effect on)

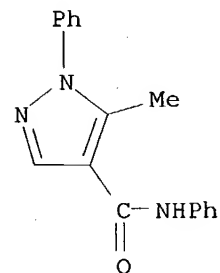
RN 61747-92-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



RN 109466-44-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



IT **109466-29-7P 109466-30-0P 109466-31-1P**

109466-32-2P 109466-33-3P 109466-34-4P

109466-35-5P 109466-36-6P 109466-37-7P

109466-38-8P 109466-39-9P

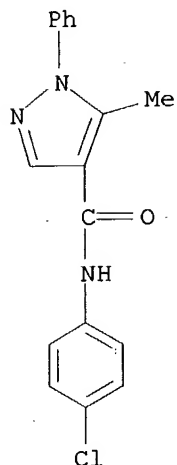
RL: SPN (Synthetic preparation); PREP (Preparation)

10/713,201

(preparation of)

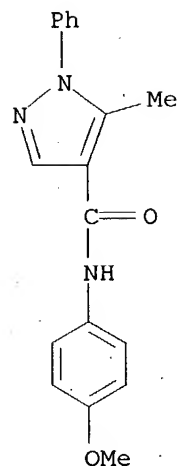
RN 109466-29-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 109466-30-0 CAPLUS

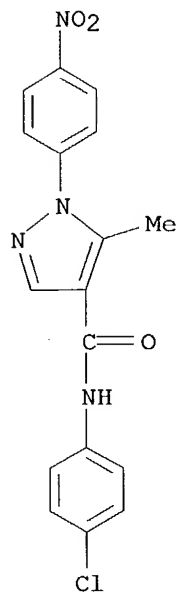
CN 1H-Pyrazole-4-carboxamide, N-(4-methoxyphenyl)-5-methyl-1-phenyl- (9CI)
(CA INDEX NAME)



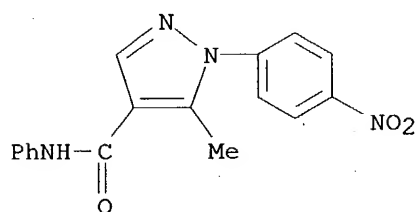
RN 109466-31-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-5-methyl-1-(4-nitrophenyl)-
(9CI) (CA INDEX NAME)

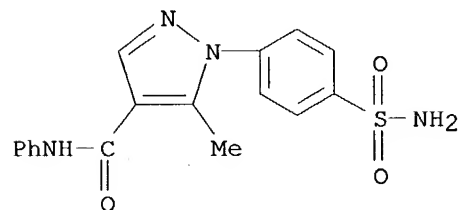
10/713,201



RN 109466-32-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 5-methyl-1-(4-nitrophenyl)-N-phenyl- (9CI) (CA INDEX NAME)

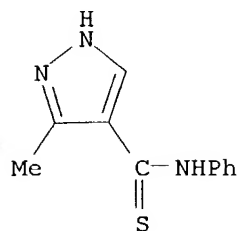


RN 109466-33-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-[4-(aminosulfonyl)phenyl]-5-methyl-N-phenyl- (9CI) (CA INDEX NAME)

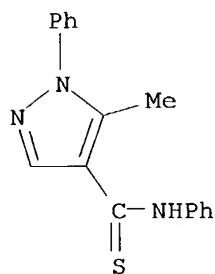


RN 109466-34-4 CAPLUS
CN 1H-Pyrazole-4-carbothioamide, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)

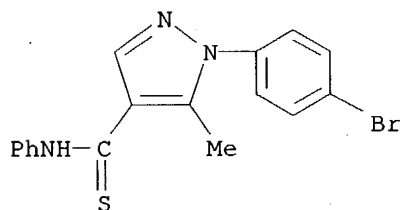
10/713,201



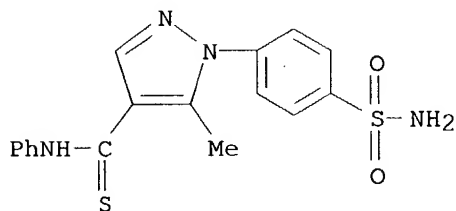
RN 109466-35-5 CAPLUS
CN 1H-Pyrazole-4-carbothioamide, 5-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



RN 109466-36-6 CAPLUS
CN 1H-Pyrazole-4-carbothioamide, 1-(4-bromophenyl)-5-methyl-N-phenyl- (9CI) (CA INDEX NAME)

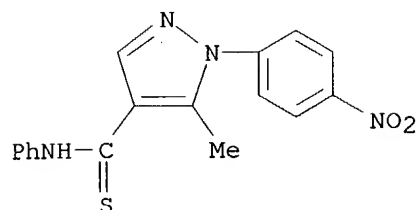


RN 109466-37-7 CAPLUS
CN 1H-Pyrazole-4-carbothioamide, 1-[4-(aminosulfonyl)phenyl]-5-methyl-N-phenyl- (9CI) (CA INDEX NAME)

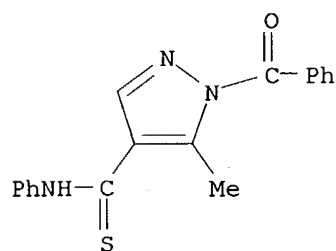


RN 109466-38-8 CAPLUS
CN 1H-Pyrazole-4-carbothioamide, 5-methyl-1-(4-nitrophenyl)-N-phenyl- (9CI) (CA INDEX NAME)

10/713,201



RN 109466-39-9 CAPLUS
CN 1H-Pyrazole-4-carbothioamide, 1-benzoyl-5-methyl-N-phenyl- (9CI) (CA
INDEX NAME)



L3 ANSWER 96 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:591073 CAPLUS
DOCUMENT NUMBER: 105:191073
TITLE: Pyrazolecarboxamide derivatives, and fungicides
containing them as effective ingredients
INVENTOR(S): Nishida, Sumio; Ohsumi, Tadashi; Tsushima, Kazunori;
Matsuo, Noritada; Maeda, Kiyoto; Inoue, Satoru
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8602641	A1	19860509	WO 1985-JP591	19851022
W: BR, KR, US				
RW: CH, DE, FR, GB, IT, NL				
JP 61106559	A2	19860524	JP 1984-227462	19841029
JP 61280480	A2	19861211	JP 1985-121947	19850605
JP 06004592	B4	19940119		
JP 62010066	A2	19870119	JP 1985-150935	19850708
JP 06004593	B4	19940119		
EP 199822	A1	19861105	EP 1985-905236	19851022
EP 199822	B1	19900110		
R: CH, DE, FR, GB, IT, LI, NL				
BR 8506974	A	19861223	BR 1985-6974	19851022
CA 1262735	A1	19891107	CA 1985-496744	19851203
US 4742074	A	19880503	US 1986-852967	19860331

10/713,201

PRIORITY APPLN. INFO.:

JP 1984-227462

A 19841029

JP 1985-121947

A 19850605

JP 1985-150935

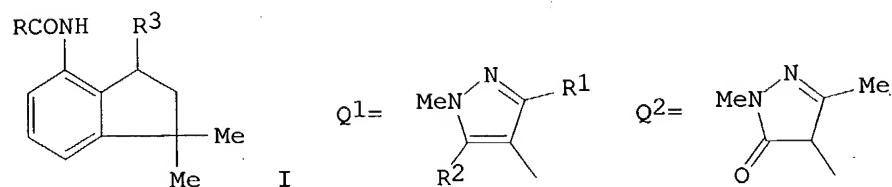
A 19850708

WO 1985-JP591

W 19851022

OTHER SOURCE(S):
GI

CASREACT 105:191073



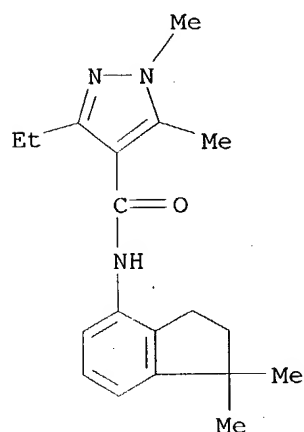
AB The title compds. (I; R = Q1; R¹, R² = H, halo, Me, Et, CF₃; R³ = H, Me; n = 0, 1), useful as plant fungicides, were prepared. Addition reaction of Q2H with 1,1-dimethylindan-4-yl isocyanate in MePh containing Et₃N and chlorination of the resulting I (R = Q2; R³ = H; n = 0) with POCl₃ gave I (R = Q1; R¹ = Me; R² = Cl; R³ = H, n = 0). This was fluorinated with KF in sulfolane/MeC₆H₅ at 180-200° for 16 h to give I (R² = F) or catalytic hydrogenation over Pd/C gave I (R² = H). I were effective against Rhizoctonia solani, etc., at 10 ppm.

IT 105113-25-5P 105113-45-9P 105113-47-1P
105113-48-2P 105113-55-1P 105113-56-2P
105113-57-3P

RL: SPN (Synthetic preparation); PREP. (Preparation)
(preparation of, as plant fungicide)

RN 105113-25-5 CAPLUS

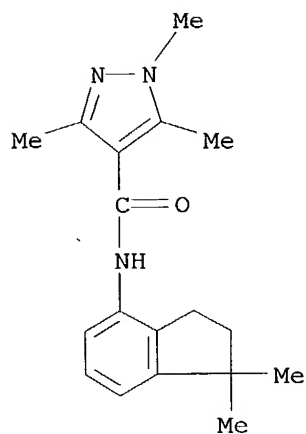
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1-dimethyl-1H-inden-4-yl)-3-ethyl-1,5-dimethyl- (9CI) (CA INDEX NAME)



RN 105113-45-9 CAPLUS

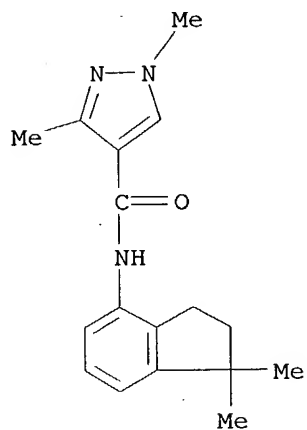
CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1-dimethyl-1H-inden-4-yl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)

10/713,201



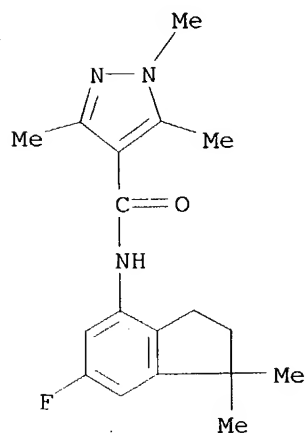
RN 105113-47-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1-dimethyl-1H-inden-4-yl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 105113-48-2 CAPLUS

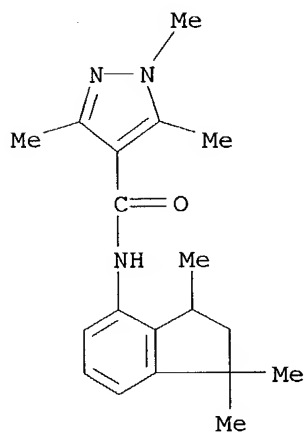
CN 1H-Pyrazole-4-carboxamide, N-(6-fluoro-2,3-dihydro-1,1-dimethyl-1H-inden-4-yl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



10/713,201

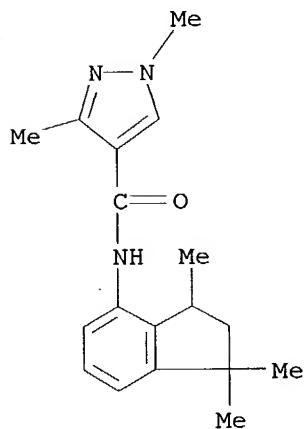
RN 105113-55-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,3,5-trimethyl- (9CI) (CA INDEX NAME)



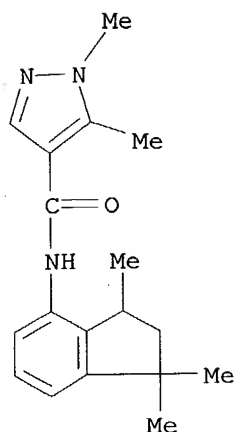
RN 105113-56-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 105113-57-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dihydro-1,1,3-trimethyl-1H-inden-4-yl)-
1,5-dimethyl- (9CI) (CA INDEX NAME)



L3 ANSWER 97 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:220649 CAPLUS

DOCUMENT NUMBER: 104:220649

TITLE: Pyrazole carboxanilide fungicides. I. Correlation of mitochondrial electron transport inhibition and anti-fungal activity

AUTHOR(S): White, G. A.; Phillips, J. N.; Huppatz, J. L.; Witrzens, B.; Grant, S. J.

CORPORATE SOURCE: Res. Cent., Agric. Canada, London, ON, N6A 5B7, Can.
SOURCE: Pesticide Biochemistry and Physiology (1986), 25(2), 163-8

CODEN: PCBPBS; ISSN: 0048-3575

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of carboxin-like compds., the N-methylpyrazole carboxanilides and their mono- and di-Me derivs. were assayed as inhibitors of succinate dehydrogenase [9002-02-2] enzyme complexes (SDCs) isolated from *Ustilago maydis*, *Rhizoctonia solani*, *Gaeumannomyces graminis*, and *Fusarium oxysporum*. The pattern of inhibitory activity within the series was broadly similar for each of the fungi, although minor differences indicated some structural variation between the enzyme complexes. There was a general correlation between inhibition of the SDCs isolated from *R. solani* and *G. graminis* and inhibition of mycelial growth of these same organisms which was consistent with the primary mode of action of these compds. being interference with mitochondrial electron transport. No such correlation was evident with *F. oxysporum*, where some of the compds. showed activity against the SDC but none had any effect on fungal growth. Apparently, if SDC inhibitory activity is the primary determinant of the antifungal activity of these compds. it does not necessarily determine their antifungal specificity. Some possible explanations are offered.

IT 61747-84-0 64429-34-1 85290-81-9

89202-83-5

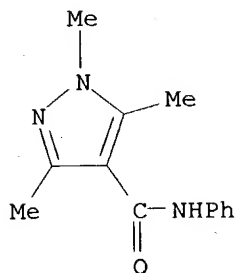
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study);
USES (Uses)

(fungicidal activity of, mitochondrial electron transport inhibition in relation to)

RN 61747-84-0 CAPLUS

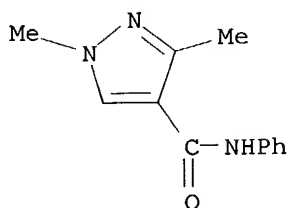
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-phenyl- (9CI) (CA INDEX NAME)

10/713,201



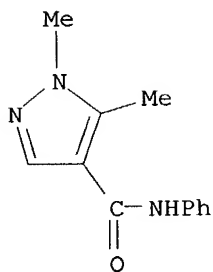
RN 64429-34-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



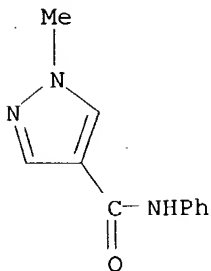
RN 85290-81-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 89202-83-5 CAPLUS

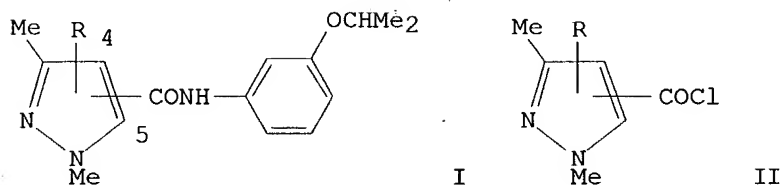
CN 1H-Pyrazole-4-carboxamide, 1-methyl-N-phenyl- (9CI) (CA INDEX NAME)



10/713,201

DOCUMENT NUMBER: 103:160502
TITLE: Pyrazolecarboxanilide derivatives
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60034949	A2	19850222	JP 1983-143278	19830805
PRIORITY APPLN. INFO.:			JP 1983-143278	19830805
OTHER SOURCE(S):	CASREACT	103:160502		
GI				



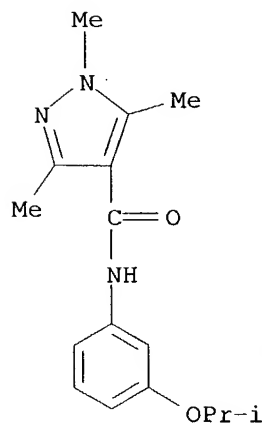
AB Pyrazolecarboxanilide derivs. I (R = H, Me) were prepared by amidation of II with 3-Me₂CHOC₆H₄NH₂ (III) and used as agricultural antibacterials (data shown against *Rhizoctonia solani* and *Puccinia recondita*). Thus, refluxing 3.1 g 1,3,5-trimethylpyrazole-4-carboxylic acid with SOCl₂ gave the acid chloride, which was added to a mixture of 3.3 g III and 2.1 g pyridine in Et₂O at 0° to give 2 g I (R = 5-Me).

IT 98298-65-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)

RN 98298-65-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[3-(1-methylethoxy)phenyl]-
(9CI) (CA INDEX NAME)



10/713,201

L3 ANSWER 99 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:103450 CAPLUS
DOCUMENT NUMBER: 102:103450
TITLE: Silver halide color photographic material
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59159163	A2	19840908	JP 1983-33447	19830301
JP 03022615	B4	19910327		
PRIORITY APPLN. INFO.:			JP 1983-33447	19830301

GI For diagram(s), see printed CA Issue.

AB A Ag halide color photog. material contains a 2-equivalent yellow coupler I
[R1 = aliphatic, aromatic, heterocycle; R2 = aromatic, heterocycle; Z1, Z2 =
atoms

to form 5- or 6-membered N-containing heterocyclic ring; Z3 = divalent organic
group; n = 0, 1]. The material showed low fog and high sensitivity and
γ.

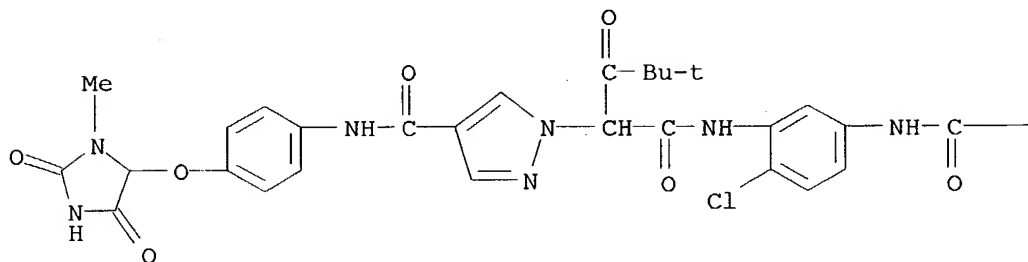
IT 95050-18-3

RL: TEM (Technical or engineered material use); USES (Uses)
(photog. yellow coupler)

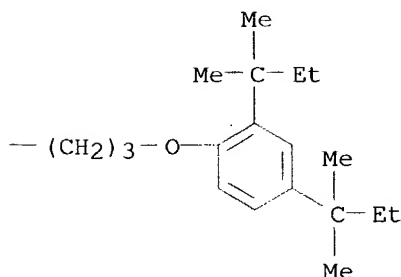
RN 95050-18-3 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-[5-[[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-
oxobutyl]amino]-2-chlorophenyl]-α-(2,2-dimethyl-1-oxopropyl)-4-[[4-
[(3-methyl-2,5-dioxo-4-imidazolidinyl)oxy]phenyl]amino]carbonyl]- (9CI)
(CA INDEX NAME)

PAGE 1-A

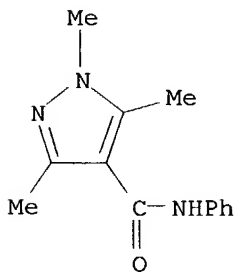


PAGE 1-B

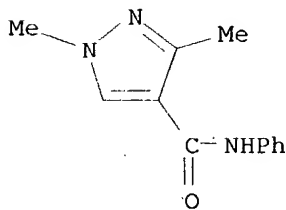


10/713,201

L3 ANSWER 100 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:116332 CAPLUS
DOCUMENT NUMBER: 100:116332
TITLE: Structure-activity relationships in a series of
fungicidal pyrazolecarboxanilides
AUTHOR(S): Huppatz, John L.; Phillips, John N.; Witrzens, Barbara
CORPORATE SOURCE: Div. Plant Ind., CSIRO, Canberra, 2601, Australia
SOURCE: Agricultural and Biological Chemistry (1984), 48(1),
45-50
CODEN: ABCHA6; ISSN: 0002-1369
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The fungicidal activity (in vivo and in vitro) of a number of mono-, di-, and
tri-Me derivs. of pyrazolecarboxanilides against *Rhizoctonia solani*
depended on their structure, e.g., optimum fungicidal activity was associated
with anilides with a Me group on the β -C. Compds. which lacked a Me
group adjacent to the anilide function, e.g., N-phenyl-1-methylpyrazole-3-
carboxamide [89202-82-4] and N-phenyl-1,5-dimethylpyrazole-3-carboxamide
[89202-84-6], were very weakly fungitoxic. N-Phenyl-1,3-dimethylpyrazole-
4-carboxamide [64429-34-1], showed high fungitoxicity. Some of
these pyrazole derivs., e.g. N-phenyl-1-methylpyrazole-5-carboxamide
[89202-81-3] and N-phenyl-1,4-dimethylpyrazole-5-carboxamide
[89202-85-7], showed phytotoxic activity to cotton leaves. The synthesis
of these pyrazole fungicides is described.
IT 61747-84-0 64429-34-1 85290-81-9
RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); BIOL (Biological study);
USES (Uses)
(fungicidal activity of, against *Rhizoctonia solani*)
RN 61747-84-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-phenyl- (9CI) (CA INDEX
NAME)



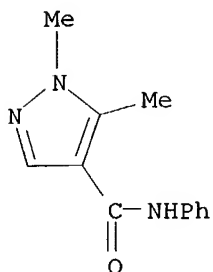
RN 64429-34-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



10/713,201

RN 85290-81-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)

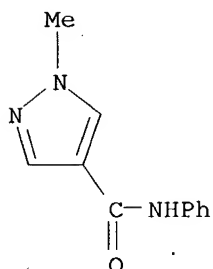


IT 89202-83-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and fungicidal activity of, against Rhizoctonia solani)

RN 89202-83-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 101 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:622301 CAPLUS

DOCUMENT NUMBER: 99:222301

TITLE: Photosensitive photographic silver halide material

INVENTOR(S): Hidetoshi, Kobayashi; Toshirou, Takahashi; Shigeo, Hirano; Takeshi, Hirose; Keiichi, Adachi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd. , Japan

SOURCE: Ger. Offen., 125 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3209110	A1	19821021	DE 1982-3209110	19820312
DE 3209110	C2	19880728		
JP 57150845	A2	19820917	JP 1981-36051	19810313
JP 63023533	B4	19880517		
GB 2097140	A	19821027	GB 1982-7268	19820312
GB 2097140	B2	19841003		

10/713,201

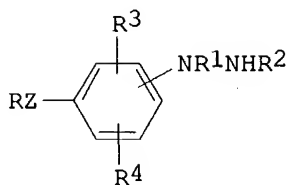
US 4390618
PRIORITY APPLN. INFO.:
GI

A

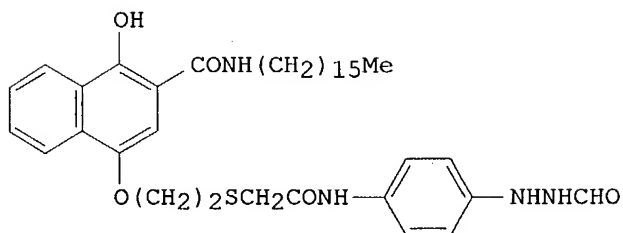
19830628

US 1982-357930
JP 1981-36051

19820315
19810313



I



II

AB Development accelerator-releasing couplers of the formula I (R = a nucleus that can couple with the oxidation product of a primary amine through removal of a H atom from the active position of the nucleus; R1 = formyl, acyl, sulfonyl, alkoxycarbonyl, carbamoyl, or sulfamoyl; R2 = H, acetyl, ethoxycarbonyl, or methanesulfonyl; R3, R4 = H, lower alkyl, lower alkoxy, or halogen; and Z = a divalent group) are described for use in color photog. Color photog. materials using these compds. show a high sensitivity and are capable of producing images with good grain and a high color d. Thus, a subbed cellulose triacetate support was coated with a solution prepared by dissolving 1-hydroxy-2-[γ-(2,4-di-tert-amylphenoxy)butyl]naphthamide 100 g and II 10 mol. % (based on the main coupler) in di-Bu phthalate 100 and EtOAc 100 mL, stirring this solution at high speed into 10% aqueous gelatin 1 kg, adding 350 g of this resultant emulsion to a red-sensitive gelatin-Ag(Br,I) emulsion (Ag 50 g, gelatin 60 g, and I- 6 mol %), and then adding a 2% aqueous solution of 2-hydroxy-4,6-dichloro-s-triazine Na salt 50 mL at 2.25 g Ag/m2. After addition of a gelatin protective layer, the material was exposed and processed to show a fog of 0.07, a relative sensitivity of 400, a shoulder d. of 1.98, and a γ of 1.9 vs. 0.06, 100, 1.83, and 1.2, resp., for a II-free control.

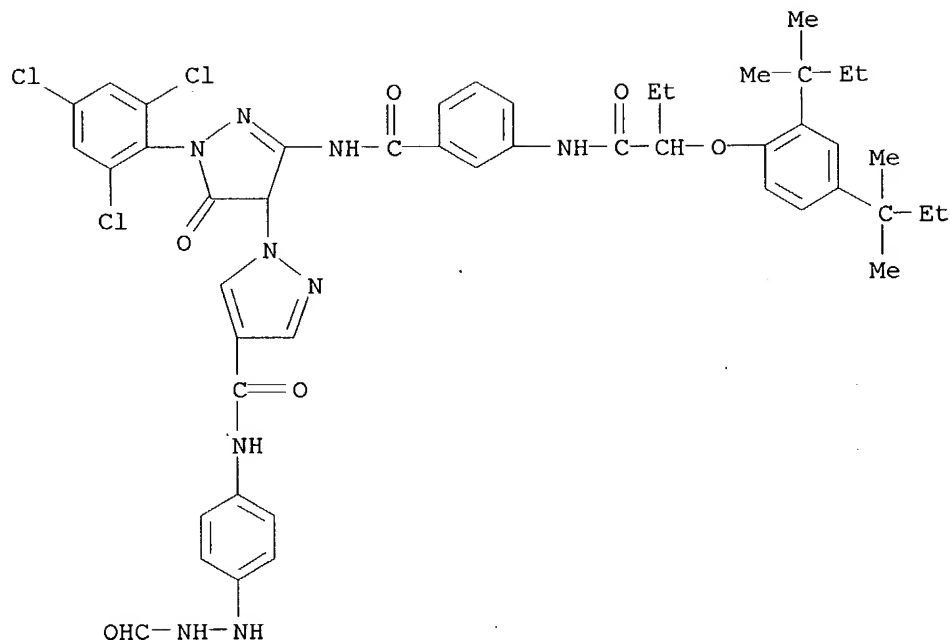
IT 87946-97-2

RL: USES (Uses)

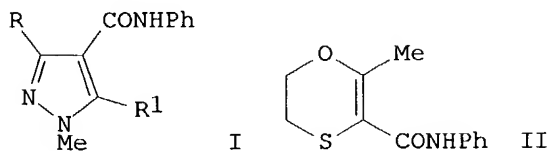
(photog. development accelerator-releasing coupler)

RN 87946-97-2 CAPLUS

CN [1,4'-Bi-1H-pyrazole]-4-carboxamide, 3'-[[3-[[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]amino]benzoyl]amino]-N-[4-(2-formylhydrazino)phenyl]-4',5'-dihydro-5'-oxo-1'-(2,4,6-trichlorophenyl)-(9CI) (CA INDEX NAME)



L3 ANSWER 102 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1983:160637 CAPLUS
 DOCUMENT NUMBER: 98:160637
 TITLE: Systemic fungicides. The synthesis of certain pyrazole analogs of carboxin
 AUTHOR(S): Huppatz, John L.
 CORPORATE SOURCE: Div. Plant Ind., CSIRO, Canberra City, 2601, Australia
 SOURCE: Australian Journal of Chemistry (1983), 36(1), 135-47
 CODEN: AJCHAS; ISSN: 0004-9425
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 98:160637
 GI



AB Methods are described for the synthesis of pyrazoles I (R, R1 = Me, H; H, Me) structural analogs of the systemic fungicide carboxin (II). Evidence confirming the structural assignment of I is presented, and a convenient method for the removal of the amino group from some aminopyrazoles is described.

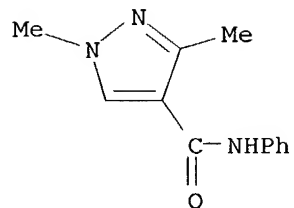
IT 64429-34-1P 85290-73-9P 85290-74-0P
 85290-75-1P 85290-81-9P 85290-82-0P
 85290-83-1P 85290-84-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 64429-34-1 CAPLUS

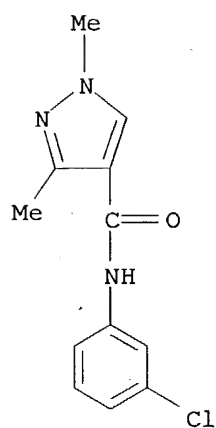
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)

10/713,201



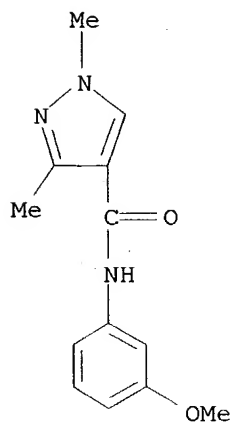
RN 85290-73-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 85290-74-0 CAPLUS

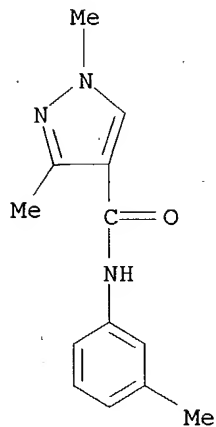
CN 1H-Pyrazole-4-carboxamide, N-(3-methoxyphenyl)-1,3-dimethyl- (9CI) (CA INDEX NAME)



RN 85290-75-1 CAPLUS

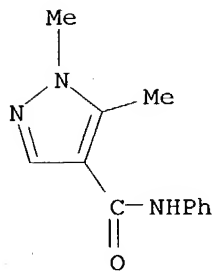
CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

10/713,201



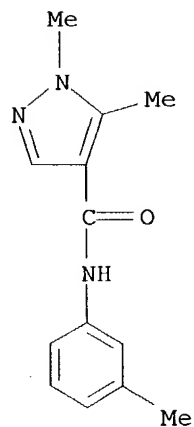
RN 85290-81-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 85290-82-0 CAPLUS

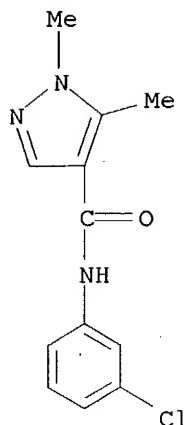
CN 1H-Pyrazole-4-carboxamide, 1,5-dimethyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



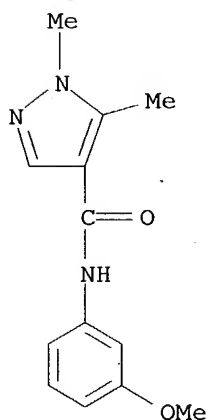
RN 85290-83-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-1,5-dimethyl- (9CI) (CA INDEX NAME)

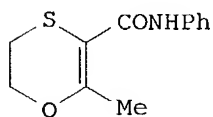
10/713,201



RN 85290-84-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(3-methoxyphenyl)-1,5-dimethyl- (9CI) (CA
INDEX NAME)



L3 ANSWER 103 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:67011 CAPLUS
DOCUMENT NUMBER: 98:67011
TITLE: Laboratory and glasshouse studies of the activity of
carboxamide derivatives against Rhizoctonia solani in
cotton
AUTHOR(S): Huppertz, J. L.; Phillips, J. N.; Witrzens, B.
CORPORATE SOURCE: Div. Plant Ind., CSIRO, Canberra, Australia
SOURCE: Plant Disease (1983), 67(1), 45-7
CODEN: PLDIDE; ISSN: 0191-2917
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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10/713,201

AB carboxin (I) [5234-68-4] and several of its analogs, including pyracarbolid [24691-76-7], fenfuram [24691-80-3], methfuroxam [28730-17-8], and furmetamid [60568-05-0], as well as 2 exptl. pyrazole carboxanilides, were studied as fungitoxic agents against the soil pathogen *R. solani*. The activities of these compds. were compared both in vitro on mycelial growth and in vivo against damping-off disease in cotton seedlings grown under glasshouse conditions. I, methfuroxam, and furmetamid were the more active inhibitors in vitro, whereas furmetamid, the pyrazole derivs., and I were the more effective compds. in vivo.

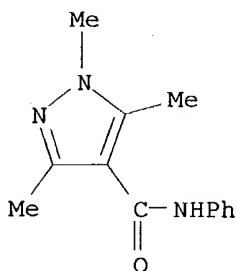
IT 61747-84-0 61747-86-2

RL: BIOL (Biological study)

(Rhizoctonia solani control by, cotton damping-off disease in relation to)

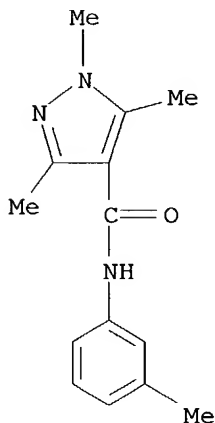
RN 61747-84-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 61747-86-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 104 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:58740 CAPLUS

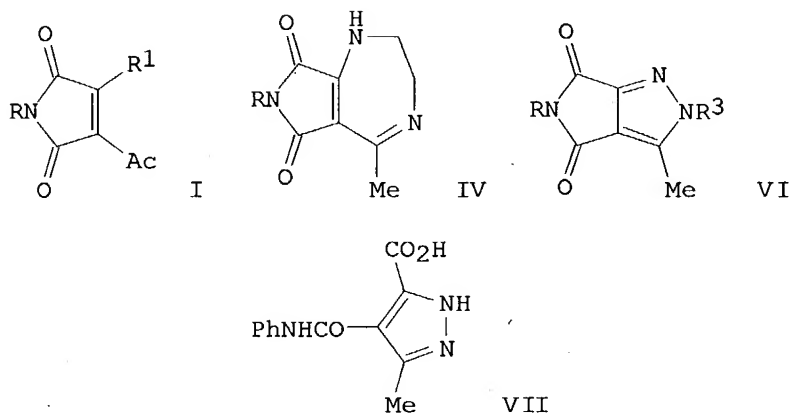
DOCUMENT NUMBER: 92:58740

TITLE: Reactivity of 2-acetyl-3-hydroxymaleimide derivatives.
I. Reaction with amines

AUTHOR(S): Sakamoto, Yasuhiko; Kurihara, Takushi

10/713,201

CORPORATE SOURCE: Osaka Coll. Pharm., Osaka, Japan
SOURCE: Yakugaku Zasshi (1979), 99(8), 818-23
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
OTHER SOURCE(S): CASREACT 92:58740
GI



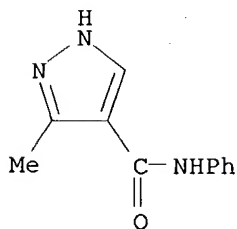
AB Maleimides I [R = H, R¹ = OH (II); R = Ph, R¹ = OH (III)] reacted with 4-R₂C₆H₄NH₂ (R₂ = H, Me) to give I [R¹ = NHC₆H₄R₂-4] in 92-99% yields. Salts of II and III with pyrrolidine, piperidine, (H₂NCH₂)₂, H₂NNH₂, MeNHNH₂ were prepared in 80-93% yields. Cyclocondensation of II and III with (H₂NCH₂)₂ gave pyrrolidinodiazepines IV (R = H, Ph). Hydrazinolysis of II and III with N₂H₄ and H₂NNHMe gave hydrazine salts, which were converted to I [R = H, Ph; R¹ = NHHN₂, NHHNHMe] (V). V cyclized to pyrrolopyrazoles VI (R₃ = H, Me). Hydrolysis of VI (R = Ph, R₃ = H) gave 97% pyrazolecarboxylic acid VII.

IT 72543-42-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 72543-42-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-methyl-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 105 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

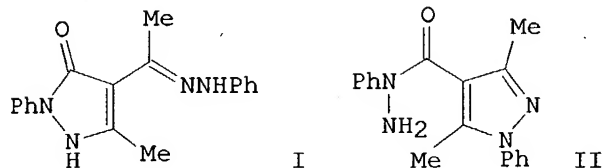
ACCESSION NUMBER: 1978:528854 CAPLUS

DOCUMENT NUMBER: 89:128854

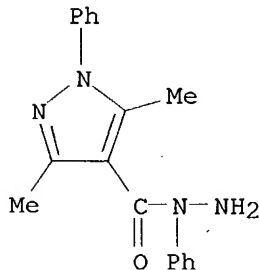
TITLE: Boulton-Katritzky type rearrangement of
1-phenyl-3-methyl-4-acetyl-5-pyrazolone
phenylhydrazone

10/713,201

AUTHOR(S): Elguero, J.; Gonzalez, E.; Sarlin, R.
CORPORATE SOURCE: Lab. Chim. Mol., Univ. Aix-Marseille III, Marseille, Fr.
SOURCE: Anales de Quimica (1968-1979) (1978), 74(3), 527-8
CODEN: ANQUBU; ISSN: 0365-4990
DOCUMENT TYPE: Journal
LANGUAGE: French
GI



AB Heating the title compound (I) in acid gave II, not a pyrazolo[3,4-c]pyrazole, as indicated by Ghosh and Das-Gupta (1939).
IT 67693-99-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 67693-99-6 CAPLUS
CN 1H-Pyrazole-4-carboxylic acid, 3,5-dimethyl-1-phenyl-, 1-phenylhydrazide
(9CI) (CA INDEX NAME)



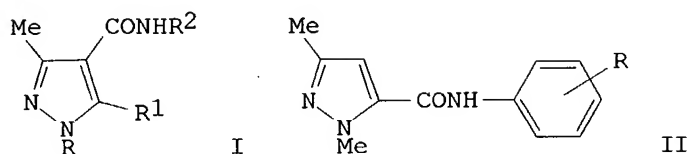
L3 ANSWER 106 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:547056 CAPLUS
DOCUMENT NUMBER: 87:147056
TITLE: Fungicidal compositions
INVENTOR(S): Huppatz, John Lawrence
PATENT ASSIGNEE(S): Commonwealth Scientific and Industrial Research
Organization, Australia
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/713,201

DE 2701091	A1	19770728	DE 1977-2701091	19770112
AU 7721177	A1	19780713	AU 1977-21177	19760114
AU 508225	B2	19800313		
US 4134987	A	19790116	US 1977-756069	19770103
FR 2337997	A1	19770812	FR 1977-897	19770113
FR 2337997	B1	19841026		
JP 52087168	A2	19770720	JP 1977-3435	19770114
CA 1077048	A1	19800506	CA 1977-269762	19770114
GB 1573942	A	19800828	GB 1977-1486	19770114
US 4214090	A	19800722	US 1978-951376	19781013
PRIORITY APPLN. INFO.:			AU 1976-4527	19760114
			US 1977-756069	19770103

GI



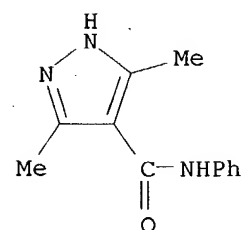
AB Fungicidal compns. contain 1H-pyrazole-4-carboxamide derivs. I (R = H, Me, CH₂CH₂OH, CH₂CO₂Et, Ph; R₁ = H, Cl, Me; R₂ = cyclohexyl, Ph or substituted Ph) and 1H-pyrazole-5-carboxanilides II (R = H, Cl, Me). Thus, in vivo tests in the greenhouse against *Tilletia foetida*, 1,3,5-trimethyl-1H-pyrazole-4-carboxanilide [61747-84-0] at 250 ppm was 100% effective. The syntheses of I and II are described.

IT 61747-76-0P 61747-77-1P 61747-78-2P
 61747-79-3P 61747-84-0P 61747-85-1P
 61747-86-2P 61747-87-3P 61747-88-4P
 61747-89-5P 61747-90-8P 61747-98-6P
 64429-34-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and fungicidal activity of)

RN 61747-76-0 CAPLUS

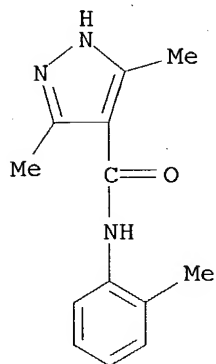
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



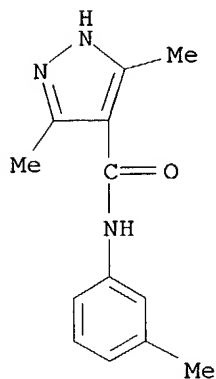
RN 61747-77-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

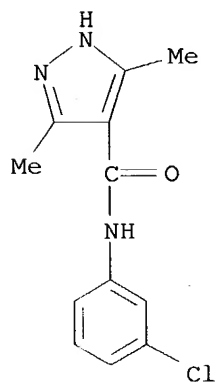
10/713,201



RN 61747-78-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(3-methylphenyl)- (9CI) (CA
INDEX NAME)

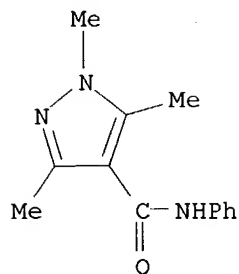


RN 61747-79-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-3,5-dimethyl- (9CI) (CA
INDEX NAME)

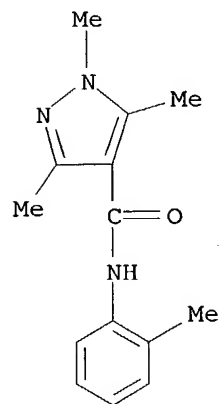


RN 61747-84-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-phenyl- (9CI) (CA INDEX
NAME)

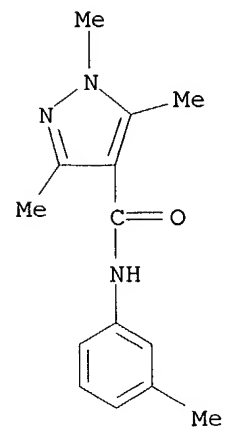
10/713,201



RN 61747-85-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(2-methylphenyl)- (9CI) (CA
INDEX NAME)

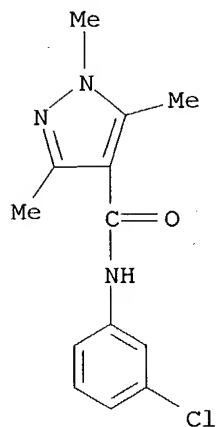


RN 61747-86-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(3-methylphenyl)- (9CI) (CA
INDEX NAME)



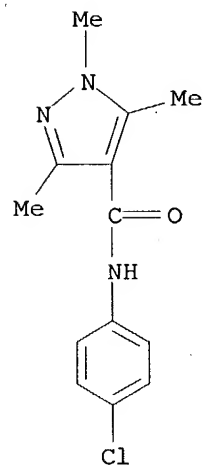
RN 61747-87-3 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)

10/713,201



RN 61747-88-4 CAPLUS

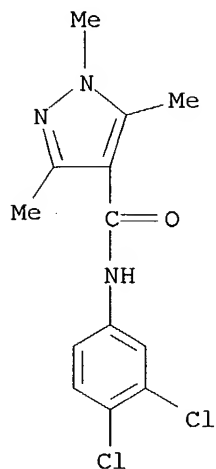
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



RN 61747-89-5 CAPLUS

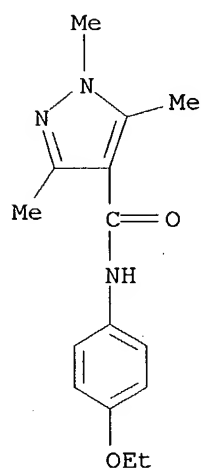
CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)

10/713,201



RN 61747-90-8 CAPLUS

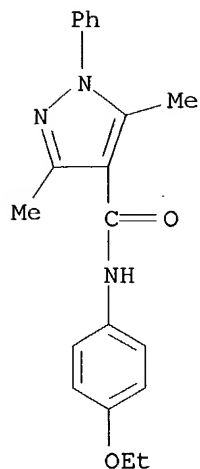
CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-1,3,5-trimethyl- (9CI) (CA INDEX NAME)



RN 61747-98-6 CAPLUS

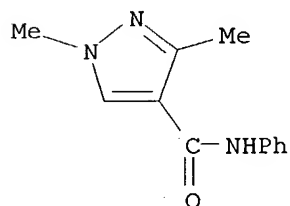
CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)

10/713,201



RN 64429-34-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



IT 61747-75-9P 61747-80-6P 61747-81-7P

61747-82-8P 61747-83-9P 61747-91-9P

61747-92-0P 61747-93-1P 61747-94-2P

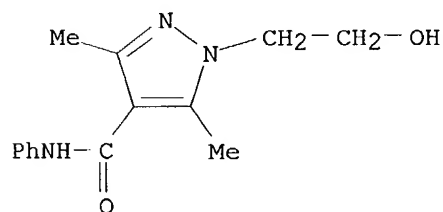
61747-95-3P 61747-96-4P 61747-97-5P

61857-79-2P 64174-39-6P 64196-82-3P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as fungicide)

RN 61747-75-9 CAPLUS

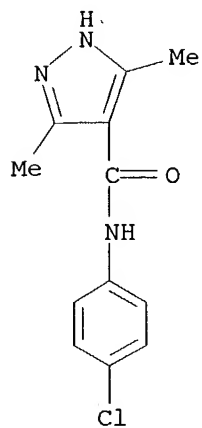
CN 1H-Pyrazole-4-carboxamide, 1-(2-hydroxyethyl)-3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 61747-80-6 CAPLUS

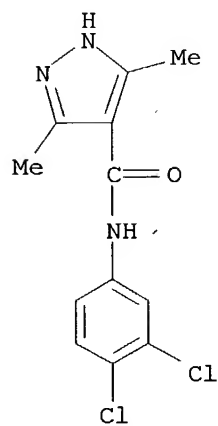
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)

10/713,201



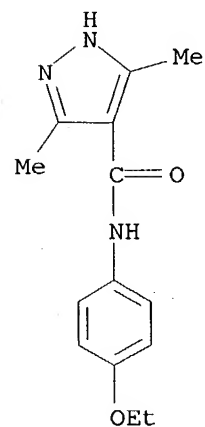
RN 61747-81-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 61747-82-8 CAPLUS

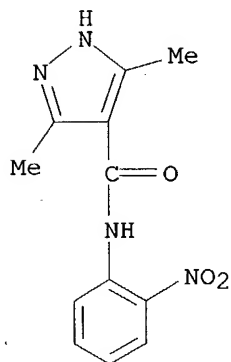
CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)



10/713,201

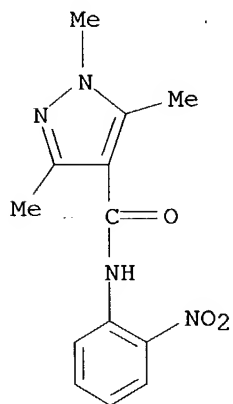
RN 61747-83-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



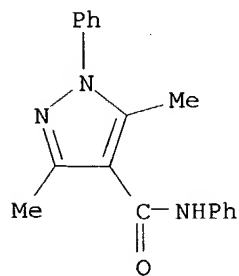
RN 61747-91-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 61747-92-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N,1-diphenyl- (9CI) (CA INDEX NAME)

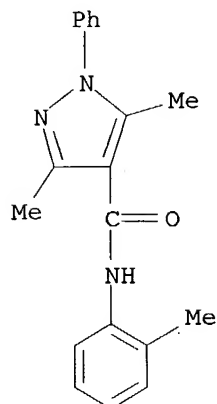


RN 61747-93-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(2-methylphenyl)-1-phenyl- (9CI)

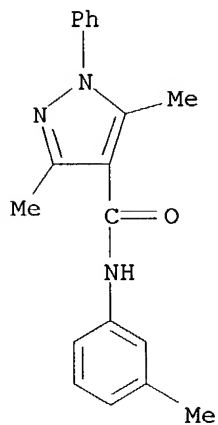
10/713,201

(CA INDEX NAME)



RN 61747-94-2 CAPLUS

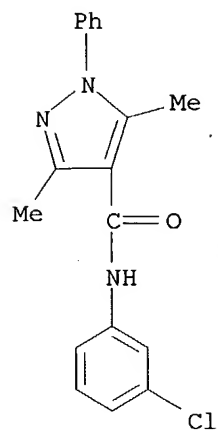
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(3-methylphenyl)-1-phenyl- (9CI)
(CA INDEX NAME)



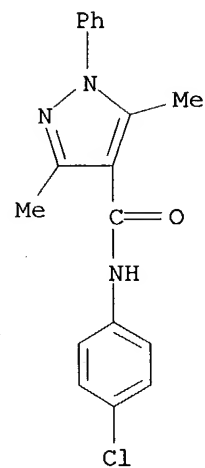
RN 61747-95-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)

10/713,201

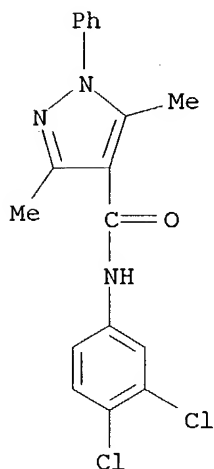


RN 61747-96-4 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)



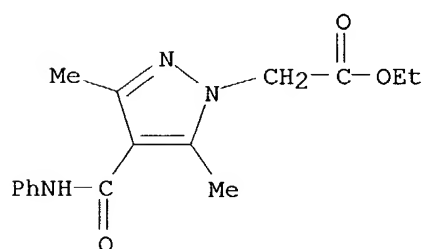
RN 61747-97-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-3,5-dimethyl-1-phenyl-
(9CI) (CA INDEX NAME)

10/713,201



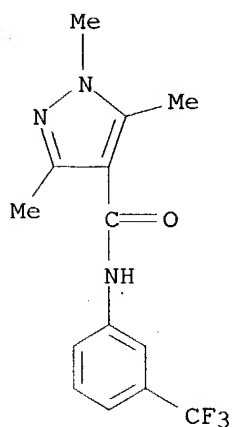
RN 61857-79-2 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 3,5-dimethyl-4-[(phenylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



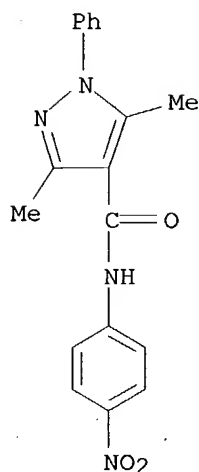
RN 64174-39-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

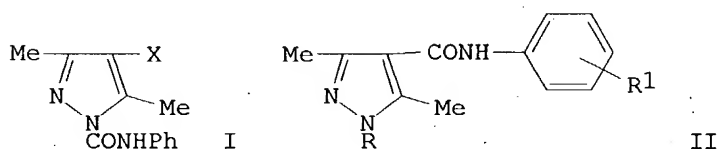


RN 64196-82-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(4-nitrophenyl)-1-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 107 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1977:84578 CAPLUS
 DOCUMENT NUMBER: 86:84578
 TITLE: Investigations on fungicides. XIX. The fungitoxicity and systemic antifungal activity of certain pyrazole analogs of carboxin
 AUTHOR(S): Carter, G. A.; Huppatz, J. L.; Wain, R. L.
 CORPORATE SOURCE: Wye Coll., ARC, Ashford/Kent, UK
 SOURCE: Annals of Applied Biology (1976), 84(3), 333-42
 CODEN: AABIAV; ISSN: 0003-4746
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The pyrazole derivs. I (x = H, Br, I) and II (R = H, Me, Ph, CH₂CH₂OH, CH₂CO₂Et; R' = H, Cl, Me, NO₂, OEt, etc.) were synthesized and some proved highly active against rust fungi. Thus, 1,3,5-trimethylpyrazole-4-carboxanilide [61747-84-0] at 100 mg/L was very effective against both wheat and broad bean rusts. The systemic antifungal activity was comparable to carboxin although some were more phytotoxic. Structure-activity relations are presented.

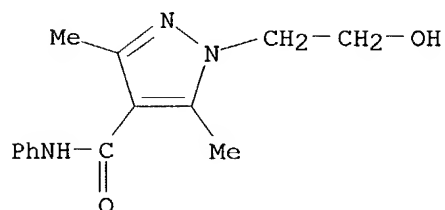
IT 61747-75-9P 61747-76-0P 61747-77-1P
 61747-78-2P 61747-79-3P 61747-80-6P
 61747-81-7P 61747-82-8P 61747-83-9P
 61747-84-0P 61747-85-1P 61747-86-2P
 61747-87-3P 61747-88-4P 61747-89-5P
 61747-90-8P 61747-91-9P 61747-92-0P
 61747-93-1P 61747-94-2P 61747-95-3P
 61747-96-4P 61747-97-5P 61747-98-6P
 61747-99-7P 61857-79-2P

10/713,201

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and systemic antifungal activity of)

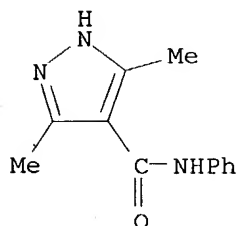
RN 61747-75-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(2-hydroxyethyl)-3,5-dimethyl-N-phenyl- (9CI)
(CA INDEX NAME)



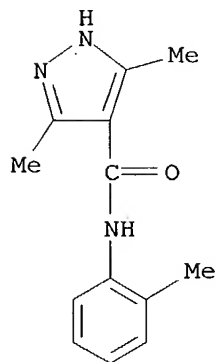
RN 61747-76-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 61747-77-1 CAPLUS

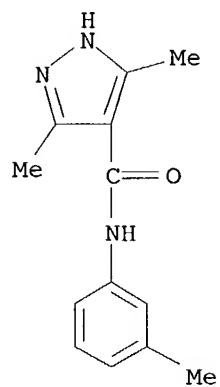
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 61747-78-2 CAPLUS

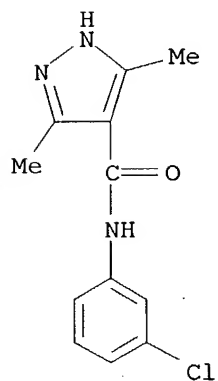
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

10/713,201



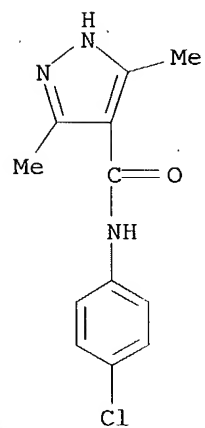
RN 61747-79-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 61747-80-6 CAPLUS

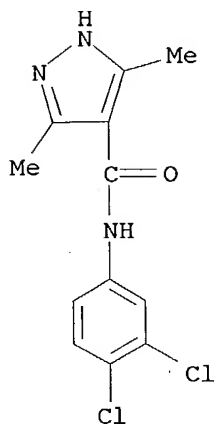
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 61747-81-7 CAPLUS

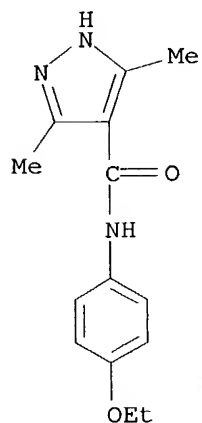
10/713,201

CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-3,5-dimethyl- (9CI) (CA
INDEX NAME)



RN 61747-82-8 CAPLUS

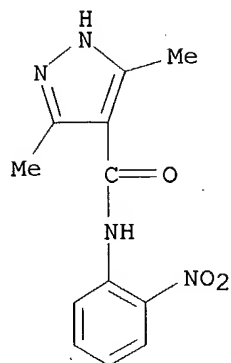
CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-3,5-dimethyl- (9CI) (CA
INDEX NAME)



RN 61747-83-9 CAPLUS

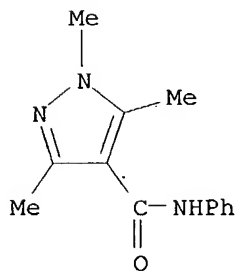
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(2-nitrophenyl)- (9CI) (CA
INDEX NAME)

10/713,201



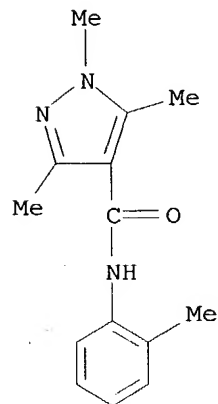
RN 61747-84-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 61747-85-1 CAPLUS

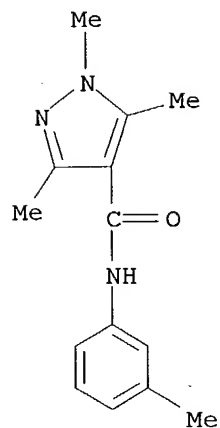
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 61747-86-2 CAPLUS

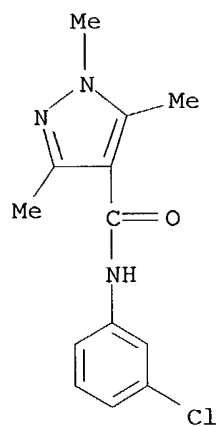
CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

10/713,201



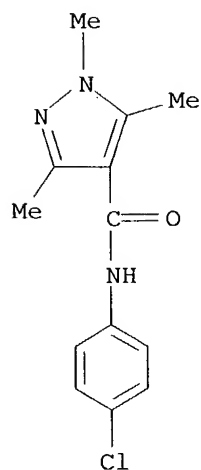
RN 61747-87-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



RN 61747-88-4 CAPLUS

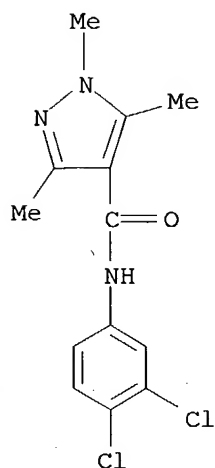
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



10/713,201

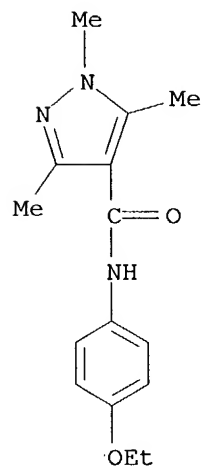
RN 61747-89-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-1,3,5-trimethyl- (9CI)
(CA INDEX NAME)



RN 61747-90-8 CAPLUS

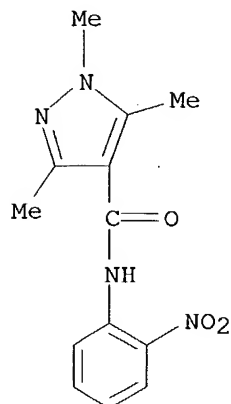
CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-1,3,5-trimethyl- (9CI) (CA
INDEX NAME)



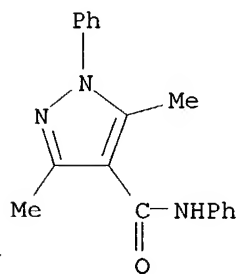
RN 61747-91-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1,3,5-trimethyl-N-(2-nitrophenyl)- (9CI) (CA
INDEX NAME)

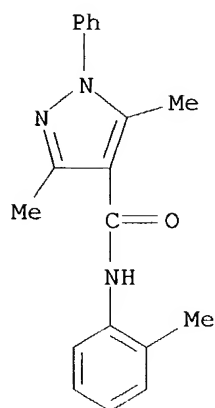
10/713,201



RN 61747-92-0 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N,1-diphenyl- (9CI) (CA INDEX NAME)

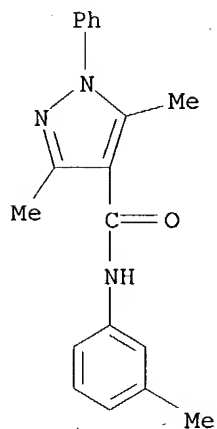


RN 61747-93-1 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(2-methylphenyl)-1-phenyl- (9CI)
(CA INDEX NAME)



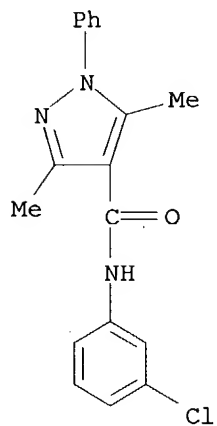
RN 61747-94-2 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(3-methylphenyl)-1-phenyl- (9CI)
(CA INDEX NAME)

10/713,201



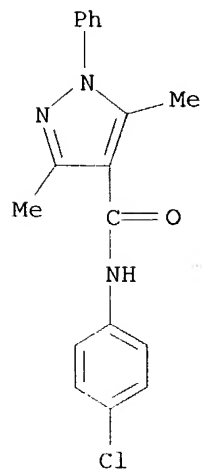
RN 61747-95-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3-chlorophenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 61747-96-4 CAPLUS

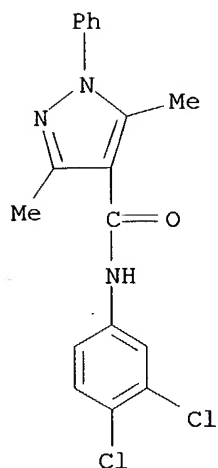
CN 1H-Pyrazole-4-carboxamide, N-(4-chlorophenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)



10/713,201

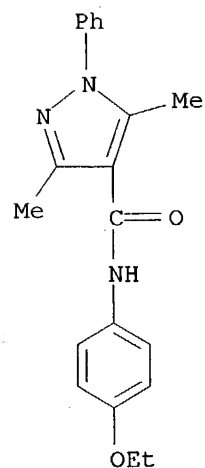
RN 61747-97-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(3,4-dichlorophenyl)-3,5-dimethyl-1-phenyl-
(9CI) (CA INDEX NAME)



RN 61747-98-6 CAPLUS

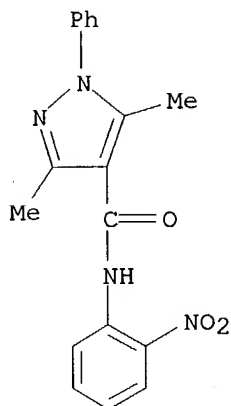
CN 1H-Pyrazole-4-carboxamide, N-(4-ethoxyphenyl)-3,5-dimethyl-1-phenyl- (9CI)
(CA INDEX NAME)



RN 61747-99-7 CAPLUS

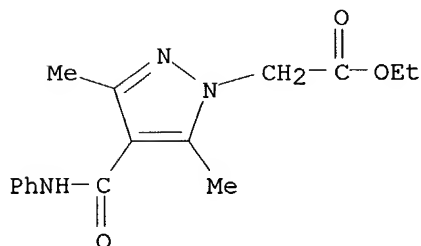
CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-(2-nitrophenyl)-1-phenyl- (9CI)
(CA INDEX NAME)

10/713,201



RN 61857-79-2 CAPLUS

CN 1H-Pyrazole-1-acetic acid, 3,5-dimethyl-4-[(phenylamino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 108 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:72631 CAPLUS

DOCUMENT NUMBER: 86:72631

TITLE: Nitrofurylpyrazole derivatives

INVENTOR(S): Rainer, Georg; Hein, Helmut

PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 147 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

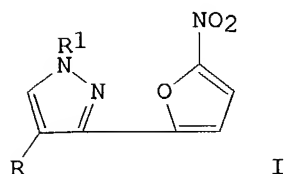
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2612155	A1	19761014	DE 1976-2612155	19760323
NO 7600996	A	19760928	NO 1976-996	19760322
AT 7602108	A	19790115	AT 1976-2108	19760322
BE 839932	A1	19760923	BE 1976-6045412	19760323
FI 7600765	A	19760926	FI 1976-765	19760323
DK 7601278	A	19760926	DK 1976-1278	19760323
SE 7603554	A	19760926	SE 1976-3554	19760323
NL 7603012	A	19760928	NL 1976-3012	19760323
ZA 7601774	A	19770427	ZA 1976-1774	19760323
AU 7612269	A1	19770929	AU 1976-12269	19760323
FR 2305181	A1	19761022	FR 1976-8435	19760324

10/713,201

ES 446318	A1	19770616	ES 1976-446318	19760324
JP 51125387	A2	19761101	JP 1976-34143	19760325
DK 7804707	A	19781023	DK 1978-4707	19781023
DK 7804708	A	19781023	DK 1978-4708	19781023
PRIORITY APPLN. INFO.:			LU 1975-72129	19750325
			LU 1976-74400	19760220
			LU 1976-72129	19760220
			DK 1976-1278	19760323

GI



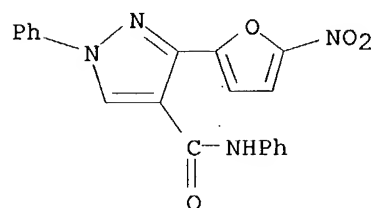
AB (Nitrofuryl)pyrazoles I (R = e.g., CHO, CO₂H, CN, CONH₂; R₁ = e.g., H, Me, Et, Ph), useful as bactericides (no data), are prepared by various standard procedures. Thus, cyclization of 2-acetyl-5-nitrofuran semicarbazone in presence of the Vilsmeier complex of DMF with POCl₃ gives after 6 h at 50° via the (4-pyrazolylmethylene)dimethylammonium salt 21% I (R = CHO, R₁ = H).

IT **61621-10-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)

RN 61621-10-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(5-nitro-2-furanyl)-N,1-diphenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 109 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:16591 CAPLUS

DOCUMENT NUMBER: 86:16591

TITLE: Chemistry of aliphatic hydrazone bromides: Part II.
Reactions with carbanions of active methylene compounds

AUTHOR(S): Shawali, Ahmad S.; Hassaneen, Hamdi H.

CORPORATE SOURCE: Fac. Sci., Univ. Kuwait, Kuwait, Kuwait

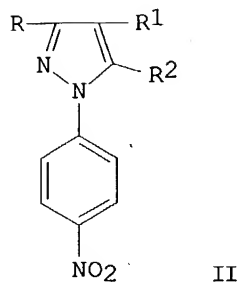
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1976), 14B(7), 549-50

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Treatment of $\text{RCBr:NNHC}_6\text{H}_4\text{NO}_2\text{-p}$ (I; $\text{R} = \text{Me, Et, Pr, Me}_2\text{CH}$) with carbanions of $\text{R}_1\text{CH}_2\text{COR}_2$ ($\text{R}_1 = \text{COMe, CPh, CO}_2\text{Et, CONHPh, SO}_2\text{Ph}$; $\text{R}_2 = \text{Me, Ph}$) in EtOH at room temperature gave the pyrazole derivs. II in good yields. The formation of pyrazoles involves the alkylation of active methylene C by I to give acyclic hydrazones which then cyclize to give the desired pyrazoles.

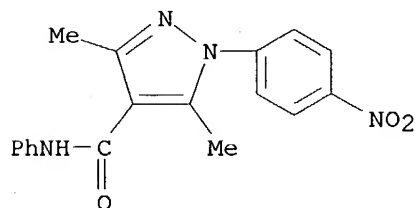
IT 61261-91-4P 61261-92-5P 61261-93-6P

61261-94-7P 61261-95-8P 61261-96-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

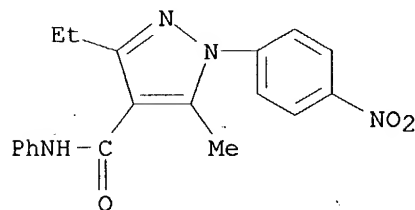
RN 61261-91-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-1-(4-nitrophenyl)-N-phenyl- (9CI)
(CA INDEX NAME)



RN 61261-92-5 CAPLUS

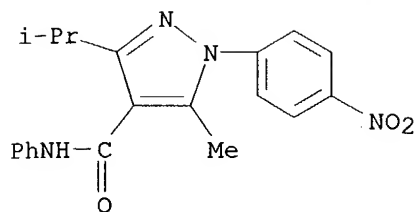
CN 1H-Pyrazole-4-carboxamide, 3-ethyl-5-methyl-1-(4-nitrophenyl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 61261-93-6 CAPLUS

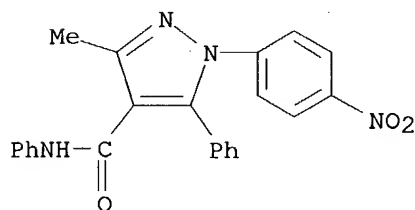
CN 1H-Pyrazole-4-carboxamide, 5-methyl-3-(1-methylethyl)-1-(4-nitrophenyl)-N-phenyl- (9CI) (CA INDEX NAME)

10/713,201



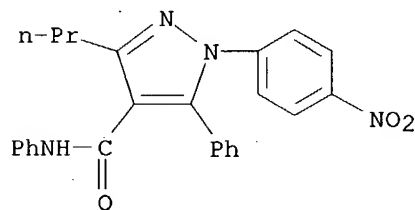
RN 61261-94-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-methyl-1-(4-nitrophenyl)-N,5-diphenyl- (9CI)
(CA INDEX NAME)



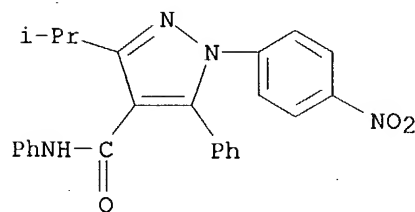
RN 61261-95-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-nitrophenyl)-N,5-diphenyl-3-propyl- (9CI)
(CA INDEX NAME)



RN 61261-96-9 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-(1-methylethyl)-1-(4-nitrophenyl)-N,5-diphenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 110 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

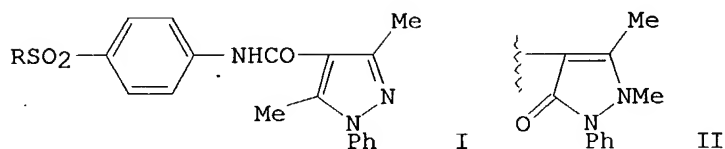
ACCESSION NUMBER: 1977:16588 CAPLUS

DOCUMENT NUMBER: 86:16588

TITLE: Sulfonamides. Part 9. Sulfonamide derivatives of

10/713,201

AUTHOR(S): 1-phenyl-3,5-dimethylpyrazolyl-4-carboxylic acid and
CORPORATE SOURCE: 1-phenyl-2,3-dimethylpyrazolin-5-one-4-carboxylic acid
SOURCE: Wrzeciono, U.; Klimczak, M.
Inst. Chem. Anal., Med. Acad., Poznan, Pol.
Pharmazie (1976), 31(3), 149-50
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 86:16588
GI



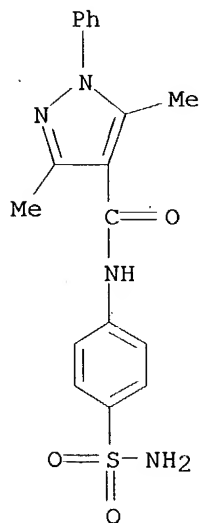
AB Sulfonamides I [R = NH₂, AcNH, (H₂N)₂C:N, 5-methyl-3-isoxazolyamine, 4-Me₂CHOC₆H₄CONH] and II (R's as above and 4-methyl-2-pyrimidinylamino), antibacterials, were prepared in 14.6-52.6 and 16.1-38.5% yields, resp., by oxidizing 1-phenyl-4-formyl-3,5-dimethylpyrazole and -2,3-dimethyl-5-pyrazolone to the corresponding carboxylic acids, converting into the acid chlorides, and treating with sulfa drugs RH.

IT 61226-08-2P 61226-09-3P 61226-10-6P
61226-11-7P 61226-12-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 61226-08-2 CAPLUS

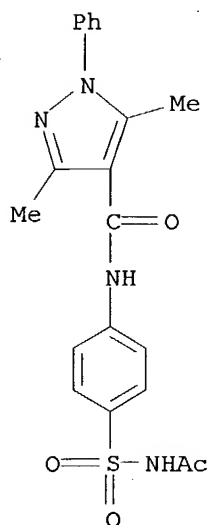
CN 1H-Pyrazole-4-carboxamide, N-[4-(aminosulfonyl)phenyl]-3,5-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)



RN 61226-09-3 CAPLUS

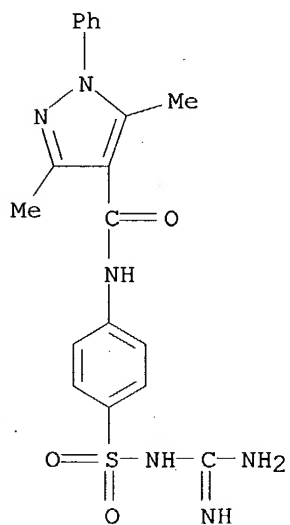
CN 1H-Pyrazole-4-carboxamide, N-[4-[(acetylamino)sulfonyl]phenyl]-3,5-dimethyl-1-phenyl- (9CI) (CA INDEX NAME)

10/713,201



RN 61226-10-6 CAPLUS

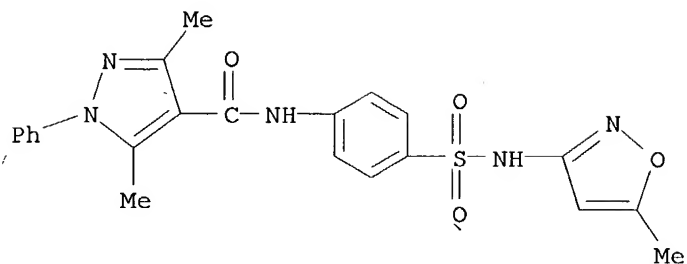
CN 1H-Pyrazole-4-carboxamide, N-[4-[[4-(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)amino]sulfonyl]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)



RN 61226-11-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[4-[[4-(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)amino]sulfonyl]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

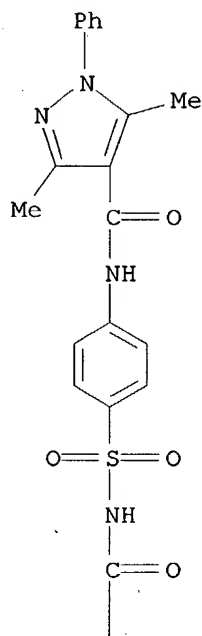
10/713,201



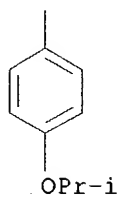
RN 61226-12-8 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3,5-dimethyl-N-[4-[[[4-(1-methylethoxy)benzoyl]amino]sulfonyl]phenyl]-1-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



TITLE: Enamines. III. Reaction of 4-(1-piperidyl)- and 4-(1-pyrrolidinyl)-3-penten-2-ones with aryl isothiocyanates

AUTHOR(S): Tsuge, Otohiko; Inaba, Akitaka

CORPORATE SOURCE: Res. Inst. Ind. Sci., Kyushu Univ., Fukuoka, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1973), 46(7), 2221-5
CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

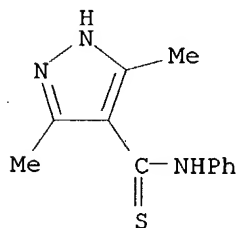
LANGUAGE: English

AB The reaction of enamino ketones, derived from acetylacetone and piperidine or pyrrolidine, i.e., 4-(1-piperidyl)- and 4-(1-pyrrolidinyl)-3-penten-2-ones, with aryl isothiocyanates was investigated. In contrast with Ph isocyanates, Ph isothiocyanates react with the enamino ketones to yield the corresponding 3-phenylthiocarbamoyl derivs. (1:1 adducts). The reaction with 1-naphthyl isothiocyanate forms 3-naphthylthiocarbamoyl and (or) 2-thiopyridone derivs., depending on the reaction conditions.

IT 50520-59-7P 50520-62-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

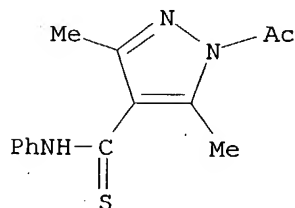
RN 50520-59-7 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, 3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 50520-62-2 CAPLUS

CN 1H-Pyrazole-4-carbothioamide, 1-acetyl-3,5-dimethyl-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 112 OF 113 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1973:492096 CAPLUS

DOCUMENT NUMBER: 79:92096

TITLE: New route for the preparation of pyrazolo[4,3-c]pyridines

AUTHOR(S): El-Sayed, Abdou Ahmed; Ohta, Masaki

CORPORATE SOURCE: Fac. Sci., Tokyo Inst. Technol., Tokyo, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1973), 46(6), 1801-3

10/713,201

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 79:92096

GI For diagram(s), see printed CA Issue.

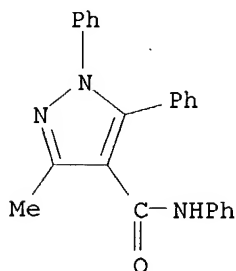
AB The anhydride (I) of 4-carboxy-1,5-diphenylpyrazole-3-acetic acid (II) was prepared. The reactions of I with primary amines R_1NH_2 ($R_1 = H, Ph, CH_2Ph$) gave the monoamides (IIa). 2,3-Diphenylpyrazolo[4,3-c]pyridine-4,6-(5H,7H)-dione (III), was obtained by heating the ammonium salt of II in a vacuo at 220° . The ring closure of IV with $AcCl$ in C_6H_6 afforded V. V was coupled with PhN_2Cl to give the 7-phenylazo derivative and also condensed with aromatic aldehydes giving 7-arylidene derivs.

IT 43154-92-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 43154-92-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-methyl-N,1,5-triphenyl- (9CI) (CA INDEX NAME)



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ACCESSION NUMBER: 1969:449837 CAPLUS

DOCUMENT NUMBER: 71:49837

TITLE: Beckmann rearrangement of some pyrazolyl oximes

AUTHOR(S): Finar, Ivor L.; Saunders, H. E.

CORPORATE SOURCE: Northern Polytech., London, UK

SOURCE: Journal of the Chemical Society [Section] C: Organic (1969), (11), 1495-9

CODEN: JSOOAX; ISSN: 0022-4952

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The oximes of several pyrazolyl carbonyl compds. were prepared in some cases both as syn and anti isomers. They were subjected to the Beckmann rearrangement with PCl_5 , $p\text{-MeC}_6\text{H}_4\text{SO}_2\text{Cl}$, concentrated sulfuric acid, and polyphosphoric acid. With bis(1-phenylpyrazol-4-yl) ketoxime, 4-benzoyl-1-phenylpyrazole oximes, 4-acetyl-1-phenylpyrazole oximes, ethyl 1-phenylpyrazol-4-yl ketoxime and isopropyl 1-phenylpyrazol-4-yl ketoxime, the rearrangements proceeded in a normal, intramol. fashion to yield N-substituted amides, although sulfuric acid was a poor reagent. The operation of a two-stage, fragmentation-recombination mechanism for the Beckmann rearrangement of tert-butyl 1-phenylpyrazol-4-yl ketoxime in polyphosphoric acid was demonstrated by crossed expts. in which the nitrile or the electrofuge formed by fragmentation of the oxime was trapped before recombination could occur. The recombination step between the nitrile and electrofuge was independently demonstrated under Beckmann rearrangement conditions. Pyrazolyl aldioximes failed to undergo the Beckmann rearrangement. N.M.R. spectroscopy was used to assign

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configurations to all the pyrazolyl oximes except those of
4-acetyl-1-phenylpyrazole.

IT **23890-10-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23890-10-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N,1-diphenyl- (9CI) (CA INDEX NAME)

